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=> fil cap FILE 'CAPLUS' ENTERED AT 14:26:13 ON 25 JUL 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS) 10/517,214 July 25, 2008

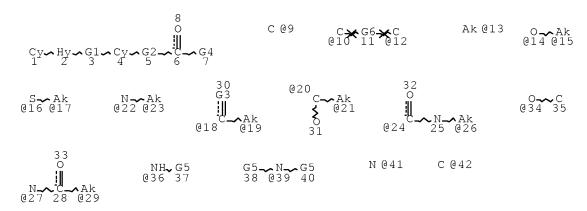
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FILE COVERS 1907 - 25 Jul 2008 VOL 149 ISS 5 FILE LAST UPDATED: 24 Jul 2008 (20080724/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html



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VAR G4=OH/34/NH2/36/39/41
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July 25, 2008

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STEREO ATTRIBUTES: NONE

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NODE ATTRIBUTES:

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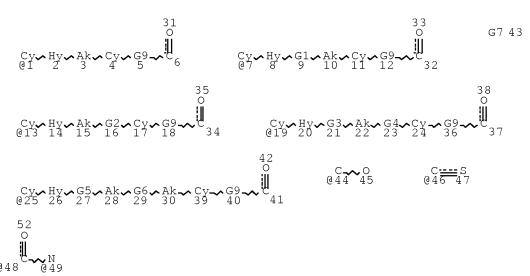
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STEREO ATTRIBUTES: NONE

L11 1375 SEA FILE=REGISTRY SUB=L3 SSS FUL L1 AND L5

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10/517,214 July 25, 2008

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VAR G2=0/S/44/46/N/48-15 49-17/49-15 48-17
VAR G3=0/S/44/46/N/48-20 49-22/49-20 48-22
VAR G4=0/S/44/46/N/48-22 49-24/49-22 48-24
VAR G5=0/S/44/46/N/48-26 49-28/49-26 48-28
VAR G6=O/S/44/46/N/48-28 49-30/49-28 48-30
VAR G7=1/7/13/19/25
REP G9 = (1-10) A
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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 50
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STEREO ATTRIBUTES: NONE

L22 854 SEA FILE=REGISTRY SUB=L11 SSS FUL L20 L23 56 SEA FILE=CAPLUS ABB=ON PLU=ON L22

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L23 ANSWER 1 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2008:475711 CAPLUS Full-text

DOCUMENT NUMBER: 148:449658

TITLE: Preparation of pyrimidinylpyrazoles for treatment of

diabetes.

Ogawa, Yasuyuki; Okuyama, Ryo; Shibuya, Satoshi; Toda, INVENTOR(S):

> Narihiro; Cao, Zhaodan; Fu, Zice; Hao, Xiaolin; Kim, Yong-Jae; Li, Leping; Lively, Sarah E.; Lizarzaburu,

Mike; Tian, Hui; Yu, Ming

PATENT ASSIGNEE(S): Amgen Inc., USA; Daiichi Sankyo Company, Limited

SOURCE: PCT Int. Appl., 88pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent 10/517,214 July 25, 2008

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P	PATENT NO.						DATE	ATE APPLICATION NO.							DATE			
_ W	0 2008	0454	84		A1	_	2008	0417		WO 2	007-	US21	678		2	0071	009	
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		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	
		KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ΜE,	
		MG,	MK,	MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	NI,	NO,	NΖ,	OM,	PG,	PH,	PL,	
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,	
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		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	
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Title compds. [I; L = alkylene, alkenylene, (CH2)nO(CH2)p, (CH2)nNR6(CH2)p, etc.; n, p = 0-3; V = cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl; W = bond, alkylene, alkenylene, O, S, SO, SO2, CO, NR6, CH2NR6; R1 = alkyl, alkenyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl heteroarylalkyl; R2 = H, halo, alkyl, cyano, haloalkyl, alkoxy, haloalkoxy, alkenyl; R3, R5 = H, OH, halo, cyano, alkyl, haloalkyl, alkoxy, haloalkoxy; R4 = alkyl, heteroalkyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl; R6 = H, alkyl, fluoralkyl, heteroalkyl, aryl, heteroaryl, aralkyl, etc.], were prepared Thus, 1-[4-(3,4-dihydroisoquinolin-2(1H)-yl)-5-methylpyrimidin-2-yl]-5-(ethoxymethyl)-N-[[4-(hydroxymethyl)-1-methyl-1H-imidazol-5-yl]methyl]-1H-pyrazole-4-carboxamide (preparation outlined) at 5 mg/kg orally in mice reduced serum glucose by 61%.

IT 1019255-70-9P 1019255-74-3P 1019256-02-0P 1019256-06-4P 1019256-10-0P 1019256-14-4P 1019256-18-8P 1019256-22-4P 1019257-66-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidinylpyrazoles for treatment of diabetes)

RN 1019255-70-9 CAPLUS

CN 1H-Imidazole-1-acetic acid, 5-[[[[5-butyl-1-[5-methyl-4-(2-thienyl)-2-pyrimidinyl]-1H-pyrazol-4-yl]carbonyl]amino]methyl]-, methyl ester (CA)

INDEX NAME)

RN 1019255-74-3 CAPLUS

CN 1H-Imidazole-1-acetic acid, 5-[[[[5-butyl-1-[5-methyl-4-(2-thienyl)-2-pyrimidinyl]-1H-pyrazol-4-yl]carbonyl]amino]methyl]- (CA INDEX NAME)

RN 1019256-02-0 CAPLUS

CN 1H-Imidazole-1-acetic acid, 5-[[[[5-butyl-1-[5-methyl-4-(2-thienyl)-2-pyrimidinyl]-1H-pyrazol-4-yl]carbonyl]amino]methyl]-4-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1019256-06-4 CAPLUS

CN 1H-Imidazole-1-acetic acid, 5-[[[[5-butyl-1-[5-methyl-4-(2-thienyl)-2-pyrimidinyl]-1H-pyrazol-4-yl]carbonyl]amino]methyl]-4-methyl- (CA INDEX NAME)

RN 1019256-10-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[[1-(2-amino-2-oxoethyl)-4-methyl-1H-imidazol-5-yl]methyl]-5-butyl-1-[5-methyl-4-(2-thienyl)-2-pyrimidinyl]- (CA INDEX NAME)

RN 1019256-14-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-butyl-N-[[4-methyl-1-[2-(methylamino)-2-oxoethyl]-1H-imidazol-5-yl]methyl]-1-[5-methyl-4-(2-thienyl)-2-pyrimidinyl]- (CA INDEX NAME)

RN 1019256-18-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-butyl-N-[[1-[2-(dimethylamino)-2-oxoethyl]-4-methyl-1H-imidazol-5-yl]methyl]-1-[5-methyl-4-(2-thienyl)-2-pyrimidinyl]-(CA INDEX NAME)

RN 1019256-22-4 CAPLUS

CN 1H-Imidazole-1-acetic acid, 5-[[[[1-[5-bromo-4-(cyclobutylamino)-2-pyrimidinyl]-5-butyl-1H-pyrazol-4-yl]carbonyl]amino]methyl]-4-methyl- (CA INDEX NAME)

RN

10/517,214 July 25, 2008

CN 1H-Imidazole-1-acetic acid, 5-[[[[5-butyl-1-[5-methyl-4-(2-thienyl)-2pyrimidinyl]-1H-pyrazol-4-yl]carbonyl]amino]methyl]- α , α , 4trimethyl- (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:1315871 CAPLUS Full-text

DOCUMENT NUMBER: 148:144689

TITLE: Development of a scalable synthesis of GSK-183390A, a

PPAR α/γ agonist

AUTHOR(S): Oh, Lynette M.; Wang, Huan; Shilcrat, Susan C.;

Herrmann, Robert E.; Patience, Daniel B.; Spoors, P.

Grant; Sisko, Joseph

Chemical Development, GlaxoSmithKline, King of CORPORATE SOURCE:

Prussia, PA, 19406, USA

SOURCE: Organic Process Research & Development (2007), 11(6),

1032-1042

CODEN: OPRDFK; ISSN: 1083-6160

American Chemical Society PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

A scalable synthesis of GSK-183390A [i.e., 2-[4-[[[[5-[4-(1,1-1)]]]]]AΒ dimethylethyl)phenyl]-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2methylphenoxy]-2-(methyl)propanoic acid], a PPAR α/γ agonist (no biol. test data given), is described. This synthesis is highlighted by a regioselective formal 1,3-dipolar cycloaddn. reaction between an enamine and a nitrile imine dipole to form a 1,3,5-trisubstituted pyrazole and a regioselective amidomethylation of an o-cresol derivative using 2-chloro-N-

(hydroxymethyl) acetamide.

852814-21-2P ΙT

> RL: IMF (Industrial manufacture); PREP (Preparation) (preparation of

[[(dimethylethyl)phenyl](methyl)pyrazolyl]carbonyl]amino]met hyl] (methyl) phenoxy] (methyl) propanoic acid (GSK-183390A))

RN 852814-21-2 CAPLUS

Propanoic acid, 2-[4-[[[5-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1Hpyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

10/517,214 July 25, 2008

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-CH}_2 \end{array} \begin{array}{c} \text{Me} \\ \text{O-C-CO}_2 \\ \text{Me} \end{array}$$

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:1274706 CAPLUS Full-text

DOCUMENT NUMBER: 147:522221

TITLE: Preparation of carboxylic acid derivatives containing

thiazole moiety for the treatment of diabetic

hyperlipidemia

Tamakawa, Hiroki; Iizuka, Hiroyuki; Sakai, Kaoru INVENTOR(S):

Mitsubishi Pharma Corporation, Japan PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 517pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.					KIND DATE			1	APPL	ICAT	DATE						
WO	WO 2007126043				A1 200711			 1108	WO 2007-JP59151							20070427		
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		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	
		GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	
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PRIORIT	PRIORITY APPLN. INFO.:								JP 2006-122804						A 20060427			
OTHER S	OTHER SOURCE(S):						147:	5222	21									

GΙ

Title compds. I [R1, R2 = H or alkyl; R1 and R2 may combine to form a cycloalkyl group; R3 = H or alkyl; R4 = H, alkyl or aryl; n = 1-5; Y = oxygen, sulfur atom, -NR5-, etc.; R5 = H, alkyl, cycloalkyl-alkyl, etc.; Z = cycloalkyl, aryl, arylalkyl, etc.] or pharmaceutically acceptable salts, hydrates or solvates thereof were prepared For example, a multi-step synthesis of compound II, starting from 4-chloro-3-oxobutanoic acid Et ester, was given. Compds. herein were tested for plasma triglyceride (TG) decreasing effect, free fatty acid (FFA) decreasing effect and serum HDL cholesterol increasing effect.

IT 886532-83-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

 $\hbox{ (preparation of carboxylic acid derivs. containing this zole moiety for treatment}\\$

of diabetic hyperlipidemia)

RN 886532-83-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[(1-phenyl-1H-pyrazol-4-yl)carbonyl]amino]ethyl]-2-thiazolyl]thio]-, 1,1-dimethylethyl ester (CA INDEX NAME)

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 4 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:439243 CAPLUS Full-text

DOCUMENT NUMBER: 146:441781

TITLE: Preparation of naphthylpyrazoles as glucagon receptor

antagonists for the treatment of diabetes and related

diseases

INVENTOR(S): Parmee, Emma R.; Xiong, Yusheng; Guo, Jian;

Brockunier, Linda

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 27pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

	PATENT NO.					KIND DATE				APPL							
	2007																
AU	2006	3044	85		A1		2007	0426		AU 2	006-	3044	85		20061016		
CA	2624	532			A1		2007	0426		CA 2	006-	2624	532		2	0061	016
WO	2007	0476	76		A1		2007	0426		WO 2	006-	US40	558		2	0061	016
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 $^{\mathrm{N}}$
 $^{\mathrm{C}}$
 $^{\mathrm{C}}$
 $^{\mathrm{O}}$
 $^{\mathrm{N}}$
 $^{\mathrm{N}}$
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 $^{\mathrm{C}}$
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 $^{\mathrm{N}}$
 $^{\mathrm{C}}$
 $^{\mathrm{N}}$
 $^{\mathrm{N}}$
 $^{\mathrm{N}}$

AB Title compds. I [where R1, R3 = alkyl; R2 = alkyl or alkoxy] and pharmaceutically acceptable salts or solvates thereof, such as (S)-I (R1 = n-Pr, R2 = R3 = Me), were prepared as glucagon receptor antagonists. Generally, I had IC50 values in the range of from 1 mM to 10 nM in a glucagon receptor binding assay, and inhibited cAMP formation at a concentration less than about 50 nM. The invented compds. and their pharmaceutical compns. are useful for treating type 2 diabetes and related conditions.

IT 934495-19-9P 934495-21-3P 934495-23-5P 934495-25-7P 934495-27-9P 934495-29-1P 934495-32-6P 934495-33-7P 934495-42-8P 934495-43-9P 934495-44-0P 934495-45-1P 934495-47-3P 934495-48-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of naphthylpyrazoles as glucagon receptor antagonists for treatment of diabetes and related diseases)

RN 934495-19-9 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-methoxy-2-naphthalenyl)-3-[2-propoxy-5-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934495-21-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-methoxy-2-naphthalenyl)-3-[2-(1-methylethoxy)-5-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934495-23-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-[2-propoxy-5-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934495-25-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-[2-ethoxy-5-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934495-27-9 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-[2-methoxy-5-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 934495-29-1 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-(cyclopropylmethoxy)-5-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934495-32-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-(cyclobutyloxy)-5-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 934495-33-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-(cyclopentyloxy)-5-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934495-42-8 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-ethoxy-5-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 934495-43-9 CAPLUS

CN β -Alanine, N-[4-[(1R)-1-[3-[2-ethoxy-5-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 934495-44-0 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-methoxy-2-naphthalenyl)-3-[2-methoxy-5-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 934495-45-1 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-methoxy-2-naphthalenyl)-3-[2-methoxy-5-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]pentyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934495-47-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-[2-methoxy-5-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]pentyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 934495-48-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-methoxy-5-(trifluoromethyl)phenyl]-5-[6-(1-methylethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

IT 934495-17-7P 934495-41-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of naphthylpyrazoles as glucagon receptor antagonists for treatment of diabetes and related diseases)

RN 934495-17-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-hydroxy-5-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 934495-41-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-ethoxy-5-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]-, ethyl ester (CA INDEX NAME)

10/517,214 July 25, 2008

L23 ANSWER 5 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:143977 CAPLUS $\underline{Full-text}$

DOCUMENT NUMBER: 146:229334

TITLE: Processes for preparation of a substituted pyrazole

useful as a glucagon receptor antagonist

INVENTOR(S): Tan, Lushi; McWilliams, James Christopher; Hartner,

Frederick W.; Yoshikawa, Naoki; Li, Wenji

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 10pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.						DATE		APPLICATION NO.										
WO	2007	0159	 99					WO 2006-US28545											
WO	2007	0159	99		АЗ		2007	0628											
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,		
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,		
		MW,	MX,	MΖ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,		
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,		
							ZM,												
	RW:	AT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AP,	EA,	EP,	OA								
AU	2006	2760	72		A1		2007	0208		AU 2	006-	2760	72		2	0060	721		
CA	2614	537			A1		2007	0208		CA 2	006-	2614	537		2	0060	721		
EP	1910	303			A2		2008	0416		EP 2	006-	8002	39		2	0060	721		
	R:	AT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,		
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR			
IN	2008	DN00	609		Α		2008	0711		IN 2	008-	DN60	9		2	0800	122		
PRIORIT													P 20050726						
										WO 2						0060			
OTHER S GI	OURCE		CAS	REAC	T 14	6:229	9334; MARPAT 146:229334												

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The invention relates to processes for preparation of a substituted pyrazole (I). The compound I, or a pharmaceutically acceptable salt or solvate thereof, is a known glucagon receptor antagonist, useful for treating, preventing or delaying the onset of type 2 diabetes mellitus (no data). A process for the synthesis of compound I is described and claimed, but no examples are given. Condensation of ketone II (R1 is an ester-forming group) with a protected hydrazine followed by stereoselective hydrogenation and deprotection provides an intermediate hydrazine III. Condensation of ester IV with ketone V followed by heterocyclization with hydrazine III and hydrolysis provides acid VI. Amidation of acid VI with a β -alanine ester, or a salt or solvate thereof, followed by hydrolysis provides compound I.

IT 870823-12-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted pyrazole as glucagon receptor antagonist)

RN 870823-12-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 870823-12-4DP, esters

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of substituted pyrazole as glucagon receptor antagonist)

RN 870823-12-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L23 ANSWER 6 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:1061760 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 146:54689

TITLE: Design and evaluation of a novel class-directed 2D

fingerprint to search for structurally diverse active

compounds

AUTHOR(S): Eckert, Hanna; Bajorath, Juergen

CORPORATE SOURCE: Department of Life Science Informatics, B-II,

Rheinische Friedrich-Wilhelms-Universitaet, Bonn,

D-53113, Germany

SOURCE: Journal of Chemical Information and Modeling (2006),

46(6), 2515-2526

CODEN: JCISD8; ISSN: 1549-9596

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB Recent attempts to increase similarity search performance using mol. fingerprints have mostly focused on the evaluation of alternative similarity metrics or scoring schemes, rather than the development of new types of fingerprints. A novel two-dimensional (2D) fingerprint design (property descriptor value range-derived fingerprint or PDR-FP) is introduced that involves activity-oriented selection of property descriptors and the transformation of descriptor value ranges into a binary format such that each fingerprint bit position represents a specific value interval. The design is tailored toward multiple-template similarity searching and permits training on specific activity classes. In search calcns. on 15 compound classes of increasing structural diversity, the PDR fingerprint performed better than other state-of-the-art 2D fingerprints. Among the structurally diverse classes were six compound sets with peptide character, which represent a notoriously difficult chemotype for 2D similarity searching. In these cases, PDR-FP produced promising results, whereas other fingerprint methods mostly failed. PDR-FP is specifically designed for search calcus. on structurally diverse compds., and these calcns. are not influenced by mol. size effects, which represent a general problem for similarity searching using bit string representations.

IT 743433-45-6

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(design and evaluation of class-directed two-dimensional mol. fingerprint to search for structurally diverse active compds.)

RN 743433-45-6 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[1-(2,2-dimethyl-1-

10/517,214 July 25, 2008

oxopropy1)-4-piperidiny1]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 7 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:887897 CAPLUS Full-text

DOCUMENT NUMBER: 145:293047

TITLE: Preparation of heterocyclic compounds as activators

for peroxisome proliferator activated receptor $\boldsymbol{\delta}$

INVENTOR(S): Sakuma, Shogo; Mochiduki, Nobutaka; Takahashi, Rie;

Hirai, Toshitake; Yamakawa, Tomio; Masui, Seiichiro

PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan

SOURCE: PCT Int. Appl., 115pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	CENT 1	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
WO	2006	0909.	20		A1	_	2006	0831		WO 2	006-	JP30	 4193		2	0060	228
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	ZW											
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ТJ,	TM										
AU	2006	2176	82		A1		2006	0831		AU 2	006-	2176	82		2	0060	228
CA	2599	454			A1		2006	0831	İ	CA 2	006-	2599	454		2	0060	228
ΕP	1854	784			A1		2007	1114		EP 2	006-	7152	52		2	0060	228
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,
		BA,	HR,	MK,	YU												
MX	2007	1051	1		Α		2007	1107]	MX 2	007-	1051	1		2	0070	828

NO 2007004738	А	20071108	ИО	2007-4738		20070917
KR 2007113253	A	20071128	KR	2007-721867		20070921
IN 2007CN04285	A	20071221	IN	2007-CN4285		20070927
CN 101166720	Α	20080423	CN	2006-80014554		20071029
PRIORITY APPLN. INFO.:			JP	2005-52762	A	20050228
			WO	2006-JP304193	W	20060228
			WO	2006-JP4193	W	20060228

OTHER SOURCE(S): MARPAT 145:293047

$$\begin{array}{c}
R1 \\
X \\
X
\end{array}$$

$$\begin{array}{c}
(CH_2) \\
R \\
A \\
B
\end{array}$$

$$\begin{array}{c}
R_2 \\
R_3
\end{array}$$

$$\begin{array}{c}
R_4 \\
R_5
\end{array}$$

$$\begin{array}{c}
R_6 \\
R_6
\end{array}$$
I

The title compds. I [R1, R4 = H, alkyl, alkenyl, etc.; R2 = H; R3 = alkyl; or CR2R3 is CO, or CR2R3 is C=CR7R8; R7, R8 = H, alkyl; R5, R6 = H, alkyl, haloalkyl; X, Y = CH, N; Z = O, S; A = (un)substituted pyrazole, thiophene, furan, or pyrrole ring; B = (un)substituted alkylene; n = 0 - 5] are prepared Thus, $2-[4-[3-[3-isopropyl-1-(4-trifluoromethylphenyl)-1H- pyrazol-4-yl]propionyl]-2-methylphenoxy]-2-methylpropionic acid was prepared in a multistep process from [3-isopropyl-1-(4-trifluoromethylphenyl)-1H- pyrazol-4-yl]methanol. In an assay for the activation of peroxisome proliferator-activated receptor <math>\delta$, compds. of this invention showed high activity.

IT 908250-27-1P 908250-29-3P 908250-33-9P 908250-35-1P 908250-41-9P 908250-44-2P 908250-48-6P 908250-52-2P 908250-56-6P 908250-66-8P 908250-70-4P 908250-89-5P 908251-11-6P 908251-15-0P 908251-23-0P 908251-27-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as activators for peroxisome proliferator-activated receptor δ)

RN 908250-27-1 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[3-[3-(1-methylethyl)-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]-1-oxopropyl]phenoxy]- (CA INDEX NAME)

PAGE 1-A

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RN

908250-29-3 CAPLUS Acetic acid, 2-[2-methyl-4-[3-[3-(1-methylethyl)-1-[4-СИ (trifluoromethyl)phenyl]-1H-pyrazol-4-yl]-1-oxopropyl]phenoxy]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

908250-33-9 CAPLUS RN

 $\label{eq:propanoic} \mbox{Propanoic acid, 2-methyl-2-[2-methyl-4-[3-[3-(1-methylethyl)-1-(5-methyl-2-methyl$ CN pyridinyl)-1H-pyrazol-4-yl]-1-oxopropyl]phenoxy]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

908250-35-1 CAPLUS Acetic acid, 2-[2-methyl-4-[3-[3-(1-methylethyl)-1-(5-methyl-2-pyridinyl)-1CN 1H-pyrazol-4-yl]-1-oxopropyl]phenoxy]- (CA INDEX NAME)

10/517,214

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PAGE 2-A

HO2C_CH2_6

RN 908250-41-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[3-[1-(1-methylethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]phenoxy]- (CA INDEX NAME)

$$\begin{array}{c} \text{i-Pr} \\ \text{N} \\ \text{CH}_2\text{-CH}_2\text{-} \\ \text{CH}_2\text{-} \\ \text{CH}_3 \end{array}$$

RN 908250-44-2 CAPLUS

CN Acetic acid, 2-[2-methyl-4-[3-[1-(1-methylethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]phenoxy]- (CA INDEX NAME)

RN 908250-48-6 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-methylene-3-[1-(1-methylethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]propyl]phenoxy]- (CA INDEX NAME)

RN 908250-52-2 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-methyl-3-[1-(1-methylethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]propyl]phenoxy]- (CA INDEX NAME)

RN 908250-56-6 CAPLUS

CN Propanoic acid, 2-[2-methyl-4-[3-[1-(1-methylethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]phenoxy]- (CA INDEX NAME)

RN 908250-66-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[1-hexyl-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 908250-70-4 CAPLUS

CN Acetic acid, 2-[4-[3-[1-hexyl-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]-2-methylphenoxy]- (CA INDEX NAME)

RN 908250-89-5 CAPLUS

CN Propanoic acid, 2-[4-[3-[1-hexyl-3-(4-methylphenyl)-1H-pyrazol-5-yl]-1-oxopropyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 908251-11-6 CAPLUS

CN Acetic acid, 2-[4-[3-[1-(cyclopropylmethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]-2-methylphenoxy]- (CA INDEX NAME)

RN 908251-15-0 CAPLUS

CN Propanoic acid, 2-[4-[3-[1-(cyclopropylmethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

$$CH_2$$
 CH_2
 CH_2
 CH_2
 CH_2
 CH_2
 CH_2
 CH_2
 CH_3

RN 908251-23-0 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-oxo-3-[1-(phenylmethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]propyl]phenoxy]- (CA INDEX NAME)

10/517,214

RN 908251-27-4 CAPLUS
CN Acetic acid, 2-[2-methyl-4-[1-oxo-3-[1-(phenylmethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]propyl]phenoxy]- (CA INDEX NAME)

IT 908250-26-0P 908250-28-2P 908250-32-8P 908250-34-0P 908250-40-8P 908250-42-0P 908250-46-4P 908250-50-0P 908250-54-4P 908250-64-6P 908250-68-0P 908250-87-3P 908251-09-2P 908251-13-8P 908251-21-8P 908251-25-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of heterocyclic compds. as activators for peroxisome proliferator-activated receptor δ) RN 908250-26-0 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[3-[3-(1-methylethyl)-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]-1-oxopropyl]phenoxy]-, ethyl ester (CA INDEX NAME)

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PAGE 2-A

k

RN 908250-28-2 CAPLUS

CN Acetic acid, 2-[2-methyl-4-[3-[3-(1-methylethyl)-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]-1-oxopropyl]phenoxy]-, ethyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 908250-32-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[3-[3-(1-methylethyl)-1-(5-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-1-oxopropyl]phenoxy]-, ethyl ester (CA INDEX NAME)

PAGE 1-A

k

RN 908250-34-0 CAPLUS

CN Acetic acid, 2-[2-methyl-4-[3-[3-(1-methylethyl)-1-(5-methyl-2-pyridinyl)-1+pyrazol-4-yl]-1-oxopropyl]phenoxy]-, ethyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 908250-40-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[3-[1-(1-methylethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 908250-42-0 CAPLUS

CN Acetic acid, 2-[2-methyl-4-[3-[1-(1-methylethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 908250-46-4 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-methylene-3-[1-(1-methylethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]propyl]phenoxy]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{i-Pr} \\ \text{N} \\ \text{CH}_2\text{-CH}_2\text{-CH}_2 \\ \end{array}$$

RN 908250-50-0 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-methyl-3-[1-(1-methylethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]propyl]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 908250-54-4 CAPLUS

CN Propanoic acid, 2-[2-methyl-4-[3-[1-(1-methylethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]phenoxy]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{i-Pr} \\ \text{N} \\ \text{CH}_2 - \text{CH}_2 - \text{C} \\ \end{array}$$

RN 908250-64-6 CAPLUS

CN Propanoic acid, 2-[4-[3-[1-hexyl-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

$$CH_2$$
 $S-Me$ O CH_2 CH_2 CH_2 CH_2 CH_3

RN 908250-68-0 CAPLUS

CN Acetic acid, 2-[4-[3-[1-hexyl-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

RN 908250-87-3 CAPLUS

CN Propanoic acid, 2-[4-[3-[1-hexyl-3-(4-methylphenyl)-1H-pyrazol-5-yl]-1-oxopropyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 908251-09-2 CAPLUS

CN Acetic acid, 2-[4-[3-[1-(cyclopropylmethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)

$$CH_2$$
 CH_2
 RN 908251-13-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[1-(cyclopropylmethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-1-oxopropyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

$$CH_2$$
 CH_2
 RN 908251-21-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[1-oxo-3-[1-(phenylmethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]propyl]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 908251-25-2 CAPLUS

CN Acetic acid, 2-[2-methyl-4-[1-oxo-3-[1-(phenylmethyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]propyl]phenoxy]-, ethyl ester (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 8 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:453930 CAPLUS Full-text

DOCUMENT NUMBER: 144:480470

TITLE: Pyridone derivatives as potent and selective VLA-4

integrin antagonists. [Erratum to document cited in

CA144:403837]

AUTHOR(S): Witherington, Jason; Bordas, Vincent; Gaiba,

> Alessandra; Green, Phil M.; Naylor, Antoinette; Parr, Nigel; Smith, David G.; Takle, Andrew K.; Ward, Robert

Department of Medicinal Chemistry, Neurology & GI CORPORATE SOURCE:

Centre of Excellence for Drug Discovery,

GlaxoSmithKline Research Limited Harlow, Essex, CM19

5AW, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),

16(12), 3341

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

The legends to Figures 1b and 3b are incorrect. In Figure 1b, the legend AΒ should read: "GASP molecular overlay of 8 (green) and 5 (yellow)". In Figure 3b, the legend should read: "Molecular overlay of 8 (green) and 10 (yellow)".

884347-90-4 884347-93-7 884347-94-8 ΤТ

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(pyridone derivs. as potent and selective VLA-4 integrin antagonists (Erratum))

RN 884347-90-4 CAPLUS

Benzenepropanoic acid, 4-[[3-[4-[[(2-methylphenyl)amino]carbonyl]amino]phCN enyl]-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

884347-93-7 CAPLUS RN

CN Benzenepropanoic acid, 4-[[4-[4-[((2-methylphenyl)amino]carbonyl]amino]phenyl]-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 884347-94-8 CAPLUS

CN Benzenepropanoic acid, 4-[[3-[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

L23 ANSWER 9 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:436703 CAPLUS Full-text

DOCUMENT NUMBER: 144:468151

TITLE: Preparation of carboxylic acid derivatives containing

thiazole moiety as PPARlpha agonists

INVENTOR(S): Tozawa, Takashi; Tsuruta, Osamu; Kitajima, Hiroshi;

Aoki, Yoshiyuki; Ando, Naoko; Tamakawa, Hiroki

PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan

SOURCE: PCT Int. Appl., 512 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'									APPLICATION NO.									
WO									WO 2005-JP20262									
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
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		MΖ,	NA,	NG,	ΝI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
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		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,	
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	KΖ,	MD,	RU,	ΤJ,	TM											
AU	AU 2005301626				A1 20060511				AU 2005-301626					20051104				
CA	CA 2587023				A1 20060511				CA 2	005-	2587	20051104						
EP	EP 1816128				A1 20070808				EP 2005-800453					20051104				
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		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR		
CN	CN 101068797					A 20071107				CN 2	005-	8003	20051104					
KR	KR 2007085687					A 20070827				KR 2	007-	7125	20070601					
IN	IN 2007CN02394					A 20070907			IN 2007-CN2394					20070604				
US	US 20080167307					A1 20080710			US 2007-667006					20071115				
PRIORIT	IORITY APPLN. INFO.:									JP 2	004-	3213	47		A 2	0041	104	
										WO 2	005-	JP20	262	1	W 2	0051	104	
OTHER SO	HER SOURCE(S):					PAT	144:	4681	51									

$$Z-Y-CH2$$
 $R4$ S S S $R1$ $R2$ $OR3$

Title compds. I [R1, R2 = H, alkyl; R1 and R2 may combine to form cycloalkyl; R3 = H, alkyl; R4 = H, alkyl, aryl; n = 1-5; Y = -0-, -S-, -NR5-, etc; R5 = H, alkyl, cycloalylalkyl, etc.; Z = cycloalkyl, aryl, arylalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, DIAD mediated alkylation of 2-[[4-(2-hydroxyethyl)-1,3-thiazol-2-yl]thio]-2-methylpropionic

acid tert-Bu ester, e.g., prepared from 4-chloro-3-oxobutanoic acid Et ester in 4 steps, with 4'-fluorobiphenyl-4- ol followed by treatment with trifluoroacetic acid afforded compound II. In PPAR α transcription activation assays, the EC50 value of compound II was 10.4 nmol/L. Compds. I are claimed useful for the treatment of hyperlipidemia, arteriosclerosis, etc.

IT 886532-83-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of carboxylic acid derivs. containing thiazole moiety as PPAR α agonists for treatment of hyperlipidemia and arteriosclerosis)

RN 886532-83-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[[4-[2-[[(1-phenyl-1H-pyrazol-4-yl)carbonyl]amino]ethyl]-2-thiazolyl]thio]-, 1,1-dimethylethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ \text{N} \end{array} \begin{array}{c} \text{O} \\ \text{C} \\ \text{NH} \end{array} \begin{array}{c} \text{CH}_2 \\ \text{CH}_2 \end{array} \begin{array}{c} \text{CH}_2 \\ \text{S} \end{array} \begin{array}{c} \text{Me} \\ \text{O} \\ \text{Me} \end{array} \begin{array}{c} \text{OBu-t} \\ \text{OBu-t} \end{array}$$

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 10 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:232883 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 144:403837

TITLE: Pyridone derivatives as potent and selective VLA-4

integrin antagonists

AUTHOR(S): Witherington, Jason; Bordas, Vincent; Gaiba,

Alessandra; Green, Phil M.; Naylor, Antoinette; Parr, Nigel; Smith, David G.; Takle, Andrew K.; Ward, Robert

W.

CORPORATE SOURCE: Department of Medicinal Chemistry, Neurology & GI

Centre of Excellence for Drug Discovery,

GlaxoSmithKline Research Limited, Essex, CM19 5AW, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),

16(8), 2256-2259

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:403837

GΙ

Ι

AB A novel series of pyridone inhibitors has been identified through pharmacophore anal., as potent antagonists of VLA-4. Analog I exhibited excellent inhibitory potency.

IT 884347-90-4 884347-93-7 884347-94-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyridone derivs. as potent and selective VLA-4 integrin antagonists)

RN 884347-90-4 CAPLUS

CN Benzenepropanoic acid, 4-[[3-[4-[[[(2-methylphenyl)amino]carbonyl]amino]ph enyl]-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

RN 884347-93-7 CAPLUS

CN Benzenepropanoic acid, 4-[[4-[4-[[[(2-methylphenyl)amino]carbonyl]amino]ph enyl]-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

Me

PAGE 2-A

RN 884347-94-8 CAPLUS

CN Benzenepropanoic acid, 4-[[3-[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 11 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:152542 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 144:233069

TITLE: Pyrazole amide derivatives, compositions containing

such compounds and methods of use in treating diabetes

and related disorders

INVENTOR(S): Beeson, Teresa; Brockunier, Linda; Parmee, Emma R.;

Raghavan, Subharekha

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND		DATE			APPLICATION NO.						DATE		
WO 2006017055				A2 20060216			,	WO 2	005-	20050701							
WO 2006017055				A3 20060810													
W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AΖ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
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	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	

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             KG, KZ, MD, RU, TJ, TM
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                                            AU 2005-272043
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     CA 2572745
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     EP 1765335
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                                                                     20050701
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                                             IN 2006-DN8007
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     US 20070203186
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                                             US 2007-631580
                                                                    20070104
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PRIORITY APPLN. INFO.:
                                             US 2004-586047P
                                                                 Ρ
                                                                    20040707
                                             WO 2005-US23684
                                                                 W 20050701
```

OTHER SOURCE(S): MARPAT 144:233069

Pyrazole amides of general formula I (wherein Y = C(0)N(R5) or O; one of A and AΒ B = C(0)NHR1 and the other = substituted phenyl; R1 = H, (un)substituted C1-6alkyl, (un)substituted aryl, heteroaryl, or heterocyclyl; R2 = H, halo, OH, CO2Ra, CN, SOpRd, NO2, (un) substituted C1-6alkyl or OC1-6alkyl; R3 = H or C1-3alkyl; R5 = H or C1-6 alkyl; R6 = H, OH, F and C1-3alkyl; R7 = H or F, or R6and R7 together = oxo; Ra= H or (un) substituted C1-10alkyl; Rd = C1-10alkyl, aryl, aryl-C1-10alkyl; m = 0-2; n = 0-6; p = 1-2; when ≥ 1 of m and n is other than 0, Z = CO2Ra, 5-tetrazolyl and 5-(2-oxo-1,3,4-oxadiazolyl), and when both m and n = 0, Z = 5-tetrazolyl and $5-(2-\infty x - 1, 3, 4-\infty x diazolyl); <math>X = CH$ or N) are disclosed. The compds. are useful for treating type 2 diabetes and related conditions. Pharmaceutical compns. and methods of treatment are also included. For example, II was provided in a multi-step synthesis starting from the reaction of 3',4',5'-trifluoroacetophenone with di-tert-Bu oxalate. IC50 values for I as glucagon receptor antagonists in CHO cells expressing human glucagon receptors ranged from 1-500 nM. ΙT

Ι

876055-43-5P 876055-47-9P 876055-48-0P, 4-[4-[[5-[[[4-(Trifluoromethoxy)phenyl]amino]carbonyl]-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]methyl]phenoxy]butanoic acid 876055-49-1P 876055-51-5P 876055-52-6P 876055-55-9P 876055-58-2P 876055-61-7P 10/517,214

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876055-63-9P 876055-66-2P 876055-68-4P
876055-70-8P 876055-74-2P 876055-76-4P
876055-78-6P 876055-80-0P 876055-81-1P
876055-83-3P 876055-85-5P 876055-88-8P
876055-90-2P 876055-92-4P 876055-93-5P
876055-94-6P 876055-96-8P 876055-98-0P
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876056-13-2P 876056-14-3P 876056-15-4P
876056-30-3P 876056-33-6P 876056-35-8P
876056-36-9P 876056-37-0P 876056-38-1P
876056-39-2P 876056-45-0P 876056-46-1P
876056-47-2P 876056-48-3P 876056-51-8P
876056-53-0P 876056-54-1P 876056-55-2P
876056-56-3P
```

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 876055-43-5 CAPLUS

CN β -Alanine, N-[4-[[5-[[[trans-4-(1,1-dimethylethyl)cyclohexyl]amino]ca rbonyl]-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 876055-47-9 CAPLUS

CN β -Alanine, N-[4-[[5-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-48-0 CAPLUS

CN Butanoic acid, 4-[4-[[5-[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]methyl]phenoxy]- (CA INDEX NAME)

RN 876055-49-1 CAPLUS

CN β -Alanine, N-[[6-[[3-(3,4-dichlorophenyl)-5-[[[cis-4-(1,1-dimethylethyl)cyclohexyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]-3-pyridinyl]carbonyl]- (CA INDEX NAME)

RN 876055-51-5 CAPLUS

CN β -Alanine, N-[4-[[5-(3,4-dichlorophenyl)-3-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 876055-52-6 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[(phenylamino)carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-55-9 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[[4-(trifluoromethyl)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 876055-58-2 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 876055-61-7 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[[3-(trifluoromethoxy)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 876055-63-9 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[[trans-4-(1,1-dimethylethyl)cyclohexyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 876055-66-2 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 876055-68-4 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[[4-(1,1-dimethylethyl)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-70-8 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5- [[(phenylmethyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-74-2 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[(2,3-dihydro-1H-inden-2-yl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-76-4 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[(4-fluorophenyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-78-6 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[(3,4-difluorophenyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-80-0 CAPLUS

CN β -Alanine, N-[4-[[5-[[(4-cyclohexylphenyl)amino]carbonyl]-3-(3,4-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-81-1 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[[(1S)-1-phenylethyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 876055-83-3 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[[(1R)-1-phenylethyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 876055-85-5 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[(1-phenylcyclopropyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

$$HO_2C-CH_2-CH_2-NH-C$$

$$CH_2-NH-C$$

$$CH_2-NH-C$$

$$NH$$

$$CH_2-NH-C$$

$$NH$$

$$Ph$$

RN 876055-88-8 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[(3-methoxyphenyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-90-2 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[(4-methoxyphenyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-92-4 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[(4-methyl-2-thiazolyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-93-5 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[(5-methyl-2-thiazolyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-94-6 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[(4,5-dimethyl-2-thiazolyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-96-8 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[(5-methyl-2-pyridinyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876055-98-0 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[(1-phenyl-4-piperidinyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876056-00-7 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[(4-phenylcyclohexyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876056-02-9 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[[[cis-4-(1,1-dimethylethyl)cyclohexyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 876056-03-0 CAPLUS

CN β -Alanine, N-[4-[[5-[[(4-fluorophenyl)amino]carbonyl]-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876056-04-1 CAPLUS

CN β -Alanine, N-[4-[[3-[4-(trifluoromethoxy)phenyl]-5-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 876056-05-2 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-difluorophenyl)-5-[[(4-fluorophenyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876056-06-3 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-difluorophenyl)-5-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 876056-07-4 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-difluorophenyl)-5-[[(4-fluorophenyl)amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876056-08-5 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-difluorophenyl)-5-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 876056-09-6 CAPLUS

CN β -Alanine, N-[4-[[5-[[[trans-4-(1,1-dimethylethyl)cyclohexyl]amino]ca rbonyl]-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876056-10-9 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-difluorophenyl)-5-[[[trans-4-(1,1-dimethylethyl)cyclohexyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 876056-11-0 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-difluorophenyl)-5-[[[trans-4-(1,1-dimethylethyl)cyclohexyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876056-12-1 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-difluorophenyl)-5-[[[cis-4-(1,1-dimethylethyl)cyclohexyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 876056-13-2 CAPLUS

CN β -Alanine, N-[4-[[5-[[[cis-4-(1,1-dimethylethyl)cyclohexyl]amino]carb onyl]-3-(2,4,5-trifluorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 876056-14-3 CAPLUS

CN β -Alanine, N-[4-[[5-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-3-(2,4,5-trifluorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876056-15-4 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 876056-30-3 CAPLUS

CN Butanoic acid, 4-[4-[[3-(3,4-dichlorophenyl)-5-[[[cis-4-(1,1-dimethylethyl)cyclohexyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]phenoxy]-(CA INDEX NAME)

RN 876056-33-6 CAPLUS

CN Butanoic acid, 4-[4-[[3-(3,4-difluorophenyl)-5-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]phenoxy]-(CA INDEX NAME)

RN 876056-35-8 CAPLUS

CN Butanoic acid, 4-[4-[[3-(3,5-difluorophenyl)-5-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]phenoxy]-(CA INDEX NAME)

RN 876056-36-9 CAPLUS

CN Butanoic acid, 4-[4-[[3-(3,5-difluorophenyl)-5-[[[cis-4-(1,1-dimethylethyl)cyclohexyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]phenoxy]-(CA INDEX NAME)

Relative stereochemistry.

RN 876056-37-0 CAPLUS

CN β -Alanine, N-[[6-[[3-(3,4-difluorophenyl)-5-[[[cis-4-(1,1-dimethylethyl)cyclohexyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]-3-pyridinyl]carbonyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 876056-38-1 CAPLUS

CN β -Alanine, N-[[6-[[3-(3,5-difluorophenyl)-5-[[[trans-4-(1,1-dimethylethyl)cyclohexyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]-3-pyridinyl]carbonyl]- (CA INDEX NAME)

RN 876056-39-2 CAPLUS

CN β -Alanine, N-[[6-[[3-(3,5-difluorophenyl)-5-[[[cis-4-(1,1-dimethylethyl)cyclohexyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]-3-pyridinyl]carbonyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 876056-45-0 CAPLUS

CN β -Alanine, N-[4-[[5-(3,4-dichlorophenyl)-3-[(phenylamino)carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876056-46-1 CAPLUS

CN β -Alanine, N-[4-[[5-(3,4-dichlorophenyl)-3-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 876056-47-2 CAPLUS

CN β -Alanine, N-[4-[[5-(3,4-dichlorophenyl)-3-[[[4-(trifluoromethyl)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 876056-48-3 CAPLUS

CN β -Alanine, N-[4-[[5-(3,4-dichlorophenyl)-3-[[[3-

(trifluoromethoxy)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl](CA INDEX NAME)

RN 876056-51-8 CAPLUS

CN β -Alanine, N-[4-[[5-(3,4-dichlorophenyl)-3-[[[4-(1,1-dimethylethyl)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876056-53-0 CAPLUS

CN β -Alanine, N-[4-[[5-(3,4-dichlorophenyl)-3-[[[trans-4-(1,1-dimethylethyl)cyclohexyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876056-54-1 CAPLUS

CN β -Alanine, N-[4-[[5-[4-(trifluoromethoxy)phenyl]-3-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 876056-55-2 CAPLUS

CN β -Alanine, N-[4-[[3-[[[trans-4-(1,1-dimethylethyl)cyclohexyl]amino]ca rbonyl]-5-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 876056-56-3 CAPLUS

CN β -Alanine, N-[4-[[3-[[[cis-4-(1,1-dimethylethyl)cyclohexyl]amino]carb onyl]-5-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

Relative stereochemistry.

INDEX NAME)

RN 876056-73-4 CAPLUS

CN β -Alanine, N-[4-[[5-[[[trans-4-(1,1-dimethylethyl)cyclohexyl]amino]ca rbonyl]-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 876056-75-6 CAPLUS

CN β -Alanine, N-[4-[[5-[[[cis-4-(1,1-dimethylethyl)cyclohexyl]amino]carb onyl]-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Relative stereochemistry.

RN 876056-78-9 CAPLUS

CN β -Alanine, N-[4-[[5-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 876056-82-5 CAPLUS

CN Butanoic acid, 4-[4-[[5-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

L23 ANSWER 12 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:120430 CAPLUS Full-text

DOCUMENT NUMBER: 144:212769

TITLE: Preparation of heterobiarylpyrazolylalkylbenzoyl

 $\beta\text{-alanine}$ amides as glucagon receptor antagonists for treatment of diabetes and atherosclerosis.

INVENTOR(S): Brockunier, Linda; Guo, Jian; Liang, Rui; Parmee, Emma

R.; Raghavan, Subharekha; Tria, George Scott; Xiong,

Yusheng

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 75 pp.

PCT Int. Appl., 75 pp. CODEN: PIXXD2

CODEN: PIX

DOCUMENT TYPE: Patent

10/517,214 July 25, 2008

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.					KIND		DATE		APPLICATION NO.					DATE			
WC	WO 2006014618				A2		20060209		WO 2005-US25541						20050719			
WC	WO 2006014618				A3 200610			1019										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KΖ,	
							LU,											
		NG,	ΝΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	
		SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	
		ZA,	ZM,	ZW														
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
							GN,											
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	KΖ,	MD,	RU,	ТJ,	TM											
AU	AU 2005269792				A1 20060209					AU 2005-269792					20050719			
CA	CA 2574147				A1 20060209			CA 2005-2574147					20050719					
EF	2 1773330			A2 20070418			EP 2005-773502					20050719						
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	
		BA,	HR,	MK,	YU													
CN	CN 1993124				A 20070704					CN 2005-80024661					20050719			
	JP 2008507528					T 20080313				JP 2007-522643					20050719			
US	US 20080108620						20080508			US 2007-632198					20070117			
IN	IN 2007DN00808						20070803			IN 2007-DN808						0070		
PRIORIT	RIORITY APPLN. INFO.:									US 2	004-	5901	72P		P 2	0040	722	
										WO 2	005-	US25	541	•	W 2	0050	719	
OTHER S	THER SOURCE(S): I				MARPAT 144:21276				69									

AB Title compds. [I; A = (substituted) 9-10 membered bicyclic heteroaryl; R1 = H, halo, OH, cyano, NO2, (substituted) alkyl, alkoxy, amino, carboxy, carboxamide, etc.; R2 = H, alkyl], were prepared as glucagon receptor antagonists (no data). Thus, title compound (II) was prepared in several steps from quinoline-3-carboxylic acid, ethynylmagnesium bromide, 3,5-dichloroiodobenzene, Et 4-[(1S)-1-hydazinoethyl]benzoate hydrochloride, and tert-Bu β-alaninate hydrochloride.

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TT 875557-62-3P 875557-63-4P 875557-64-5P 875557-65-6P 875557-66-7P 875557-67-8P 875557-68-9P 875557-69-0P 875557-70-3P 875557-71-4P 875557-72-5P 875557-73-6P 875557-74-7P 875557-75-8P 875557-76-9P 875557-77-0P 875557-78-1P 875557-79-2P 875557-80-5P 875557-81-6P 875557-82-7P 875557-83-8P 875557-84-9P 875557-85-0P 875557-86-1P 875557-87-2P 875557-83-3P 875557-89-4P 875557-92-9P 875557-93-0P 875557-94-1P 875557-92-9P 875557-93-0P 875557-97-4P 875557-98-5P 875557-99-6P 875558-00-2P
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^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

875558-01-3P 875558-02-4P 875558-03-5P 875558-04-6P 875558-05-7P 875558-06-8P 875558-07-9P 875558-08-0P 875558-09-1P 875558-10-4P 875558-11-5P 875558-12-6P 875558-13-7P 875558-14-8P 875558-15-9P 875558-16-0P 875558-17-1P 875558-18-2P 875558-19-3P 875558-20-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of heterobiarylpyrazolylalkylbenzoyl $\beta\text{-alanine}$ amides as glucagon receptor antagonists for treatment of diabetes and atherosclerosis)

RN 875557-62-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-63-4 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(6-quinoxalinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-64-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-(7-methoxy-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-65-6 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(7-methoxy-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-66-7 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-[7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 875557-67-8 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(6-methoxy-2-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-68-9 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[5-(trifluoromethoxy)-1H-benzimidazol-2-yl]-1H-pyrazol-1-yl]methyl]benzoyl]- (9CI) (CA INDEX NAME)

RN 875557-69-0 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[1-methyl-5-(trifluoromethyl)-1H-benzimidazol-2-yl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 875557-70-3 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[1-methyl-5-(trifluoromethoxy)-1H-benzimidazol-2-yl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 875557-71-4 CAPLUS

CN β -Alanine, N-[4-[[5-(2-benzothiazolyl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 875557-72-5 CAPLUS

CN β -Alanine, N-[4-[[5-(6-chloro-2-benzothiazolyl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 875557-73-6 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[5-(trifluoromethyl)-1H-benzimidazol-2-yl]-1H-pyrazol-1-yl]methyl]benzoyl]- (9CI) (CA INDEX NAME)

RN 875557-74-7 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[1-methyl-6-(trifluoromethyl)-1H-benzimidazol-2-yl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 875557-75-8 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[1-methyl-6-(trifluoromethoxy)-1H-benzimidazol-2-yl]-1H-pyrazol-1-yl]methyl]benzoyl]-(CA INDEX NAME)

RN 875557-76-9 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(5,6-difluoro-2-benzoxazolyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 875557-77-0 CAPLUS

CN β -Alanine, N-[4-[[5-(5-chloro-2-benzothiazolyl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 875557-78-1 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[5-(trifluoromethyl)-2-benzothiazolyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 875557-79-2 CAPLUS

CN β -Alanine, N-[4-[1-[5-(5-chloro-1H-indol-2-yl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-80-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethyl)-1H-indol-2-yl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-81-6 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(1H-indol-2-yl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-82-7 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(6-methoxy-2-benzothiazolyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 875557-83-8 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-chloro-1H-indol-2-yl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-84-9 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(5-methoxy-1H-indol-2-yl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-85-0 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-fluoro-5-(trifluoromethyl)phenyl]-5-(1H-indol-2-yl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-86-1 CAPLUS

CN β -Alanine, N-[4-[[5-(2-benzofuranyl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 875557-87-2 CAPLUS

CN β -Alanine, N-[4-[[5-(2-benzofuranyl)-3-[2-propoxy-4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 875557-88-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(3-isoquinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-89-4 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(2-quinoxalinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-90-7 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(6-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-91-8 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethoxy)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-92-9 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-fluoro-5-(trifluoromethyl)phenyl]-5-[7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-93-0 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(2-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-94-1 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-(2-quinoxalinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-95-2 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-[7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-96-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-[7-(trifluoromethoxy)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-97-4 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-fluoro-5-(trifluoromethyl)phenyl]-5-[7-(trifluoromethoxy)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-98-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-fluoro-5-(trifluoromethyl)phenyl]-5-(7-methoxy-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875557-99-6 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,4-dichlorophenyl)-5-[7-(trifluoromethoxy)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-00-2 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-[7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-01-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-[8-fluoro-7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-02-4 CAPLUS

CN β -Alanine, N-[4-[1-[5-(7-chloro-3-quinoliny1)-3-(3,5-dichloropheny1)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-03-5 CAPLUS

CN β -Alanine, N-[4-[1-[5-(7-chloro-3-quinolinyl)-3-[2-fluoro-4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-04-6 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,4-dichlorophenyl)-5-(6,7,8-trifluoro-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-05-7 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-(6,7,8-trifluoro-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-06-8 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-(6-methoxy-2-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-07-9 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-[6-(trifluoromethyl)-2-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-08-0 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethoxy)-2-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-09-1 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,4-dichlorophenyl)-5-(7-methoxy-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-10-4 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,4-dichlorophenyl)-5-[7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-11-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-[7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-12-6 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-[8-methoxy-7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-13-7 CAPLUS

CN β -Alanine, N-[4-[1-[5-(7-chloro-3-quinoliny1)-3-(3,4-dichloropheny1)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-14-8 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(6,7,8-trifluoro-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-15-9 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(7-methoxy-2-methyl-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-16-0 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-(6-methoxy-2-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-17-1 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethyl)-2-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-18-2 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-[6-(trifluoromethyl)-2-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-19-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,4-dichlorophenyl)-5-[6-(trifluoromethoxy)-2-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-20-6 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-[6-(trifluoromethoxy)-2-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

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     875558-94-4P 875558-95-5P 875558-96-6P
     875558-97-7P 875558-98-8P 875558-99-9P
     875559-00-5P 875559-01-6P 875559-02-7P
     875559-03-8P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterobiarylpyrazolylalkylbenzoyl β -alanine amides as glucagon receptor antagonists for treatment of diabetes and atherosclerosis)

RN 875558-60-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-61-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(7-methoxy-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-62-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-(7-methoxy-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-63-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(6-methoxy-2-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-64-8 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(2-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-65-9 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(2-quinoxalinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-66-0 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-(2-quinoxalinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-68-2 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(6-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-69-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-[7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-70-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethoxy)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$

RN 875558-71-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-[7-(trifluoromethoxy)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

$$F_3C$$

N

Me

S

C1

C1

RN 875558-72-8 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-fluoro-5-(trifluoromethyl)phenyl]-5-[7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-73-9 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-fluoro-5-(trifluoromethyl)phenyl]-5-[7-(trifluoromethoxy)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-74-0 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-fluoro-5-(trifluoromethyl)phenyl]-5-(7-methoxy-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-75-1 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,4-dichlorophenyl)-5-(7-methoxy-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-76-2 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,4-dichlorophenyl)-5-[7-(trifluoromethoxy)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

$$F_3C$$

N

Me

S

C1

RN 875558-77-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,4-dichlorophenyl)-5-[7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3C$$
 N
 Me
 S
 C_1
 C_1

RN 875558-78-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-[7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-79-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-[7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-80-8 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-[8-fluoro-7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

$$F_3C$$
 N
 N
 N
 C_1
 C_2
 C_2
 C_3
 C_4
 C_4
 C_5
 C_4

RN 875558-81-9 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-[8-methoxy-7-(trifluoromethyl)-3-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-82-0 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(7-chloro-3-quinoliny1)-3-(3,5-dichloropheny1)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-83-1 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(7-chloro-3-quinoliny1)-3-(3,4-dichloropheny1)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-84-2 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(7-chloro-3-quinolinyl)-3-[2-fluoro-4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-85-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(6,7,8-trifluoro-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-86-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,4-dichlorophenyl)-5-(6,7,8-trifluoro-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-87-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-(6,7,8-trifluoro-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-88-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(7-methoxy-2-methyl-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-89-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-(6-methoxy-2-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-90-0 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-(6-methoxy-2-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

10/517,214 July 25, 2008

RN 875558-91-1 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethyl)-2-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-92-2 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-[6-(trifluoromethyl)-2-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

July 25, 2008

RN 875558-93-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-[6-(trifluoromethyl)-2-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$_{\mathrm{F_{3}C}}$$
 $_{\mathrm{N}}$ $_{\mathrm{N}}$ $_{\mathrm{C1}}$ $_{\mathrm{N}}$ $_{\mathrm{C0_{2}H}}$

RN 875558-94-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethoxy)-2-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-95-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,4-dichlorophenyl)-5-[6-(trifluoromethoxy)-2-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-96-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-chloro-5-(trifluoromethyl)phenyl]-5-[6-(trifluoromethoxy)-2-quinolinyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-97-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(5-chloro-1H-indol-2-y1)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-98-8 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-1H-indol-2-yl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875558-99-9 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethyl)-1H-indol-2-yl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875559-00-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(5-methoxy-1H-indol-2-yl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875559-01-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(1H-indol-2-yl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 875559-02-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-fluoro-5-(trifluoromethyl)phenyl]-5-(1H-indol-2-yl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 875559-03-8 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(3-isoquinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 870822-98-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-[(trifluoromethyl)sulfonyl]oxy]-1H-pyrazol-1-yl]ethyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 870822-99-4 CAPLUS

CN β -Alanine, N-[4-[(1R)-1-[3-(3,5-dichlorophenyl)-5-[(trifluoromethyl)sulfonyl]oxy]-1H-pyrazol-1-yl]ethyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

$$C1$$
 Me
 $OBu-t$
 F_3C

RN 875558-31-9 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 875558-36-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(7-methoxy-3-quinolinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]-, 1,1-dimethylethyl ester (CFINDEX NAME)

RN 875558-45-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(6-quinoxalinyl)-1H-pyrazol-1-yl]ethyl]benzoyl]-, ethyl ester (CA INDEX NAME)

L23 ANSWER 13 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1294055 CAPLUS Full-text

DOCUMENT NUMBER: 144:36336

TITLE: Pyrazole derivatives as antidiabetic agents, their

preparation, pharmaceutical compositions and use in

therapy

INVENTOR(S): Parmee, Emma R.; Xiong, Yusheng; Guo, Jian; Liang,

Rui; Brockunier, Linda

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 41 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.		KIND	DATE	AP	PLICAT	ION N	10.		Di	ATE	
US 20050272794		A1 20051208			US 2005-144332				20050603		
AU 2005252183 CA 2566945		A1 20051222 A1 20051222			AU 2005-252183 CA 2005-2566945				20050531 20050531		
WO 2005121097 WO 2005121097		A2 A3	2005122 2006021	-	WO 2005-US18828				20050531		
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10/517,214 July 25, 2008

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MR, NE, SN, TD, TG
    EP 1756064
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                              20070228
                                       EP 2005-754758
                                                               20050531
    EP 1756064
                        В1
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            IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
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OTHER SOURCE(S):
                      MARPAT 144:36336
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GΙ

The invention relates to naphthylpyrazoles of formula I, which are useful in AΒ the treatment on type 2 diabetes mellitus and related conditions. In compds. I, each R1 is independently selected from H, halo, OH, CO2R4, CN, SOpR1, NO2, (un) substituted C1-6 alkyl and (un) substituted C1-6 alkoxy, where R4 is H or C1-6 alkyl and p is 0-2; each R2 is selected from R1 as defined above, or two R2 groups can be taken together to represent a fused 5- or 6-membered ring containing 1 or 2 oxygen atoms and 1 or 2 optionally fluoro-substituted carbon atoms; and R3 is H or C1-3 alkyl. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I in combination with a pharmaceutically acceptable carrier, as well as to the use of the compns. in the treatment of type 2 diabetes (non-insulin-dependent), lipid disorders and other conditions. Condensation of tert-Bu carbazate with Et 4-acetylbenzoate followed by hydride reduction and HPLC separation of enantiomers gave the trifluoroacetate salt of II, which was deprotected and cyclized with Et 3-(3,5-dichlorophenyl)-3- oxopropanoate to give pyrazolone III. Compound III underwent O-sulfonylation with triflic anhydride followed by coupling with 6-methoxy-2-naphthylboronic acid, ester hydrolysis, amidation with tert-Bu β -alanine and ester cleavage to give naphthylpyrazole IV. The compds. of the invention express IC50 values ranging from $1\ \mathrm{nM}$ to $500\ \mathrm{nM}$ in a glucagon receptor binding assay.

870822-98-3P 870822-99-4P ΙT

> RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (chiral intermediate; preparation of naphthylpyrazole derivs. for the treatment of type 2 diabetes)

RN 870822-98-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-[[(trifluoromethyl)sulfonyl]oxy]-1H-pyrazol-1-yl]ethyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

$$C1$$
 Me
 $OBu-t$
 $F3C$

RN 870822-99-4 CAPLUS CN β -Alanine, N-[4-[(1R)-1-[3-(3,5-dichlorophenyl)-5-[[(trifluoromethyl)sulfonyl]oxy]-1H-pyrazol-1-yl]ethyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

870823-00-0P 870823-07-7P 870823-12-4P ΙT 870823-19-1P 870823-21-5P 870823-22-6P 870823-23-7P 870823-24-8P 870823-25-9P 870823-26-0P 870823-27-1P 870823-28-2P 870823-29-3P 870823-30-6P 870823-31-7P 870823-32-8P 870823-33-9P 870823-34-0P 870823-35-1P 870823-36-2P 870823-37-3P 870823-38-4P 870823-39-5P 870823-40-8P 870823-41-9P 870823-42-0P 870823-43-1P 870823-44-2P 870823-45-3P 870823-46-4P 870823-47-5P 870823-48-6P 870823-49-7P 870823-50-0P 870823-51-1P 870823-52-2P 870823-53-3P 870823-54-4P 870823-55-5P 870823-56-6P 870823-57-7P 870823-58-8P 870823-59-9P 870823-60-2P 870823-61-3P 870823-62-4P 870823-63-5P 870823-64-6P 870823-65-7P 870823-66-8P 870823-67-9P 870823-68-0P 870823-69-1P 870823-70-4P 870823-71-5P 870823-72-6P 870823-73-7P 870823-74-8P 870823-75-9P 870823-76-0P 870823-77-1P 870823-78-2P 870823-79-3P 870823-80-6P 870823-81-7P 870823-82-8P 870823-83-9P 870823-84-0P 870823-85-1P 870823-86-2P 870823-87-3P 870823-88-4P 870823-89-5P 870823-90-8P 870823-91-9P 870823-92-0P 870823-93-1P 870823-94-2P

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870823-95-3P 870823-96-4P 870823-97-5P
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870825-28-8P 870825-29-9P 870825-30-2P
870825-31-3P 870825-32-4P 870835-99-7P
870836-00-3P 870836-02-5P 870836-04-7P
870836-05-8P 870836-07-0P 870836-09-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
   (drug candidate; preparation of naphthylpyrazole derivs. for the treatment
   of type 2 diabetes)
870823-00-0 CAPLUS
\beta-Alanine, N-[4-[(1R)-1-[3-(3,5-dichlorophenyl)-5-[6-
(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA
INDEX NAME)
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Absolute stereochemistry.

RN

CN

RN 870823-07-7 CAPLUS

CN β -Alanine, N-[4-[1-[3-(2,5-dichlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-12-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 870823-19-1 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-fluoro-5-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-21-5 CAPLUS

CN β -Alanine, N-[4-[[3-[3,5-bis(trifluoromethyl)phenyl]-5-(2-naphthalenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-22-6 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(2-naphthalenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-23-7 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-24-8 CAPLUS

CN β -Alanine, N-[4-[[5-(6-methoxy-2-naphthalenyl)-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-25-9 CAPLUS

CN β -Alanine, N-[4-[[5-(2-naphthalenyl)-3-[2-propoxy-4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-26-0 CAPLUS

CN β -Alanine, N-[4-[[5-(6-methoxy-2-naphthalenyl)-3-[2-propoxy-4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-27-1 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-28-2 CAPLUS

CN β -Alanine, N-[4-[[5-[6-(trifluoromethoxy)-2-naphthalenyl]-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-29-3 CAPLUS

CN β -Alanine, N-[4-[[3-[2-propoxy-4-(trifluoromethyl)phenyl]-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-30-6 CAPLUS

CN β -Alanine, N-[4-[[3-(3-chloro-2-ethoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-31-7 CAPLUS

CN β -Alanine, N-[4-[[3-(4-chloro-3-fluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-32-8 CAPLUS

CN β -Alanine, N-[4-[[3-(2,4-difluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-33-9 CAPLUS

CN β -Alanine, N-[4-[[5-(6-methoxy-2-naphthalenyl)-3-[2-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-34-0 CAPLUS

CN β -Alanine, N-[4-[[3-(2-ethoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-35-1 CAPLUS

CN β -Alanine, N-[4-[[3-[2-fluoro-5-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-36-2 CAPLUS

CN β -Alanine, N-[4-[[3-(4-chloro-2-ethoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-37-3 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[7-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-38-4 CAPLUS

CN β -Alanine, N-[4-[[5-[7-(trifluoromethoxy)-2-naphthalenyl]-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-39-5 CAPLUS

CN β -Alanine, N-[4-[[3-[2-propoxy-4-(trifluoromethyl)phenyl]-5-[7-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-40-8 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[5-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-41-9 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[8-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 870823-42-0 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(4-chloro-2-propoxyphenyl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$F_{3}C$$

$$Me$$

$$Me$$

$$C1$$

$$H$$

$$CO_{2}H$$

RN 870823-43-1 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(4-chloro-2-propoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-44-2 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[5-chloro-2-(trifluoromethoxy)phenyl]-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-45-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[5-chloro-2-(trifluoromethoxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-46-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(6-ethoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-47-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-methoxy-2-naphthalenyl)-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-48-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

$$_{\mathrm{F_{3}C}}$$
 $_{\mathrm{Me}}$ $_{\mathrm{S}}$ $_{\mathrm{Co_{2}H}}$

RN 870823-49-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-50-0 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[5-chloro-2-(trifluoromethoxy)phenyl]-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

10/517,214 July 25, 2008

RN 870823-51-1 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-[5-chloro-2-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-52-2 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-53-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[4-chloro-2-(trifluoromethoxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-54-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[4-chloro-2-(trifluoromethoxy)phenyl]-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-55-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-methoxy-2-naphthalenyl)-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-56-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-[6-(trifluoromethoxy)-2-naphthalenyl]-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-57-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-methoxy-2-naphthalenyl)-3-[3-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-58-8 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-[6-(trifluoromethoxy)-2-naphthalenyl]-3-[3-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-59-9 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3-chloro-4-fluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-60-2 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3-chloro-4-fluorophenyl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$F_{3}C$$

$$Me$$

$$Me$$

$$C_{1}$$

$$H$$

$$C_{02}H$$

RN 870823-61-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-62-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-63-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-ethoxy-2-naphthalenyl)-3-[2-fluoro-4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-64-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3-chloro-4-fluorophenyl)-5-(6-ethoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-65-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3-chloro-4-fluorophenyl)-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$F_3$$
C Me S H CO_2H

RN 870823-66-8 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$_{\mathrm{F}_{3}\mathrm{C}}$$
 $_{\mathrm{Me}}$ $_{\mathrm{N}}$ $_{\mathrm{N}}$ $_{\mathrm{Co}_{2}\mathrm{H}}$

RN 870823-67-9 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-[6-(trifluoromethyl)-2-naphthalenyl]-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-68-0 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[3-(trifluoromethoxy)phenyl]-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-69-1 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,4-dichlorophenyl)-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-70-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(6-hydroxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-71-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-(cyclopropylmethoxy)-4-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-72-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-ethoxy-4-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-73-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-(cyclopentyloxy)-4-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-74-8 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[4-chloro-2-(trifluoromethoxy)phenyl]-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-75-9 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3-chloro-4-fluorophenyl)-5-(6-chloro-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-76-0 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-[2-fluoro-4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-77-1 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-78-2 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-[3-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-79-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-[4-chloro-2-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-80-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-(3,4-dichlorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-81-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,4-dichlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-82-8 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(5-chloro-2-fluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-83-9 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(5-chloro-2-fluorophenyl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-84-0 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3-chloro-4-methoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-85-1 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3-chloro-4-ethoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-86-2 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3-chloro-4-propoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-87-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[3-chloro-4-(cyclopropylmethoxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-88-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[3-chloro-4-(cyclopentyloxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-89-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(5-chloro-2-methoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-90-8 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(5-chloro-2-ethoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-91-9 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(5-chloro-2-propoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-92-0 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[5-chloro-2-(cyclopropylmethoxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-93-1 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[5-chloro-2-(cyclopentyloxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-94-2 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(2,5-dichlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-95-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-methoxy-2-naphthalenyl)-3-(2,3,5-trichlorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-96-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3-chloro-4-methoxyphenyl)-5-(6-chloro-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870823-97-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3-chloro-4-ethoxyphenyl)-5-(6-chloro-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-98-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-(3-chloro-4-propoxyphenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-99-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[3-chloro-4-(cyclopropylmethoxy)phenyl]-5-(6-chloro-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-00-3 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[3-chloro-4-(cyclopentyloxy)phenyl]-5-(6-chloro-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870824-01-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-[3-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-02-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(2,5-difluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870824-03-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(2,5-difluorophenyl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870824-04-7 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-methoxy-2-naphthalenyl)-3-(2,4,5-trifluorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-05-8 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-[6-(trifluoromethoxy)-2-naphthalenyl]-3-(2,4,5-trifluorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870824-06-9 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-[2-fluoro-5-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-07-0 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(4-chloro-2-methoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870824-08-1 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(4-chloro-2-ethoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870824-09-2 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[4-chloro-2-(cyclopentyloxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-10-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[4-chloro-2-(cyclopropylmethoxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870824-11-6 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[5-(6-chloro-2-naphthalenyl)-3-(4-chloro-2-propoxyphenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-12-7 CAPLUS

CN β -Alanine, N-[4-[(1R)-1-[3-(4-chloro-2-propoxyphenyl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870824-13-8 CAPLUS

CN β -Alanine, N-[4-[(1R)-1-[3-(4-chloro-2-propoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870824-14-9 CAPLUS

CN β -Alanine, N-[4-[(1R)-1-[3-[5-chloro-2-(trifluoromethoxy)phenyl]-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-15-0 CAPLUS

CN β -Alanine, N-[4-[(1R)-1-[3-[5-chloro-2-(trifluoromethoxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870824-16-1 CAPLUS

CN β -Alanine, N-[4-[(1R)-1-[3-(3,5-dichlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-17-2 CAPLUS

CN β -Alanine, N-[4-[(1R)-1-[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870824-18-3 CAPLUS

CN β -Alanine, N-[4-[(1R)-1-[3-(2,5-dichlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870824-19-4 CAPLUS

CN β -Alanine, N-[4-[(1R)-1-[5-(6-methoxy-2-naphthalenyl)-3-(2,3,5-trichlorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-20-7 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-21-8 CAPLUS

CN β -Alanine, N-[4-[1-[3-[5-chloro-2-(trifluoromethoxy)phenyl]-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-22-9 CAPLUS

CN β -Alanine, N-[4-[1-[3-[5-chloro-2-(trifluoromethoxy)phenyl]-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-23-0 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-24-1 CAPLUS

CN β -Alanine, N-[4-[1-[5-(2-naphthalenyl)-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-25-2 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-ethoxy-2-naphthalenyl)-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-26-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-(4-chloro-2-propoxyphenyl)-5-(2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-27-4 CAPLUS

CN β -Alanine, N-[4-[1-[3-[5-chloro-2-(trifluoromethoxy)phenyl]-5-(2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-28-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-(2,2-difluoro-1,3-benzodioxol-4-yl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-29-6 CAPLUS

CN β -Alanine, N-[4-[1-[3-(2,2-difluoro-1,3-benzodioxol-4-yl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-30-9 CAPLUS

CN β -Alanine, N-[4-[1-[3-(2,2-difluoro-1,3-benzodioxol-4-yl)-5-(2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-31-0 CAPLUS

CN β -Alanine, N-[4-[1-[3-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-32-1 CAPLUS

CN β -Alanine, N-[4-[1-[3-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-(2-

naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-33-2 CAPLUS

CN β -Alanine, N-[4-[1-[3-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

HO2C-CH2-CH2-NH-C Me

$$F_{3C-0}$$
 F_{3C-0}

RN 870824-34-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-(4-chlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-35-4 CAPLUS

CN β -Alanine, N-[4-[1-[3-[4-(1,1-dimethylethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-36-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-(4-ethoxy-3-fluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-37-6 CAPLUS

CN β -Alanine, N-[4-[1-[3-[3-fluoro-4-(trifluoromethoxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-38-7 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-difluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-39-8 CAPLUS

CN β -Alanine, N-[4-[1-[3-(4-fluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-40-1 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3-ethoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-41-2 CAPLUS

CN β -Alanine, N-[4-[1-[3-(4-fluoro-3-methylphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-42-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3-fluoro-4-methoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-43-4 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-methoxy-2-naphthalenyl)-3-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-44-5 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-methoxy-2-naphthalenyl)-3-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{HO2C-CH2-CH2-NH-C} \\ \\ \text{MeO} \\ \end{array}$$

RN 870824-45-6 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-methoxy-2-naphthalenyl)-3-[2-(1-methylethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-46-7 CAPLUS

CN β -Alanine, N-[4-[1-[3-(4-fluoro-2-methoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-47-8 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3-chlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-48-9 CAPLUS

CN β -Alanine, N-[4-[1-[3-(2,4-difluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-49-0 CAPLUS

CN β -Alanine, N-[4-[1-[3-(4-chloro-3-fluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-50-3 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-methoxy-2-naphthalenyl)-3-[2-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-51-4 CAPLUS

CN β -Alanine, N-[4-[1-[3-(2-fluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-52-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-[3-chloro-4-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-53-6 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-methoxy-2-naphthalenyl)-3-(3-methylphenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-54-7 CAPLUS

CN β -Alanine, N-[4-[1-[3-[3-chloro-4-(trifluoromethoxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-55-8 CAPLUS

CN β -Alanine, N-[4-[1-[3-(2-methoxy-4-methylphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-56-9 CAPLUS

CN β -Alanine, N-[4-[1-[3-(5-fluoro-2-methoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-57-0 CAPLUS

CN β -Alanine, N-[4-[1-[3-(2,4-dichlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-58-1 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-59-2 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-fluoro-5-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-60-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-61-6 CAPLUS

CN β -Alanine, N-[4-[1-[3-(4-chloro-2-propoxyphenyl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-63-8 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(6-ethoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-64-9 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,4-dichlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-65-0 CAPLUS

CN β -Alanine, N-[4-[1-[3-[4-chloro-2-(trifluoromethoxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-66-1 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-methoxy-2-naphthaleny1)-3-(3,4,5-trifluoropheny1)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-67-2 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-methoxy-2-naphthalenyl)-3-[3-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-68-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3-chloro-4-fluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-69-4 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-70-7 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-ethoxy-2-naphthalenyl)-3-[2-fluoro-4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-71-8 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3-chloro-4-fluorophenyl)-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-72-9 CAPLUS

CN β -Alanine, N-[4-[1-[5-[6-(trifluoromethyl)-2-naphthalenyl]-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-73-0 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,4-dichlorophenyl)-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-74-1 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-fluoro-5-(trifluoromethyl)phenyl]-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-75-2 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-(cyclopropylmethoxy)-4-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-76-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-(cyclopentyloxy)-4-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-77-4 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3-chloro-4-fluorophenyl)-5-(6-chloro-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-78-5 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-chloro-2-naphthalenyl)-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-79-6 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-chloro-2-naphthalenyl)-3-[4-chloro-2-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-80-9 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,4-difluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-81-0 CAPLUS

CN β -Alanine, N-[4-[1-[3-(5-chloro-2-fluorophenyl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-82-1 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3-chloro-4-ethoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-83-2 CAPLUS

CN β -Alanine, N-[4-[1-[3-[3-chloro-4-(cyclopropylmethoxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

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RN 870824-84-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-(5-chloro-2-methoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-85-4 CAPLUS

CN β -Alanine, N-[4-[1-[3-(5-chloro-2-propoxyphenyl)-5-(6-methoxy-2-

naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-86-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-[5-chloro-2-(cyclopentyloxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-87-6 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-methoxy-2-naphthalenyl)-3-(2,3,5-trichlorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-88-7 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3-chloro-4-ethoxyphenyl)-5-(6-chloro-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-89-8 CAPLUS

CN β -Alanine, N-[4-[1-[3-[3-chloro-4-(cyclopropylmethoxy)phenyl]-5-(6-chloro-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

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RN 870824-90-1 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-chloro-2-naphthalenyl)-3-[3-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-91-2 CAPLUS

CN β -Alanine, N-[4-[1-[3-(2,5-difluorophenyl)-5-[6-(trifluoromethoxy)-2-

naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-92-3 CAPLUS

CN β -Alanine, N-[4-[1-[5-[6-(trifluoromethoxy)-2-naphthalenyl]-3-(2,4,5-trifluorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-93-4 CAPLUS

CN β -Alanine, N-[4-[1-[3-(4-chloro-2-methoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-94-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-[4-chloro-2-(cyclopentyloxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-95-6 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-chloro-2-naphthalenyl)-3-(4-chloro-2-propoxyphenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-96-7 CAPLUS

CN β -Alanine, N-[4-[1-[3-(4-chloro-2-propoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-97-8 CAPLUS

CN β -Alanine, N-[4-[1-[3-[5-chloro-2-(trifluoromethoxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-98-9 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-methoxy-2-naphthalenyl)-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870824-99-0 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-chloro-2-naphthalenyl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-00-6 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-chloro-2-naphthalenyl)-3-[5-chloro-2-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-01-7 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,4-dichlorophenyl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-02-8 CAPLUS

CN β -Alanine, N-[4-[1-[3-[4-chloro-2-(trifluoromethoxy)phenyl]-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-03-9 CAPLUS

CN β -Alanine, N-[4-[1-[5-[6-(trifluoromethoxy)-2-naphthalenyl]-3-(3,4,5-trifluorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-04-0 CAPLUS

CN β -Alanine, N-[4-[1-[5-[6-(trifluoromethoxy)-2-naphthalenyl]-3-[3-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-05-1 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3-chloro-4-fluorophenyl)-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-06-2 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-[6-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-07-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3-chloro-4-fluorophenyl)-5-(6-ethoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-08-4 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-fluoro-4-(trifluoromethyl)phenyl]-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-09-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-[3-(trifluoromethoxy)phenyl]-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-10-8 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-ethoxy-2-naphthalenyl)-3-[2-fluoro-5-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-11-9 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-(6-hydroxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-12-0 CAPLUS

CN β -Alanine, N-[4-[1-[3-[2-ethoxy-4-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-13-1 CAPLUS

CN β -Alanine, N-[4-[1-[3-[4-chloro-2-(trifluoromethoxy)phenyl]-5-[6-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-14-2 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-chloro-2-naphthalenyl)-3-[2-fluoro-4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-15-3 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-chloro-2-naphthalenyl)-3-[3-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-16-4 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-chloro-2-naphthalenyl)-3-(3,4-dichlorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-17-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-(5-chloro-2-fluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-18-6 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3-chloro-4-methoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-19-7 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3-chloro-4-propoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-20-0 CAPLUS

CN β -Alanine, N-[4-[1-[3-[3-chloro-4-(cyclopentyloxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

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RN

CN β -Alanine, N-[4-[1-[3-(5-chloro-2-ethoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-22-2 CAPLUS

CN β -Alanine, N-[4-[1-[3-[5-chloro-2-(cyclopropylmethoxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-23-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3-chloro-4-methoxyphenyl)-5-(6-chloro-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-24-4 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-chloro-2-naphthalenyl)-3-(3-chloro-4-propoxyphenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-25-5 CAPLUS

CN β -Alanine, N-[4-[1-[3-[3-chloro-4-(cyclopentyloxy)phenyl]-5-(6-chloro-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

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RN 870825-26-6 CAPLUS

CN β -Alanine, N-[4-[1-[3-(2,5-difluorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-27-7 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-methoxy-2-naphthalenyl)-3-(2,4,5-trifluorophenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-28-8 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-chloro-2-naphthalenyl)-3-[2-fluoro-5-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-29-9 CAPLUS

CN β -Alanine, N-[4-[1-[3-(4-chloro-2-ethoxyphenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-30-2 CAPLUS

CN β -Alanine, N-[4-[1-[3-[4-chloro-2-(cyclopropylmethoxy)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-31-3 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-[7-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870825-32-4 CAPLUS

CN β -Alanine, N-[4-[1-[3-(4-chloro-2-propoxyphenyl)-5-[7-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870835-99-7 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-[7-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870836-00-3 CAPLUS

CN β -Alanine, N-[4-[(1R)-1-[3-(4-chloro-2-propoxyphenyl)-5-[7-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870836-02-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(4-chloro-2-propoxyphenyl)-5-[7-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870836-04-7 CAPLUS

CN β -Alanine, N-[4-[(1R)-1-[3-(3,5-dichlorophenyl)-5-[7-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 870836-05-8 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-[7-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN 870836-07-0 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[5-chloro-2-(trifluoromethoxy)phenyl]-5-[7-(trifluoromethyl)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$F_{3}C$$

$$N$$

$$N$$

$$CF_{3}$$

$$Me$$

$$N$$

$$CO_{2}H$$

RN 870836-09-2 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[5-chloro-2-(trifluoromethoxy)phenyl]-5-[7-(trifluoromethoxy)-2-naphthalenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

IT 870823-05-5P 870823-06-6P 870823-13-5P 870823-20-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of naphthylpyrazole derivs. for the treatment of type 2 diabetes)

RN 870823-05-5 CAPLUS

CN β -Alanine, N-[4-[1-[5-(6-methoxy-2-naphthalenyl)-3-[(trifluoromethyl)sulfonyl]oxy]-1H-pyrazol-1-yl]ethyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 870823-06-6 CAPLUS

CN β -Alanine, N-[4-[1-[3-(6-methoxy-2-naphthalenyl)-5-[[(trifluoromethyl)sulfonyl]oxy]-1H-pyrazol-1-yl]ethyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Me O
$$CF_3$$

Me CH2-CH2-C-OBu-1

RN 870823-13-5 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-(3,5-dichlorophenyl)-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

RN 870823-20-4 CAPLUS

CN β -Alanine, N-[4-[(1S)-1-[3-[2-fluoro-5-(trifluoromethyl)phenyl]-5-(6-methoxy-2-naphthalenyl)-1H-pyrazol-1-yl]ethyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

10/517,214 July 25, 2008

IT 870822-97-2P

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

(racemic intermediate; preparation of naphthylpyrazole derivs. for the treatment of type 2 diabetes)

RN 870822-97-2 CAPLUS

CN β -Alanine, N-[4-[1-[3-(3,5-dichlorophenyl)-5-[(trifluoromethyl)sulfonyl]oxy]-1H-pyrazol-1-yl]ethyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

L23 ANSWER 14 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:811740 CAPLUS Full-text

DOCUMENT NUMBER: 143:211846

TITLE: Preparation of pyridinones useful as thrombin

inhibitors

INVENTOR(S): Bayrakdarian, Malken; Berggren, Kristina; Davidsson,

Oejvind; Fjellstroem, Ola; Gustafsson, David;

Hanessian, Stephen; Inghardt, Tord; Nilsson, Ingemar;

Nagard, Mats; Simard, Daniel; Therrien, Eric

PATENT ASSIGNEE(S): Astrazeneca AB, Swed. SOURCE: PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND		DATE			APPL	ICAT	ION 1	DATE					
WO 2005075424				A1 200508			0818	8 WO 2005-SE124										
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,	
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
		MR,	ΝE,	SN,	TD,	ΤG												
AU 2005210451				A1	20050818				AU 2	005-	20050202							
CA 2553604				A1	20050818			1	CA 2	005-	20050202							
EP 1713774				A1		2006	1025		EP 2	005-	20050202							

10/517,214 July 25, 2008

	R: AT	, BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
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	BA	, HR,	IS,	YU														
CN 1	1918124			Α		20070221 CN 2005-80004303						20050202						
BR 2	BR 2005007316						20070626 BR 2005-7316 20050							0050	202			
JP 2	JP 2007520550						20070726 JP 2006-552077							20050202				
IN 2	Α	20070824 IN 2006-DN4013							20060712									
MX 2006PA08765						2006	1009]	MX 2	006-	PA87	65		2	0060	302		
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US 2	2007016	1643		A1		2007	0712	1	US 2	006-	5977:	20		2	0061	104		
PRIORITY APPLN. INFO.:									SE 2	004 -	254			A 2	0040	206		
									SE 2	004 -	1658			A 2	0040	524		
								1	WO 2	005-	SE12	4	1	W 2	0050	202		
OTHER SOU	CASREACT 143:211846: MARPAT 143:211846																	

GΙ

AΒ There is provided pyridin-2-one compds. (shown as I; variables defined below; e.g. [amino[4-[[2-[4-methyl-2-oxo-1-[(phenylmethylsulfonyl)amino]-1,2dihydropyridin-3-yl]acetyl]amino]methyl]phenyl]methylene]carbamic acid benzyl ester (shown as II)) that are useful as, or are useful as prodrugs of, competitive inhibitors of trypsin-like proteases, such as thrombin, and thus, in particular, in the treatment of conditions where inhibition of thrombin is beneficial (no data; e.g. conditions, such as thromboembolisms, where inhibition of thrombin is required or desired, and/or conditions where anticoagulant therapy is indicated). Methods of preparation are claimed; general methods are described and characterization data are provided for many examples of I. For example, II was prepared by saponification of [4-methyl-2oxo-1-[(phenylmethylsulfonyl)amino]-1,2- dihydropyridin-3-yl]acetic acid Me ester followed by coupling of the resulting carboxylic acid with [(4aminomethylphenyl)(imino)methyl]carbami c acid benzyl ester. Compds. of the examples were tested for thrombin inhibition with a chromogenic, robotic assay and exhibit IC50TT values of <50 μ M, e.g. N-(4-carbamimidoylbenzyl)-2-[1-[[2-(2,5- dimethylphenyl)ethyl]amino]-4-methyl-2-oxo-1,2-dihydropyridin-3yl]acetamide and N-(2-aminomethyl-5-chlorobenzyl)-2-[4-methyl-110/517,214 July 25, 2008

[[(naphthalen-1-y1)sulfonyl]amino]-2-oxo-1,2-dihydropyridin-3-yl]acetamide exhibit IC50 values of 92.2 nM and 0.62 μ M, resp. For I: the dashed line is absent or = a bond; A = C(0), S(0)2, C(0)0, C(0)NH, S(0)2NH or C1-6 alkylene; R1 = C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, C3-10 cycloalkyl or C4-10cycloalkenyl, aryl, or Het3. The group -D-E- (a) when the dashed line = a bond, = -C(R5a)C(R5b)-, or (b) when the dashed line is absent, = -C(R6a)(R6b)-C(R7a)(R7b)-; R2 = H, halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6alkoxy or together with R3a, R2 = C2-3 n-alkylene, T1-(C1-2 n-alkylene) or (C1-2 n-alkylene)-T1, or together with R3a and R3b, R2 = T2-[C(H):], wherein T2 is bonded to the C-atom to which the group R2 is attached; R3a and R3b = H, F or Me or (a) together with R2, R3a = C2-3 n-alkylene, T1-(C1-2 n-alkylene) or (C1-2 n-alkylene)-T1, or (b) together with R2, R3a and R3b = T2-[C(H):], wherein T2 is bonded to the C-atom to which the group R2 is attached; T1 and T2 = O, S, N(H) or N(C1-4 alkyl). G = -C(O)N(R8a) - [CH(C(O)R9)]O - 1 - CO - 3 - CO - 10 - Calkylene-(Q1)a-, et al.; L = C0-6 alkylene-Ra, C0-2 alkylene-CH:CH-C0-2 alkylene-Ra, et al.; addnl. details are given in the claims. 862206-51-7P, 2-[4-Chloro-2-[[[[4-methyl-2-oxo-1-[[(1-phenyl-1H-methyl-2-oxo-1-[](1-phenyl-1H-methyl-2-[](1-phenyl-1H-methyl-2-[](1-phenyl-1H-methyl-2-[](1-phenyl-1H-methyl-2-[](1-phenyl-1H-methyl-2-[](1-phenyl-1H-methyl-2-[](1-phenyl-1H-methyl-2-[](1-phenyl-1H-methyl-2-[pyrazol-5-yl)methyl]amino]-1,2-dihydropyridin-3-

pyrazol-5-yl)methyl]amino]-1,2-dihydropyridin-3yl]acetyl]amino]methyl]phenoxy]-N-ethylacetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of pyridinones useful as thrombin inhibitors) 862206-51-7 CAPLUS

3-Pyridineacetamide, N-[[5-chloro-2-[2-(ethylamino)-2-oxoethoxy]phenyl]methyl]-1,2-dihydro-4-methyl-2-oxo-1-[[(1-phenyl-1H-pyrazol-5-yl)methyl]amino]- (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 15 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:472128 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 143:26597

TITLE: Preparation of substituted pyrazoles as PPARlpha

and PPARy agonists for treatment of dyslipidemia

INVENTOR(S): Faucher, Nicolas Eric; Martres, Paul PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

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PATENT INFORMATION:

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RN

CN

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WO 2004-EP12965
     WO 2005049578
                          Α1
                                20050602
                                                                   20041115
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
             SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR,
             NE, SN, TD, TG
     EP 1685113
                                20060802
                                            EP 2004-818779
                                                                   20041115
                          Α1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS
     JP 2007511485
                          Τ
                                20070510
                                            JP 2006-538823
                                                                   20041115
     US 20080021030
                          Α1
                                20080124
                                            US 2007-595868
                                                                   20070111
PRIORITY APPLN. INFO.:
                                            GB 2003-26747
                                                                A 20031117
                                                                A 20031219
                                            GB 2003-29462
                                            WO 2004-EP12965
                                                                W 20041115
OTHER SOURCE(S):
                        MARPAT 143:26597
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GΙ

AΒ Title compds. I [p, q = 0-1; R1-2 = H, alkyl; R3-4 = H, alkyl, alkoxy, etc.; R5 = H, alkyl, etc.; R6 = alkyl, halo, alkoxy, Ph, etc.] are prepared For instance, 2-[[4-[[[5-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-3yl]carbonyl]amino]methyl]-2-methylphenyl]oxy]-2-methylpropanoic acid (II) is produced in 7 steps from p-tert-Butylacetophenone, Et oxalate and methylhydrazine. II has EC50 = 0.014 μM for PPAR α , 5.447 μM for PPAR δ and $0.007 \mu M$ for PPARy. I are useful in the treatment of diabetes, dyslipidemia or syndrome X.

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852814-21-2P 852814-22-3P 852814-23-4P
ΙT
     852814-24-5P 852814-25-6P 852814-26-7P
     852814-27-8P 852814-28-9P 852814-29-0P
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     852814-33-6P 852814-34-7P 852814-37-0P
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     852814-64-3P 852814-65-4P 852814-66-5P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted pyrazoles as PPAR $\!\alpha$ and PPAR $\!\gamma$ agonists for treatment of dyslipidemia)

RN 852814-21-2 CAPLUS

CN

Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-22-3 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[4-(1-methylethyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-23-4 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-(2-propen-1-yl)phenoxy]-2-methyl-(CA INDEX NAME)

RN 852814-24-5 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-propylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-25-6 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-ethyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methoxyphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-26-7 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-ethyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methoxyphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-27-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(2-methylpropyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{NH-CH}_2 \end{array}$$

RN 852814-28-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[4-(2-methylpropyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-29-0 CAPLUS

CN Propanoic acid, 2-[2-methoxy-4-[[[[1-methyl-5-[4-(1-methylethyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-30-3 CAPLUS

CN Propanoic acid, 2-[2-methoxy-4-[[[[1-methyl-3-[4-(1-methylethyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-31-4 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-32-5 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methoxyphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-33-6 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-ethyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-34-7 CAPLUS

CN Propanoic acid, 2-[2-methoxy-4-[[[[1-methyl-5-[4-(2-methylpropyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-37-0 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-ethyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-40-5 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-41-6 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)

Me NH-CH2
$$\stackrel{\text{Me}}{\longrightarrow}$$
 CO2H $\stackrel{\text{NH-CH2}}{\longrightarrow}$ $\stackrel{\text{NH-CH2}}{\longrightarrow}$

RN 852814-42-7 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(1-methylethyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-43-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(4-morpholinyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-44-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(1-pyrrolidinyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-45-0 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(1-piperidinyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-46-1 CAPLUS

CN Propanoic acid, 2-[4-[[[(5-[1,1'-biphenyl]-4-yl-1-methyl-1H-pyrazol-3-yl)carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-47-2 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[3-(1-pyrrolidinyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-48-3 CAPLUS

CN Propanoic acid, 2-[4-[[[(3-[1,1'-biphenyl]-4-yl-1-methyl-1H-pyrazol-5-yl)carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-49-4 CAPLUS

CN Propanoic acid, 2-[4-[[[5-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2,6-dimethylphenoxy]-2-methyl- (CA

INDEX NAME)

RN 852814-50-7 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2,6-dimethylphenoxy]-2-methyl-(CA INDEX NAME)

RN 852814-51-8 CAPLUS

CN Propanoic acid, 2-[2-chloro-6-methyl-4-[[[[1-methyl-5-[4-(2-methylpropyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)

Me NH-CH2
$$\stackrel{\text{Me}}{\longrightarrow}$$
 C1

RN 852814-52-9 CAPLUS

CN Propanoic acid, 2-[4-[[[(3-[1,1'-biphenyl]-3-yl-1-methyl-1H-pyrazol-5-yl)carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-53-0 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-(4-butylphenyl)-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-CH2} \end{array}$$

RN 852814-54-1 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-(4-bromophenyl)-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-55-2 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-(2'-methyl[1,1'-biphenyl]-4-yl)-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-56-3 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(2-thienyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-57-4 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(3-furanyl)phenyl]-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-58-5 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(4-pyridinyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-59-6 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(2-furanyl)phenyl]-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-60-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-(2'-methyl[1,1'-biphenyl]-4-yl)-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-61-0 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-(4-butylphenyl)-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2,6-dimethylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-62-1 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-(4-butylphenyl)-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-chloro-6-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-63-2 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[4-(4-morpholinyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-64-3 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[3-(1-

piperidinyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-65-4 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[3-(1-pyrrolidinyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-66-5 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[3-(1-piperidinyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-70-1 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[4-(1-piperidinyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

RN 852814-73-4 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-(2-propen-1-yl)-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-75-6 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-(2-methoxyethyl)-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-77-8 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-(2-oxo-2-phenylethyl)-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-78-9 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-(phenylmethyl)-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-79-0 CAPLUS

CN Propanoic acid, 2-[5-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-(2-phenylethyl)-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-80-3 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-(2-methoxyethyl)-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

$$MeO-CH_2-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

$$NH-CH_2$$

RN 852814-81-4 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-82-5 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-[2-(4-morpholinyl)ethyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 852814-83-6 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-[2-(4-morpholinyl)ethyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-84-7 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[4-(2-propen-1-yloxy)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NH-CH}_2 \\ \text{Me} \\ \text{$$

RN 852814-85-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[4-(phenylmethoxy)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-(CA INDEX NAME)

RN 852814-86-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(phenylmethoxy)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-(CA INDEX NAME)

RN 852814-87-0 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(2-propen-1-yloxy)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NH-CH}_2 \end{array} \xrightarrow{\text{Me}} \begin{array}{c} \text{Me} \\ \text{O-C-CO}_2\text{H} \\ \text{Me} \end{array}$$

RN 852814-88-1 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-(2-propen-1-yl)-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-89-2 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-(phenylmethyl)-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

RN 852814-90-5 CAPLUS

CN Propanoic acid, 2-[4-[[[5-[4-(1,1-dimethylethyl)phenyl]-1-(2-propen-1-yl)-1-(2-

1H-pyrazol-3-y1]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CAINDEX NAME)

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted pyrazoles as PPAR $\!\alpha$ and PPAR $\!\gamma$ agonists for treatment of dyslipidemia)

RN 852814-96-1 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-04-4 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[4-(1-methylethyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 852815-08-8 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-(2-propen-1-yl)phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

Me
$$H_2C$$
 CH CH_2 Me O C OEt

RN 852815-14-6 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-ethyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methoxyphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-15-7 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-ethyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methoxyphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-20-4 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(2-methylpropyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 852815-21-5 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[4-(2-methylpropyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 852815-22-6 CAPLUS

CN Propanoic acid, 2-[2-methoxy-4-[[[[1-methyl-5-[4-(1-methylethyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-23-7 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-24-8 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methoxyphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-ethyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-26-0 CAPLUS

CN Propanoic acid, 2-[2-methoxy-4-[[[[1-methyl-5-[4-(2-methylpropyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-27-1 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-ethyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-28-2 CAPLUS

CN Propanoic acid, 2-[4-[[[3-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-

pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-29-3 CAPLUS

CN Propanoic acid, 2-[4-[[[5-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \text{O} \\ \text{NH-CH}_2 & \text{NH-CH}_2 \\ \text{T-Bu} \end{array}$$

RN 852815-30-6 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(1-methylethyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 852815-33-9 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-(4-bromophenyl)-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA

INDEX NAME)

RN 852815-34-0 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(4-morpholinyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 852815-35-1 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(1-piperidinyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

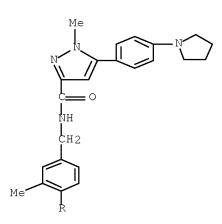
PAGE 1-A

PAGE 2-A

RN 852815-36-2 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(1-pyrrolidinyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

RN 852815-37-3 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[3-(1-pyrrolidinyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 852815-38-4 CAPLUS

CN Propanoic acid, 2-[4-[[[(5-[1,1'-biphenyl]-4-yl-1-methyl-1H-pyrazol-3-yl)carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-39-5 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-(3-bromophenyl)-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-41-9 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-(4-bromophenyl)-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-42-0 CAPLUS

CN Propanoic acid, 2-[4-[[[(3-[1,1'-biphenyl]-4-yl-1-methyl-1H-pyrazol-5-yl)carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-45-3 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2,6-dimethylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-46-4 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2,6-dimethylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-51-1 CAPLUS

CN Propanoic acid, 2-[2-chloro-6-methyl-4-[[[[1-methyl-5-[4-(2-methylpropyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-52-2 CAPLUS

CN Propanoic acid, 2-[4-[[[(3-[1,1'-biphenyl]-3-yl-1-methyl-1H-pyrazol-5-yl)carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-53-3 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-(4-butylphenyl)-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-54-4 CAPLUS

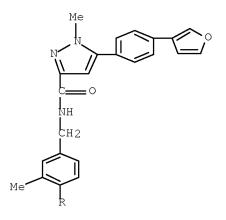
CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(2-thienyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

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PAGE 2-A

RN 852815-55-5 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(3-furanyl)phenyl]-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



PAGE 2-A

RN 852815-56-6 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(4-pyridinyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

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RN 852815-57-7 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(2-furanyl)phenyl]-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

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RN 852815-61-3 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-(4-butylphenyl)-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2,6-dimethylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-64-6 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-(4-butylphenyl)-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-chloro-6-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-65-7 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[4-(4-morpholinyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

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RN 852815-66-8 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-(3-bromophenyl)-1-methyl-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-67-9 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[3-(1-piperidinyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

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PAGE 2-A

RN 852815-68-0 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[3-(1-pyrrolidinyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

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RN 852815-69-1 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[3-(1-piperidinyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

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RN 852815-77-1 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[4-(1-piperidinyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

PAGE 1-A

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RN 852815-85-1 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-(2-propen-1-yl)-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-88-4 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-(2-methoxyethyl)-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-91-9 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-(2-oxo-2-phenylethyl)-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-94-2 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-(phenylmethyl)-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852815-97-5 CAPLUS

CN Propanoic acid, 2-[5-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-(2-phenylethyl)-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852816-00-3 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-(2-methoxyethyl)-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{MeO-CH}_2\text{-CH}_2 \\ \text{NH-CH}_2 \end{array}$$

RN 852816-02-5 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852816-03-6 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-[2-(4-morpholinyl)ethyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852816-04-7 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-[2-(4-morpholinyl)ethyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

CN Propanoic acid, 2-[4-[[[[3-(4-methoxyphenyl)-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

- RN 852816-06-9 CAPLUS
- CN Propanoic acid, 2-[4-[[[[3-(4-hydroxyphenyl)-1-methyl-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

- RN 852816-07-0 CAPLUS
- CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[4-(2-propen-1-yloxy)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-, 2-propen-1-yl ester (CA INDEX NAME)

- RN 852816-11-6 CAPLUS
- CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-3-[4-(phenylmethoxy)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-,

ethyl ester (CA INDEX NAME)

RN 852816-16-1 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(phenylmethoxy)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 852816-19-4 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[[[[1-methyl-5-[4-(2-propen-1-yloxy)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]methyl]phenoxy]-, ethyl ester (CA INDEX NAME)

Me NH CH2 Me O C OEt
$$H_2C$$
 CH CH_2

RN 852816-21-8 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-(2-propen-1-yl)-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852816-24-1 CAPLUS

CN Propanoic acid, 2-[4-[[[[3-[4-(1,1-dimethylethyl)phenyl]-1-(phenylmethyl)-1H-pyrazol-5-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852816-27-4 CAPLUS

CN Propanoic acid, 2-[4-[[[[5-[4-(1,1-dimethylethyl)phenyl]-1-(2-propen-1-yl)-1H-pyrazol-3-yl]carbonyl]amino]methyl]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

RN 852816-32-1 CAPLUS

CN Propanoic acid, 2-[2-methoxy-4-[[[[1-methyl-3-[4-(1-methylethyl)phenyl]-1H-pyrazol-5-yl]carbonyl]amino]methyl]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

10/517,214 July 25, 2008

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 16 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:681504 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 141:207202

TITLE: Preparation of substituted pyrazoles as glucagon

receptor antagonists for treating diabetes mellitus

type 2

INVENTOR(S): Parmee, Emma; Raghavan, Subharekha; Beeson, Teresa;

Shen, Dong-Ming

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 123 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.					D	DATE		APPLICATION NO.						DATE			
	2004069158 2004069158								WO 2004-US1927					20040123				
		CN, GE, LK, BW, BG, MC,	CO, GH, LR, GH, CH,	CR, GM, LS, GM, CY, PT,	CU, HR, LT, KE, CZ, RO,	CZ, HU, LU, LS, DE, SE,	AU, DE, ID, LV, MW, DK, SI,	DK, IL, MA, MZ, EE, SK,	DM, IN, MD, SD, ES, TR,	DZ, IS, MG, SL, FI,	EC, JP, MK, SZ, FR,	EE, KE, MN, TZ, GB,	EG, KG, MW, UG, GR,	ES, KP, MX, ZM, HU,	FI, KR, MZ, ZW, IE,	GB, KZ, NA, AT, IT,	GD, LC, NI BE, LU,	
CA EP JP	2006 2006 Y APP	2101 102 336 AT, IE, 5166 0084 LN.	BE, SI, 22 681 INFO	CH, LT,	A1 A2 DE, LV, T A1	DK,	2004 2005 ES, RO, 2006 2006	0819 0819 1102 FR, MK, 0706 0420	GB, CY,	CA 2 EP 2 GR, AL, JP 2 US 2 US 2	004- 004- IT, TR,	2513: 7049: LI, BG, 5029: 5432: 4428:	102 51 LU, CZ, 75 90 28P	NL, EE,	2 SE, HU, 2 2	0040 0040 MC, SK 0040	123 123 PT, 123 725 127	
GI	OOROD	(5).			11111		·	20,2	02									

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AB The title compds. [I; R1 = alkyl, alkenyl, aryl, etc.; R2 = H, R1; R3, R4 = H, alkyl; R5 = H, F; R6 = H, OH, F, alkyl; or R5 and R6 together represent oxo; m = 0-2; n = 0-6; with provisos] which are glucagon receptor antagonists (no data given) and thus are useful for treating, preventing or delaying the onset of type 2 diabetes mellitus, were prepared and formulated. E.g., a 5-step synthesis of II, starting from Me 4-trifluoromethoxybenzoate and acetylcyclohexane, was given.

TT

IT 743432-27-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted pyrazoles as glucagon receptor antagonists for treating diabetes mellitus type 2)

RN 743432-27-1 CAPLUS

CN β -Alanine, N-[4-[[5-cyclohexyl-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]-, ethyl ester (CA INDEX NAME)

TT 743432-26-0P 743432-28-2P 743432-29-3P 743432-30-6P 743432-32-8P 743432-34-0P 743432-36-2P 743432-37-3P 743432-55-5P 743432-56-6P 743432-57-7P 743432-58-8P 743432-60-2P 743432-63-5P 743432-67-9P

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743432-75-9P 743432-79-3P 743432-83-9P
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743433-84-3P 743433-85-4P 743433-86-5P
743433-87-6P 743433-89-8P 743433-91-2P
743436-71-7P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted pyrazoles as glucagon receptor antagonists for treating diabetes mellitus type 2)

RN 743432-26-0 CAPLUS

CN β -Alanine, N-[4-[[3-cyclohexyl-5-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]-, ethyl ester (CA INDEX NAME)

RN 743432-28-2 CAPLUS

CN β -Alanine, N-[4-[[5-cyclohexyl-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743432-29-3 CAPLUS

CN β -Alanine, N-[4-[[3-cyclohexyl-5-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743432-30-6 CAPLUS

CN Propanoic acid, 3-[[4-[[5-cyclohexyl-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]amino]-2-methyl- (CA INDEX NAME)

RN 743432-32-8 CAPLUS

CN β -Alanine, N-[4-[[1-cyclohexyl-5-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-3-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743432-34-0 CAPLUS

CN β -Alanine, N-[4-[[1-cyclohexyl-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-5-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743432-36-2 CAPLUS

CN β -Alanine, N-[4-[[5-cyclohexyl-1-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-4-yl]methyl]benzoyl]- (CA INDEX NAME)

RN

CN β -Alanine, N-[4-[[3-cyclohexyl-1-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-4-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743432-55-5 CAPLUS

CN β -Alanine, N-[4-[[3,5-bis[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743432-56-6 CAPLUS

CN Glycine, N-[4-[[3,5-bis[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743432-57-7 CAPLUS

CN Butanoic acid, 4-[[4-[[3,5-bis[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]amino]- (CA INDEX NAME)

RN 743432-58-8 CAPLUS

CN β -Alanine, N-[4-[[3,5-bis[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]-N-methyl- (CA INDEX NAME)

RN 743432-60-2 CAPLUS

CN β -Alanine, N-[4-[[3-[4-(trifluoromethoxy)phenyl]-5-[trans-4-(trifluoromethyl)cyclohexyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 743432-63-5 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743432-67-9 CAPLUS

CN β -Alanine, N-[4-[[5-(3,5-dichlorophenyl)-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743432-75-9 CAPLUS

CN β -Alanine, N-[4-[1-[3,5-bis[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]ethyl]benzoyl]- (CA INDEX NAME)

RN

CN β -Alanine, N-[4-[[3-[4-(methylsulfonyl)phenyl]-5-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

- RN 743432-83-9 CAPLUS
- CN β -Alanine, N-[4-[[5-[4-(methylsulfonyl)phenyl]-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

- RN 743432-87-3 CAPLUS
- CN β -Alanine, N-[4-[[3-[1-(4-chlorophenyl)ethyl]-5-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

$$HO_2C-CH_2-CH_2-NH-C$$

$$CH_2-NH-CH_2-$$

RN 743432-91-9 CAPLUS

CN β -Alanine, N-[4-[[5-[1-(4-chlorophenyl)ethyl]-3-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743432-95-3 CAPLUS

CN Glycine, N-[3-[[3,5-bis[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743432-96-4 CAPLUS

CN β -Alanine, N-[3-[[3,5-bis[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743432-97-5 CAPLUS

CN Butanoic acid, 4-[[3-[[3,5-bis[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]amino]- (CA INDEX NAME)

RN 743433-00-3 CAPLUS

CN β -Alanine, N-[4-[[5-(3'-amino[1,1'-biphenyl]-4-yl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-01-4 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(3'-hydroxy[1,1'-biphenyl]-4-yl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-02-5 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[4-(3,3-dimethyl-1-buten-1-yl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{HO}_2\text{C--CH}_2\text{--CH}_2\text{--NH--C} \\ \\ \text{C--Bu--CH---CH} \end{array}$$

RN 743433-03-6 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(4'-methyl[1,1'-biphenyl]-4-yl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-04-7 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[4-(4-pyridinyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-06-9 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(4-methoxyphenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-09-2 CAPLUS

CN β -Alanine, N-[4-[[5-(3,5-dichlorophenyl)-3-(4-methoxyphenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-12-7 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[3-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-14-9 CAPLUS

CN β -Alanine, N-[4-[[5-(3,5-dichlorophenyl)-3-[3-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-16-1 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-19-4 CAPLUS

CN β -Alanine, N-[4-[[5-(3,5-dichlorophenyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-22-9 CAPLUS

CN β -Alanine, N-[4-[[3-(3,4-dichlorophenyl)-5-(4-methoxyphenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-25-2 CAPLUS

CN β -Alanine, N-[4-[[5-(3,4-dichlorophenyl)-3-(4-methoxyphenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-28-5 CAPLUS

CN β -Alanine, N-[4-[[3-(2-pyridinyl)-5-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-29-6 CAPLUS

CN β -Alanine, N-[4-[[5-(2-pyridinyl)-3-[4-(trifluoromethoxy)phenyl]-1H-

pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-30-9 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(2-pyridinyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-32-1 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[6-(trifluoromethyl)-3-pyridinyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-35-4 CAPLUS

CN β -Alanine, N-[4-[[5-(3,5-dichlorophenyl)-3-[6-(trifluoromethyl)-3-pyridinyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-38-7 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(5-methoxy-2-pyridinyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-40-1 CAPLUS

CN β -Alanine, N-[4-[[5-[1,1'-biphenyl]-4-yl-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-41-2 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(4'-fluoro[1,1'-biphenyl]-

4-yl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-42-3 CAPLUS

CN β -Alanine, N-[4-[[5-(4-bromophenyl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-43-4 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[4-(3-pyridinyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-45-6 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[1-(2,2-dimethyl-1-oxopropyl)-4-piperidinyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-47-8 CAPLUS

CN β -Alanine, N-[4-[[5-(1-benzoyl-4-piperidinyl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-49-0 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[1-[4-(trifluoromethoxy)benzoyl]-4-piperidinyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-51-4 CAPLUS

CN β -Alanine, N-[4-[[5-[1-(3,5-dichlorobenzoyl)-4-piperidinyl]-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

$$C1$$
 $C1$
 $C1$
 $C1$

RN 743433-53-6 CAPLUS

CN β -Alanine, N-[4-[[5-[1-(2,4-dichlorobenzoyl)-4-piperidinyl]-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-55-8 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[1-(phenylsulfonyl)-4-piperidinyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-57-0 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[1-[[4-(trifluoromethyl)phenyl]sulfonyl]-4-piperidinyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-59-2 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[1-[(3-methoxyphenyl)sulfonyl]-4-piperidinyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-61-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[[4-[[(2-carboxyethyl)amino]carbonyl]phe nyl]methyl]-3-(3,5-dichlorophenyl)-1H-pyrazol-5-yl]-, 1-(1,1-dimethylethyl) ester (CA INDEX NAME)

RN 743433-62-7 CAPLUS

CN β -Alanine, N-[4-[[5-phenyl-3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-64-9 CAPLUS

CN β -Alanine, N-[4-[[3-phenyl-5-[4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-67-2 CAPLUS

CN β -Alanine, N-[4-[[5-[1,1'-biphenyl]-3-yl-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-68-3 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(3'-fluoro[1,1'-biphenyl]-3-yl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-69-4 CAPLUS

CN β -Alanine, N-[4-[[5-(3-bromophenyl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-70-7 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(2'-methyl[1,1'-biphenyl]-3-yl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-71-8 CAPLUS

CN β -Alanine, N-[4-[[3-[1,1'-biphenyl]-3-yl-5-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-74-1 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(4'-methyl[1,1'-biphenyl]-3-yl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-75-2 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(3'-methoxy[1,1'-biphenyl]-3-yl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-76-3 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(4'-methoxy[1,1'-biphenyl]-3-yl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-77-4 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[3-(3-pyridinyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-78-5 CAPLUS

CN β -Alanine, N-[4-[[5-(4'-cyano[1,1'-biphenyl]-3-yl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-79-6 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(3'-nitro[1,1'-biphenyl]-3-yl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-80-9 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[3-(3,5-dimethyl-4-isoxazolyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-81-0 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[4'-(hydroxymethyl)[1,1'-biphenyl]-3-yl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-82-1 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[4-(2-pyridinyl)phenyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-83-2 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[4'-(dimethylamino)[1,1'-biphenyl]-4-yl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-84-3 CAPLUS

CN β -Alanine, N-[4-[[5-(3'-cyano[1,1'-biphenyl]-4-yl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-85-4 CAPLUS

CN β -Alanine, N-[4-[[5-(3',4'-dichloro[1,1'-biphenyl]-4-yl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-86-5 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(3',5'-difluoro[1,1'-biphenyl]-4-yl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-87-6 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[1-(2,2-dimethylpropyl)-4-piperidinyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN 743433-89-8 CAPLUS

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[1-(phenylmethyl)-4-piperidinyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

RN

CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-[1-[[4-(trifluoromethoxy)phenyl]methyl]-4-piperidinyl]-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

- RN 743436-71-7 CAPLUS
- CN β -Alanine, N-[4-[[3-(3,5-dichlorophenyl)-5-(4'-fluoro[1,1'-biphenyl]-3-yl)-1H-pyrazol-1-yl]methyl]benzoyl]- (CA INDEX NAME)

- IT 743434-48-2P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of substituted pyrazoles as glucagon receptor antagonists for treating diabetes mellitus type 2)
- RN 743434-48-2 CAPLUS
- CN β -Alanine, N-[4-[[5-(4-bromophenyl)-3-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]methyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

10/517,214 July 25, 2008

L23 ANSWER 17 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:606448 CAPLUS Full-text

DOCUMENT NUMBER: 141:157111

TITLE: Preparation of pyrazoles and analogs as PPAR

modulators for treatment of metabolic disorders,

diabetes mellitus, atherosclerosis, and cardiovascular

disorders

INVENTOR(S): Conner, Scott Eugene; Ma, Tianwei; Mantlo, Nathan

Bryan; Mayhugh, Daniel Ray; Schkeryantz, Jeffrey

Michael; Warshawsky, Alan M.; Zhu, Guoxin

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 214 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA	PATENT NO.				KIND		DATE		APPLICATION NO.				DATE					
WO	WO 2004063166			A1 20040729			WO 2003-US39119				20031231							
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OTHER SO	THER SOURCE(S):			MAR:	PAT	141:	1571		_					_				

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ΙI

$$E-Y = \begin{bmatrix} R8 & R32 & R1 \\ \hline & & & \\ & & & \\ R9 & & & \\ \end{bmatrix}$$

Title pyrazoles, imidazoles, and (is) oxazoles I [wherein R1 = H, AΒ (un) substituted alkyl, alkenyl, (hetero) aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un) substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un) substituted (halo) alkyl, alkoxy, cycloalkyl, (hetero) aryl(alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un)substituted aliphatic linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N;Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-vl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and saponification with NaOH in MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

(PPAR modulator; preparation of pyrazoles and analogs as PPAR modulators

for

treatment of metabolic disorders, diabetes, atherosclerosis, and cardiovascular disorders) $\,$

RN 728913-16-4 CAPLUS

CN Propanoic acid, 2-methyl-2-[4-[2-[3-methyl-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]propyl]phenoxy]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

 ${\rm Me}$

RN 728914-84-9 CAPLUS

CN Acetic acid, 2-[4-[2-[3-methyl-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]ethyl]phenoxy]- (CA INDEX NAME)

RN 728914-85-0 CAPLUS

CN Propanoic acid, 2-methyl-2-[4-[2-[3-methyl-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]ethyl]phenoxy]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

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RN 728914-86-1 CAPLUS

CN Acetic acid, 2-[4-[2-[3-methyl-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]propyl]phenoxy]- (CA INDEX NAME)

 10/517,214 July 25, 2008

(Reactant or reagent)

(intermediate; preparation of pyrazoles and analogs as PPAR modulators for treatment of metabolic disorders, diabetes, atherosclerosis, and cardiovascular disorders)

RN

728914-90-7 CAPLUS
Acetic acid, 2-[4-[2-[3-methyl-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-CN yl]propyl]phenoxy]-, methyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

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L23 ANSWER 18 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:311011 CAPLUS Full-text

DOCUMENT NUMBER: 140:321649

TITLE: Preparation of pyrazolyl glycoside derivatives as

inhibitors of 1,5-anhydroglucitol/fructose/mannose

transporters

INVENTOR(S): Fujikura, Hideki; Kikuchi, Norihiko; Tazawa, Shigeki;

Yamato, Tokuhisa; Isaji, Masayuki

PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

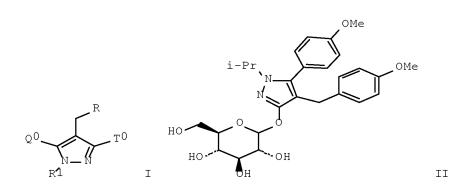
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031203	A1	20040415	WO 2003-JP12477	20030930

10/517,214 July 25, 2008

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             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
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PRIORITY APPLN. INFO.:
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                                                                    20021227
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                         MARPAT 140:321649
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OTHER SOURCE(S):

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AΒ The title compds. [I; R = each (un)substituted C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; R1 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; one of Q0 and T0 = α - or β -Dglucopyranosyloxy or -mannopyranosyloxy or β -D-deoxyglucopyranosyloxy- and the other = (CH2)nAr; wherein Ar = each (un) substituted C6-10 aryl or C1-9heteroaryl; n = an integer of 0-2] or pharmacol. acceptable salts or prodrugs thereof are prepared Also disclosed are medicinal composition containing the compound I, medicinal use thereof, and intermediates in producing the same. These compds. exerts an excellent effect of inhibiting human 1,5anhydroglucitol/fructose/mannose transporters and inhibit reabsorption or cellular uptake of glucose, fructose, and mannose in kidney or absorption of these saccharide small intestine and inhibit the increase in blood sugar. Therefore, they are useful as preventives, progress inhibitors or remedies for a disease caused by the over intake of at least one saccharide selected from among glucose, fructose, and mannose or a disease caused by hyperglycemia (diabetic complication, diabetes, or diabetic nephropathy). Thus,

glycosidation of 1-isopropyl-5-(4-methoxyphenyl)-4-[(4- methoxyphenyl)methyl]-1,2-dihydro-3H-pyrazol-3-one by acetobromo- α -D- glucose in the presence of benzyltributylammonium bromide in a mixture of CH2Cl2 and 5 N aqueous NaOH at room temperature for 1.5 h followed by treatment of the product with NaOMe in MeOH gave 3-(β -D-glucopyranosyloxy)-1- isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1H-pyrazole (II). II in vitro inhibited the uptake of [14C]methyl α -D-glucopyranoside in COS-7 cells transfected with human SMINT/PME18S-FL expression plasmid with IC50 of 92 nM.

IT 678994-69-9P 678994-70-2P 678994-71-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolyl glycoside derivs. as inhibitors of 1,5-anhydroglucitol/fructose/mannose transporters and preventives, progress inhibitors or remedies for diabetic complication, diabetes, or diabetic nephropathy)

RN 678994-69-9 CAPLUS

CN Acetamide, $2-[4-[3-(\beta-D-glucopyranosyloxy)-5-(4-methoxyphenyl)-1-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methoxyphenoxy]- (CA INDEX NAME)$

Absolute stereochemistry.

RN 678994-70-2 CAPLUS

CN Acetamide, $2-[4-[[5-(4-\text{ethylphenyl})-3-(\beta-D-\text{glucopyranosyloxy})-1-(1-\text{methylethyl})-1H-pyrazol-4-yl]methyl]-3-methoxyphenoxy]- (CA INDEX NAME)$

Absolute stereochemistry.

RN 678994-71-3 CAPLUS

CN Acetamide, 2-[4-[[3-(β -D-glucopyranosyloxy)-1-(1-methylethyl)-5-[4-(1-methylethyl)phenyl]-1H-pyrazol-4-yl]methyl]-3-methoxyphenoxy]- (CA INDEX NAME)

Absolute stereochemistry.

IT 678995-16-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolyl glycoside derivs. as inhibitors of 1,5-anhydroglucitol/fructose/mannose transporters and preventives, progress inhibitors or remedies for diabetic complication, diabetes, or diabetic nephropathy)

RN 678995-16-9 CAPLUS

CN Acetamide, 2-[3-methoxy-4-[[5-(4-methoxyphenyl)-1-(1-methylethyl)-3-[(2,3,4,6-tetra-0-acetyl- β -D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 19 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:14711 CAPLUS <u>Full-text</u> DOCUMENT NUMBER: 140:181373

TITLE: Transformations of alkyl (5-oxo-1-phenyl-4,5-dihydro-

1H-pyrazol-3-yl)acetates into 5-heteroaryl-3-0X0-2-phenyl-3,5-dihydro-2H-pyrazolo[4,3-c]pyridine-7-

carboxylates

AUTHOR(S): Bevk, David; Jakse, Renata; Svete, Jurij; Golobic,

Amalija; Golic, Ljubo; Stanovnik, Branko

CORPORATE SOURCE: Faculty of Chemistry and Chemical Technology,

University of Ljubljana, Ljubljana, 1000, Slovenia

SOURCE: Heterocycles (2003), 61, 197-223

CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:181373

AB Alkyl [(Z)-4-dimethylaminomethylidene-5-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-3-yl]acetate was transformed with N- and C-nucleophiles into alkyl [4-(substituted amino)methylidene-4,5-dihydro-1H-pyrazol-3-yl]acetates and alkyl (4-heteroarylmethylidene-4,5-dihydro-1H-pyrazol-3-yl)acetates, resp. Alkyl [4-(substituted amino)methylidene-4,5-dihydro-1H-pyrazol-3-yl]acetates cyclize by heating with N,N-dimethylformamide dimethylacetal in DMF into 2H-pyrazolo[4,3-c]pyridine-7-carboxylates.

IT 660441-48-5P 660441-49-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and transformation of alkyl

[(oxo)(phenyl)dihydropyrazolyl)acet

ates into (aryl)(oxo)(phenyl)pyrazolo[4,3-c]pyridinecarboxylates)

RN 660441-48-5 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 4,5-dihydro-4-[(5-hydroxy-3-methyl-1-phenyl-1H-pyrazol-4-yl)methylene]-5-oxo-1-phenyl-, ethyl ester, (4Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 660441-49-6 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 4,5-dihydro-4-[(5-hydroxy-1,3-diphenyl-1H-pyrazol-4-yl)methylene]-5-oxo-1-phenyl-, ethyl ester, (4Z)- (CA INDEX NAME)

Double bond geometry as shown.

10/517,214 July 25, 2008

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 20 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2003:951003 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 140:16723

TITLE: Preparation of 1,2-azole derivatives with hypoglycemic

and hypolipidemic activity

INVENTOR(S): Maekawa, Tsuyoshi; Hara, Ryoma; Odaka, Hiroyuki;

Kimura, Hiroyuki; Mizufune, Hideya; Fukatsu, Kohji

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan; Takeda

Pharmaceutical Company Limited

SOURCE: PCT Int. Appl., 564 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.						KIND DATE			APPLICATION NO.						DATE		
	WO 2003099793 WO 2003099793								WO 2003-JP6389						2	0030	522	
	WO	2003	0997	93		A9		2005	0210									
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NΙ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	KΖ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	CA	2487	315			A1		2003	1204	1	CA 2	003-	2487.	315		2	0030	522
	AU	2003	2411	73		A1		2003	1212		AU 2	003-	2411	73		2	0030	522
	JΡ	2004	2773	97		Α		2004	1007		JP 2	003-	1449	84		2	0030	522
	ΕP	1513	817			A1		2005	0316		EP 2	003-	7305	75		2	0030	522
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
	US	2006	0148	858		A1		2006	0706		US 2	005-	5172	14		2	0050	301
PRIOR	IT	APP	LN.	INFO	.:					1	JP 2	002-	1514	05		A 2	0020	524
											JP 2	002-	2871	61		A 2	0020	930
										1	JP 2	003-	1674	8		A 2	0030	124
										,	WO 2	003-	JP63	89	1	W 2	0030.	522

OTHER SOURCE(S): MARPAT 140:16723

GΙ

1,2-Azole derivs. A-B-Xa-Ya-Xb-Yb-C-Xc-Yc-C(:0)-R (I; e.g. II) wherein ring A AΒ optionally has 1-3 substituents; ring B is a 1,2-azole ring which may further have 1 to 3 substituents; Xa, Xb and Xc are the same or different and each is a bond, -O-, -S- and the like; Ya is a divalent aliphatic hydrocarbon residue having 1-20 C atoms; Yb and Yc are the same or different and each is a bond or a divalent aliphatic hydrocarbon residue having 1-20 C atoms; ring C is a monocyclic aromatic ring which may further have 1 to 3 substituents; and R = -OR4 (R4 is H atom or (un) substituted hydrocarbon group) and the like, or a salt thereof or a prodrug thereof is useful as an agent for the prophylaxis or treatment of diabetes and the like. Hypoglycemic and hypolipidemic actions in mice are tabulated for about 50 examples of I; e.g. a 53 % rate of decrease in blood glucose level in the presence of 0.005 % [2-[3-[3-isopropyl-1-[5-isopropy(trifluoromethyl) - 2-pyridinyl]-1H-pyrazol-4-yl]propoxy]-3-methylphenyl]acetic acid and a 77 % rate of decrease in blood triglyceride level in the presence of 0.005 % 2-methyl-2-[4-[3-methyl-1-[5-(trifluoromethyl)-2-pyridyl]-1Hpyrazol-4- ylmethoxy]phenoxy]propionic acid when the level (glucose or triglyceride) of the non-treated group is taken as 100 %. Plasma antiarteriosclerosis index-enhancing action in mice is tabulated for 34 examples of I, e.g. 25 % for [3-methoxy-2-[3-[3-propyl-1-[5-(trifluoromethyl)-2pyridyl]-1H- pyrazol-4-yl]propoxy]phenyl]acetic acid. PPARy-RXR α and PPAR δ - $RXR\alpha$ heterodimer ligand activity is tabulated for 59 and 80 examples, resp., of I, e.g. EC50 = 3.8 nM for PPARy-RXR α for [2-[3-[3-cyclohexyl-1-[5-(trifluoromethyl)-2-pyridinyl]-1H-pyrazol-4- yl]propoxy]-3-methylphenyl]acetic acid. Nearly 400 example prepns. of I and 351 example prepns. of intermediates are included. For example, [4-[3-[3-[4-(trifluoromethyl)phenyl]-5-isoxazolyl]propoxy]phenyl]acetic acid was obtained in 25 % yield from a mixture of 3-[3-[4-(trifluoromethyl)phenyl]-5isoxazolyl]-1-Pr methanesulfonate, NaI, Me 2-(4-hydroxyphenyl)acetate, K2CO3 and DMF; details of the preparation of the mesylate are also given. 628333-46-0P 628333-47-1P 628333-95-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 1,2-azole derivs. with hypoglycemic and hypolipidemic activity)

RN 628333-46-0 CAPLUS

CN

1H-Pyrazole-4-propanoic acid, 3-(4-fluorophenyl)-1-[4-[3-propyl-1-[5-(trifluoromethyl)-2-pyridinyl]-1H-pyrazol-4-yl]butyl]- (CA INDEX NAME)

RN 628333-47-1 CAPLUS

CN 1H-Pyrazole-4-propanoic acid, 3-ethoxy-1-[4-[3-propyl-1-[5-(trifluoromethyl)-2-pyridinyl]-1H-pyrazol-4-yl]butyl]-, sodium salt (1:1) (CA INDEX NAME)

RN 628333-95-9 CAPLUS

CN 1H-Pyrazole-4-propanoic acid, 3-ethoxy-1-[4-[3-ethoxy-1-[5-(trifluoromethyl)-2-pyridinyl]-1H-pyrazol-4-yl]butyl]-, calcium salt (2:1) (CA INDEX NAME)

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 21 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2002:846095 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 138:378553

TITLE: Pharmacophore definition and three-dimensional

quantitative structure-activity relationship study on structurally diverse prostacyclin receptor agonists Stoll, Friederike; Liesener, Sven; Hohlfeld, Thomas; Schror, Karsten; Fuchs, Philip L.; Holtje, Hans-Dieter

CORPORATE SOURCE: Institut fur Pharmazeutische Chemie,

Heinrich-Heine-Universitat Dusseldorf, Germany Molecular Pharmacology (2002), 62(5), 1103-1111

CODEN: MOPMA3; ISSN: 0026-895X

PUBLISHER: American Society for Pharmacology and Experimental

Therapeutics

DOCUMENT TYPE: Journal LANGUAGE: English

AUTHOR(S):

SOURCE:

Prostacyclin is an endogenous mediator that shows potent platelet inhibitory activity and powerful relaxation of peripheral resistance vessels. Prostacyclin receptor agonists are valuable drugs in the treatment of various vascular diseases spanning primary pulmonary hypertension to Raynaud's syndrome. Although agonists from various structural classes were synthesized, a common pharmacophore was never defined. Therefore, an attempt was made to integrate the different agonists into a single model. A dataset of structurally diverse prostacyclin receptor agonists was tested for its affinity to the human platelet prostacyclin receptor. The dataset included prostanoid and nonprostanoid ligands comprising iloprost, cicaprost, and BMY45778. Extensive conformational analyses were performed for both classes of compds. because of the absence of rigid templates. The search and superimposition procedure yielded a pharmacophore that aligns the essential carboxylate group of the agonists as well as demonstrates that different functional groups in prostanoid and nonprostanoid agonists can be arranged in a uniform conformation. A three-dimensional quant. structure-activity relationship study was performed using the programs GRID and GOLPE. This anal. yielded a cross-validated correlation coefficient of 0.77. With this model, it is possible to predict the affinity of untested compds.

IT 131362-18-0, BMY 43676 131362-19-1, BMY 43678

RL: ANT (Analyte); BSU (Biological study, unclassified); PRP (Properties); ANST (Analytical study); BIOL (Biological study)

(pharmacophore definition and three-dimensional quant. structure-activity relationship study on structurally diverse prostacyclin receptor agonists)

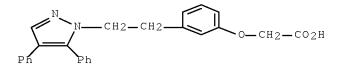
RN 131362-18-0 CAPLUS

CN Acetic acid, [3-[2-(3,4-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ \text{Ph} \end{array} \begin{array}{c} \text{N} \\ \text{CH}_2 - \text{CH}_2 \end{array} \begin{array}{c} \text{O}_2 \\ \text{CH}_2 - \text{CO}_2 \\ \text{H} \end{array}$$

RN 131362-19-1 CAPLUS

CN Acetic acid, [3-[2-(4,5-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 22 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2000:844940 CAPLUS Full-text

DOCUMENT NUMBER: 134:115890

TITLE: Potent nonpeptide endothelin antagonists: synthesis

and structure-activity relationships of

pyrazole-5-carboxylic acids

AUTHOR(S): Zhang, Jidong; Didierlaurent, Stanislas; Fortin,

Michel; Lefrancois, Dominique; Uridat, Eric; Vevert,

Jean Paul

CORPORATE SOURCE: Medicinal Chemistry, Hoechst Marion Roussel,

Romainville, 93235, Fr.

Bioorganic & Medicinal Chemistry Letters (2000), SOURCE:

10(22), 2575-2578

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

Journal DOCUMENT TYPE: LANGUAGE: English

CASREACT 134:115890 OTHER SOURCE(S):

The synthesis and the structure-activity relationships (SARs) of 5-AB pyrazolecarboxylates with potent ETA selective, mixed ETA/ETB, or moderately ETB selective antagonist activities is reported.

179109-27-4P 190321-43-8P 190321-45-0P TΤ

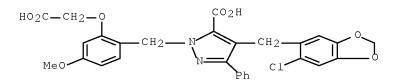
321200-99-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and structure-activity relationship of pyrazolecarboxylates)

179109-27-4 CAPLUS RM

1H-Pyrazole-5-carboxylic acid, 1-[[2-(carboxymethoxy)-4-CN methoxyphenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-phenyl-(CA INDEX NAME)



RN 190321-43-8 CAPLUS

1H-Pyrazole-5-carboxylic acid, 1-[[2-(carboxymethoxy)phenyl]methyl]-4-[(6-CN chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-thienyl)- (CA INDEX NAME)

RN 190321-45-0 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 1-[[2-(carboxymethoxy)-4-methoxyphenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-thienyl)- (CA INDEX NAME)

$$HO_2C=CH_2=0$$
 $CH_2=0$
 CH_2

RN 321200-99-1 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 1-[[2-(carboxymethoxy)phenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-phenyl- (CA INDEX NAME)

IT 179110-55-5P 321201-18-7P 321201-21-2P

321201-22-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and structure-activity relationship of pyrazolecarboxylates)

RN 179110-55-5 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 1-[[2-(carboxymethoxy)-4-methoxyphenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-phenyl-, 5-ethyl ester (CA INDEX NAME)

RN 321201-18-7 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 1-[[2-(carboxymethoxy)phenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-phenyl-, 5-ethyl ester (CA INDEX NAME)

RN 321201-21-2 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 1-[[2-(carboxymethoxy)phenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-thienyl)-, 5-ethyl ester (CA INDEX NAME)

RN 321201-22-3 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 1-[[2-(carboxymethoxy)-4-methoxyphenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-thienyl)-, 5-ethyl ester (CA INDEX NAME)

10/517,214 July 25, 2008

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 23 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1999:819049 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 132:64173

TITLE: Preparation of labeling reactants for fluorescent

labeling of biospecific binding reactants

INVENTOR(S): Takalo, Harri; Hovinen, Jari; Mukkala, Veli-matti;

Liitti, Pivi; Mikola, Heikki

PATENT ASSIGNEE(S): Wallac Oy, Finland

SOURCE: Eur. Pat. Appl., 26 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 967205	A1	19991229	EP 1999-660100	19990603
EP 967205	B1	20030917		
R: AT, BE, CH,	DE, DK	, ES, FR, GB	, GR, IT, LI, LU, NL,	SE, MC, PT,
IE, SI, LT,	LV, FI	, RO		
US 6080839	A	20000627	US 1998-104219	19980625
PRIORITY APPLN. INFO.:			US 1998-104219	A 19980625
OTHER SOURCE(S):	CASREA	CT 132:64173	; MARPAT 132:64173	
GI				

$$\begin{bmatrix} G \\ A \\ A \end{bmatrix}$$

$$\begin{bmatrix} R \\ A \\ N \end{bmatrix}$$

$$\begin{bmatrix} R \\ R \\ 1 \end{bmatrix}$$

$$\begin{bmatrix} R \\ R \\ 1 \end{bmatrix}$$

$$\begin{bmatrix} R \\ R \\ 1 \end{bmatrix}$$

Novel pyridinediylbis(methylenenitrilo)tetrakisacetic acid labeling reactants, AΒ suitable for fluorescent labeling of biospecific binding reactants in solidphase synthesis, were prepared The novel labeling reactants (I) [wherein A = a bivalent aromatic structure capable of absorbing light or energy and transferring the excitation energy to a lanthanide ion after the product made by solid-phase synthesis has been released from the used solid support, deprotected, and converted to a lanthanide chelate; R = -Z(G1-NH-X)G2-E; X = atransient protecting group, e.g. 2-(4-nitrophenylsulfonyl)ethoxycarbonyl, trityl, 4-methoxytrityl, 4,4'-dimethoxytrityl, BOC, Fmoc; E = a carboxylic acid, its salt, active ester (e.g. N-hydroxysuccinimido, nitrophenol, 2,4dinitrophenol, or pentafluorophenol), or halide; Z = the bridge point; G = abridge between A and Z; G1 = a bridge between NH and Z; G2 = a bridge between E and Z; R1 = CO2R2; R2 = alkyl or (un)substituted Ph or benzyl] are particularly useful in the labeling of small mols. Thus, II was prepared in a 4-step sequence involving (1) desilylation of Me (4trimethylsilylethynylphenoxy) acetate (83%), (2) addition to tetra(tert-Bu) 2,2',2'',2'''-[(4-bromopyridine- 2,6diyl)bis(methylenenitrilo)]tetrakis(acetate) (75%), (3) deesterification of the phenoxyacetate with KOH (67%), and (4) amidation with α -Fmoc-lysine.HCl (56%). II was used for labeling of an estradiol derivative, incorporating four Eu(III) chelates, on a solid support (no data).

IT 253137-97-2P 253137-98-3P 253137-99-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of

pyridinediylbis(methylenenitrilo)tetrakisaceti

 ${\tt c}$ acid labeling reactants for fluorescent labeling of biospecific binding reactants in solid phase synthesis)

RN 253137-97-2 CAPLUS

CN Glycine, N,N'-[[4-[[4-(2-methoxy-2-oxoethoxy)phenyl]ethynyl]-1H-pyrazole- 1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-[2-(1,1-dimethylethoxy)-2-oxoethyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

RN 253137-98-3 CAPLUS

CN Glycine, N,N'-[[4-[2-[4-(2-methoxy-2-oxoethoxy)phenyl]ethyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-[2-(1,1-dimethylethoxy)-2-oxoethyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

RN 253137-99-4 CAPLUS

CN Glycine, N,N'-[[4-[2-[4-(carboxymethoxy)phenyl]ethyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-[2-(1,1-dimethylethoxy)-2-oxoethyl]-, 1,1'-bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

IT 253137-93-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (target compound; preparation of

pyridinediylbis(methylenenitrilo)tetrakisacet

ic acid labeling reactants for fluorescent labeling of biospecific

binding reactants in solid phase synthesis)

RN 253137-93-8 CAPLUS

CN D-Lysine, N6-[[4-[2-[1,3-bis[6-[[bis[2-(1,1-dimethylethoxy)-2-oxoethyl]amino]methyl]-2-pyridinyl]-1H-pyrazol-4-yl]ethyl]phenoxy]acetyl]-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 24 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1997:394303 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 127:5088

ORIGINAL REFERENCE NO.: 127:1157a,1160a

TITLE: Novel pyrazole acid derivatives, process for their

preparation, their use as drugs, and pharmaceutical

compositions containing them

INVENTOR(S): Didierlaurent, Stanislas; Fortin, Michel; Zhang,

Jidong

PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.; Didierlaurent, Stanislas; Fortin,

Michel; Zhang, Jidong

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.			KIND DATE			APPLICATION NO.					DATE					
WO	9715570			A1		 1997	0501		 WO 1	 -996-	 FR16	15		1	9961	016	
	W: AU,	BR,	CA,	CN,	CZ,	HU,	IL,	JP,	KR,	MX,	NO,	NΖ,	PL,	RU,	SI,	SK,	US
	RW: AT,	BE,	CH,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE
FR	2740135			A1		1997	0425		FR 1	995-	1233	0		1	9951	020	
FR	2740135			В1		1997	1219										
TW	492964			В	,	2002	0701		TW 1	996-	8511	1979		1	9961	001	
IN	1996DE02	235		А	,	2005	0311		IN 1	996-	DE22	35		1	9961	014	
AU	9673054			А		1997	0515		AU 1	996-	7305	4		1	9961	016	
EP	858459			A1		1998	0819		EP 1	996-	9349	21		1	9961	016	
EP	858459			В1	,	2002	0904										
	R: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	PT,	ΙE,	FI
JP	11513704			T		1999	1124		JP 1	997-	5163	42		1	9961	016	
AT	223403			T	,	2002	0915		AT 1	996-	9349	21		1	9961	016	
PT	858459			Т	,	2002	1231		PT 1	996-	9349	21		1	9961	016	
ES	2178718					2003	0101		ES 1	996-	9349	21		1	9961	016	
ZA	9608762			А		1997	1017		ZA 1	996-	8762			1	9961	017	
US	5942622			А		1999	0824		US 1	998-	4309	4		1	9980	422	
RIORIT	APPLN.	INFO	. :						FR 1	995-	1233	0	i	A 1	9951	020	
									WO 1	996-	FR16	15	Ţ	W 1	9961	016	
THER SO	OURCE(S):			CASI	REAC'	Г 12	7:50	88;	MARF	PAT 1	27 : 5	088					

GΙ

Title products I [one of A and B = NR2, the other = CR3, such that A = NR2 and AΒ R2 = particularly alkyl, or A = CR3 and R3 = particularly Ph, thienyl, or pyridyl; and B = NR2 and R2 = particularly cyclohexylalkyl, or <math>B = CR3 and R3= particularly alkylthio; R1 = particularly CO2H; R = particularly halogen]

III

and their isomers and salts are disclosed. The compds. are endothelin receptor antagonists, and are useful for inhibiting effects of endothelin such as vasoconstriction and hypertension. For instance, Wittig reaction of 6-chloropiperonal with tri-Et phosphonoacetate, followed by reduction of the resultant olefinic bond with NaBH4-CuCl, and condensation with di-Et oxalate, gave the diester II. The latter underwent a sequence of cyclocondensation with 2-cyanoethylhydrazine, bromination of the resultant hydroxypyrazole, N-alkylation with (bromomethyl)cyclohexane, Pd(0)-catalyzed coupling of the bromide with 2-thiopheneboronic acid, and alkaline hydrolysis of the ester, to give title compound III. In assays for binding to endothelin A and B receptors in vitro, III had IC50 values of 1.1 and 1.7 nM, resp.

IT 190321-70-1P 190321-71-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of (benzodioxolylmethyl)pyrazolecarboxylic acid derivs. as endothelin receptor antagonists)

RN 190321-70-1 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-1[[4-(2-ethoxy-2-oxoethyl)phenyl]methyl]-3-phenyl-, ethyl ester (CA INDEX NAME)

RN 190321-71-2 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-1[[4-(2-ethoxy-2-oxoethyl)phenyl]methyl]-5-phenyl-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

IT 190321-37-0P 190321-43-8P 190321-45-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (benzodioxolylmethyl)pyrazolecarboxylic acid derivs. as endothelin receptor antagonists)

RN 190321-37-0 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 1-[[4-(carboxymethyl)phenyl]methyl]-4-[(6-

chloro-1,3-benzodioxol-5-yl)methyl]-3-phenyl- (CA INDEX NAME)

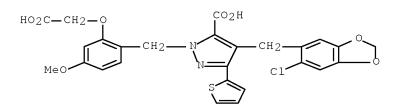
$$HO_2C=CH_2$$
 CH_2
 C

RN 190321-43-8 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 1-[[2-(carboxymethoxy)phenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-thienyl)- (CA INDEX NAME)

RN 190321-45-0 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 1-[[2-(carboxymethoxy)-4-methoxyphenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-(2-thienyl)- (CA INDEX NAME)



L23 ANSWER 25 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1996:737879 CAPLUS Full-text

DOCUMENT NUMBER: 126:13042
ORIGINAL REFERENCE NO.: 126:2641a,2644a

TITLE: Silver halide color photographic material with high

sharpness and image formation using it

INVENTOR(S):
Takada, Kyoto

PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08248568	A	19960927	JP 1995-80878	19950314
PRIORITY APPLN. INFO.:			JP 1995-80878	19950314
GI				

$$\sum_{N} \sum_{1} \sum_{1$$

AB The material has a water-resistant polymer layer containing $\geq 2-g/m2$ white pigment and ≥ 1 layer containing ≥ 1 I (R1, R3 = electron-attractive group with Hammet substitution constant ≥ 0.3 ; R2, R4 = alkyl, aryl; L1-L5 = methine; M1 = H, atomic group or metal giving monovalent cation; ≥ 1 L1-L5 is substituted). Images are obtained by printing the material via a color neg. film having a poly(ethylene terephthalate) or poly(ethylene naphthalate) support. Images are obtained by exposing for <10-4 s and developing. The material showed high sharpness and good storage stability.

IT 176162-50-8

RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)

(silver halide color photog. material containing pyrazolone derivative with high sharpness)

RN 176162-50-8 CAPLUS

CN Glycine, N-[[4-[5-[3-[[(carboxymethyl)amino]carbonyl]-1-(2,5-disulfophenyl)-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-3-methyl-1,3-pentadienyl]-1-(2,5-disulfophenyl)-5-hydroxy-1H-pyrazol-3-yl]carbonyl]-, tetrapotassium salt (9CI) (CA INDEX NAME)

●4 K

L23 ANSWER 26 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1996:457800 CAPLUS Full-text

DOCUMENT NUMBER: 125:114608 ORIGINAL REFERENCE NO.: 125:21511a TITLE: Preparation of novel acid pyrazoles and pyrazolones as

endothelin receptor antagonists
Fortin, Michel; Zhang, Jidong

PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.
SOURCE: PCT Int. Appl., 167 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

INVENTOR(S):

PA:	PATENT NO.						KIND DATE			APPLICATION NO.					DATE			
WO	9612	706			A1		1996	0502	V	VO :	1995-	FR13	86		1	9951	020	
	W:	ΑU,	BR,	CA,	CN,	FΙ,	HU,	JP,	KR,	MX	, RU,	UA,	US					
	RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IE,	ΙT,	LU,	MC,	ΝL,	PT,	SE	
FR	2725	988			A1		1996	0426	E	R :	1994-	1267	6		1	9941	024	
FR	2725	988			В1		1997	0124										
AU	9538	085			Α		1996	0515	I	U.	1995-	3808	5		1	9951	020	
ZA	9508	995			Α		1996	1024	2	ZA :	1995-	8995			1	9951	024	
PRIORIT	Y APP	LN.	INFO	.:					E	rr :	1994-	1267	6		A 1	9941	024	
									V	VO :	1995-	FR13	86		W 1	9951	020	

OTHER SOURCE(S): MARPAT 125:114608

GΙ

$$\mathbb{R}^2$$
 \mathbb{R}^3
 \mathbb{R}^3

AB Title acid pyrazoles and pyrazolones, e.g. I [R = hydrogen, (un)substituted alkyl, aryl, arylalkyl or alkylaryl, R1-R3 are keto, alkyl, ketoalkyl, alkoxy, aryloxy, alkylthio, arylthio, or one of R1-R3 is hydrogen, and all the possible isomeric forms], are disclosed. Thus, 3-butyl-4-[(6-chloro-1,3-benzodioxol-5-yl)-1-((3-methoxyphenyl)methyl)-1H- pyrazole-5-carboxylic acid] was prepared and tested as endothelin receptor B (CI50 = 47 nmol).

IT 179109-28-5P 179109-33-2P

RL: IMF (Industrial manufacture); PREP (Preparation) (preparation of acid pyrazoles and pyrazolones as endothelin receptor antagonists)

RN 179109-28-5 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[[2-(carboxymethoxy)-4-methoxyphenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-5-phenyl-(CA INDEX NAME)

$$MeO$$
 CH_2
 N
 CO_2H
 CH_2
 RN 179109-33-2 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 4-(1,3-benzodioxol-5-yloxy)-1-[[2-(carboxymethyl)phenyl]methyl]-3-phenyl- (CA INDEX NAME)

IT 179110-55-5P 179110-56-6P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(preparation of acid pyrazoles and pyrazolones as endothelin receptor antagonists)

RN 179110-55-5 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 1-[[2-(carboxymethoxy)-4-methoxyphenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-phenyl-, 5-ethyl ester (CA INDEX NAME)

RN 179110-56-6 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 1-[[2-(carboxymethoxy)-4-methoxyphenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-5-phenyl-, 3-ethyl ester (CA INDEX NAME)

IT 179109-27-4P

RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acid pyrazoles and pyrazolones as endothelin receptor antagonists)

RN 179109-27-4 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 1-[[2-(carboxymethoxy)-4-methoxyphenyl]methyl]-4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]-3-phenyl-(CA INDEX NAME)

L23 ANSWER 27 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1996:273274 CAPLUS Full-text

DOCUMENT NUMBER: 124:328332 ORIGINAL REFERENCE NO.: 124:60643a

TITLE: Silver halide photographic material containing

pyrazolonepentamethine oxonol dye

INVENTOR(S): Nakamura, Tetsuo; Ohno, Shigeru; Kawai, Kiyoshi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 78 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	PATENT NO.						DATE	API	PLICATION NO.	DATE		
						_						
EP	6976	23			A1		19960221	EP	1995-112950		19950817	
EP	6976	23			В1		19980325					
	R:	BE,	DE,	FR,	GB,	NL						
JP	0810	9334			A		19960430	JP	1995-24548		19950120	
JP	3672	603			В2		20050720					
US	5563	028			Α		19961008	US	1995-516402		19950817	
US	5633	390			Α		19970527	US	1996-679907		19960715	
PRIORIT	Y APP	LN.	INFO	.:				JP	1994-214314	A	19940817	
								US	1995-516402	А3	19950817	

OTHER SOURCE(S): MARPAT 124:328332

GΙ

Q1Q2N CH CH CH CH CH
$$\sim$$
 NQ1Q2

NN N O M2O N N (SO3M1)p

Q3 (SO3M1)p

- As ilver halide photog. material comprising at least one silver halide emulsion layer and at least one non-light-sensitive hydrophilic colloidal layer provided on a support. The silver halide emulsion layer or the hydrophilic colloidal layer contains a dye represented by the formula I, in which A1 is an alkyl group, a substituted alkyl group, an aryl group or a substituted aryl group; each of Q1 and Q2 is hydrogen, an alkyl group or a substituted alkyl group, Q1 and Q2 may be combined to form a five- or six-membered heterocyclic ring; Q3 is hydrogen, a halogen atom, a carboxyl, Me or methoxy; each of M1 and M2 is hydrogen, a metal atom or an atomic group that forms a monovalent cation; and p is 2, 3 or 4.
- IT 176162-50-8 176162-52-0

RL: TEM (Technical or engineered material use); USES (Uses) (antiirradn. dye for silver halide photog. materials)

- RN 176162-50-8 CAPLUS
- CN Glycine, N-[[4-[5-[3-[[(carboxymethyl)amino]carbonyl]-1-(2,5-disulfophenyl)-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-3-methyl-1,3-pentadienyl]-1-(2,5-disulfophenyl)-5-hydroxy-1H-pyrazol-3-yl]carbonyl]-, tetrapotassium salt (9CI) (CA INDEX NAME)

●4 K

- RN 176162-52-0 CAPLUS
- CN β -Alanine, N-[[4-[5-[3-[[(2-carboxyethyl)amino]carbonyl]-1-(2,5-disulfophenyl)-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-3-methyl-1,3-pentadienyl]-1-(2,5-disulfophenyl)-5-hydroxy-1H-pyrazol-3-yl]carbonyl]-, pentapotassium salt (9CI) (CA INDEX NAME)

5 K

IT 176162-41-7P

RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(preparation and use as antiirradn. dye for silver halide photog. $\mathsf{materials}$)

RN 176162-41-7 CAPLUS

CN Glycine, N-[[1-(2,4-disulfophenyl)-4-[5-[1-(2,4-disulfophenyl)-3-[[(2-ethoxy-2-oxoethyl)amino]carbonyl]-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-3-methyl-1,3-pentadienyl]-5-hydroxy-1H-pyrazol-3-yl]carbonyl]-, 1-ethyl ester, pentapotassium salt (9CI) (CA INDEX NAME)

K

L23 ANSWER 28 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1995:774621 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 123:183295

ORIGINAL REFERENCE NO.: 123:32353a,32356a

TITLE: Colour photographic recording material.

INVENTOR(S): Odenwaelder, Heinrich; Bell, Peter; Willsau, Johannes

PATENT ASSIGNEE(S): Agfa-Gevaert A.-G., Germany SOURCE: Eur. Pat. Appl., 32 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT	NO.			KIND		DATE	API	PLICATION NO.		DATE
						-					
	EP 6524	174			A1		19950510	EP	1994-116952		19941026
	EP 6524	174			В1		19961002				
	R:	BE,	DE,	FR,	GB,	NL					
	DE 4338	3104			A1		19950511	DE	1993-4338104		19931108
	US 5441	.857			Α		19950815	US	1994-329847		19941027
	JP 0718	1646			Α		19950721	JP	1994-293629		19941104
PRIOR	ITY APP	LN.	INFO.	. :				DE	1993-4338104	A	19931108
OTHER	SOURCE	(S):			MARI	PAT	123:183295				

AB The title neg. material comprises ≥ 1 Ag halide emulsion layer and a compound from A-B-(T1)m-(COUP-D)(T2)n [A = ballast group; B = development splittable group; T1, T2 = timing group; m, n = 0, 1; COUP = 4-equiv coupler; D = Ag-

affinity group]. The photog. material has improved sensitivity.

IT 167307-81-5

RL: DEV (Device component use); USES (Uses) (photog. coupler for improved sensitivity)

RN 167307-81-5 CAPLUS

CN 1H-Tetrazole-5-acetamide, N-[5-(acetyloxy)-1-naphthalenyl]-1-[[5-[[4-hydroxy-3-[[[2-(tetradecyloxy)phenyl]amino]carbonyl]-1-naphthalenyl]oxy]-3-methyl-1-phenyl-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

L23 ANSWER 29 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1994:469414 CAPLUS Full-text

DOCUMENT NUMBER: 121:69414

ORIGINAL REFERENCE NO.: 121:12273a,12276a

TITLE: Silver halide photographic material containing

antiirradiation dye and polymer latex to improve

quality of printed characters

INVENTOR(S): Morihara, Hideaki; Yoshida, Kazuhiro; Arai, Takeo

PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06035097	A	19940210	JP 1992-195444	19920722
PRIORITY APPLN. INFO.:			JP 1992-195444	19920722

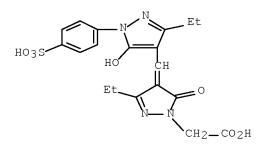
AB The claimed photog. material having ≥1 light-sensitive layer and ≥1 light-insensitive hydrophilic colloid layer on a support is characterized by (1) that the emulsion layer and the colloid layer contain a polymer latex stabilized by gelatin and (2) that the emulsion layer and/or hydrophilic colloid layer contains a water-soluble dye having the absorption peak at 400-500 nm. It provides a printed characters with an excellent sharpness with low background d., and remains little residual dye stain in the processed materials.

IT 156245-66-8

RL: TEM (Technical or engineered material use); USES (Uses) (photog. material containing, antiirradn. dye)

RN 156245-66-8 CAPLUS

CN 1H-Pyrazole-1-acetic acid, 3-ethyl-4-[[3-ethyl-5-hydroxy-1-(4-sulfophenyl)-1H-pyrazol-4-yl]methylene]-4,5-dihydro-5-oxo-, disodium salt (9CI) (CA INDEX NAME)



●2 Na

L23 ANSWER 30 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1994:422360 CAPLUS Full-text

DOCUMENT NUMBER: 121:22360
ORIGINAL REFERENCE NO.: 121:3987a,3990a

TITLE: silver halide color photographic material

INVENTOR(S): Tosaka, Yasuo; Sasagawa, Masayuki PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 51 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05188538	A	19930730	JP 1992-3139	19920110
PRIORITY APPLN. INFO.:			JP 1992-3139	19920110

OTHER SOURCE(S): MARPAT 121:22360

AB In a direct-pos. silver halide color photog. material showing high image quality and sharpness and suited for preparing color proofs and comprising yellow, magenta, cyan, and black image-forming silver halide emulsion layers on a support, any of the silver halide emulsion layers and/or other hydrophilic colloidal photog. constituent layers contain dispersed solid dyes having ≥1 group selected from carboxyl, sulfonamido, and sulfamoyl groups.

IT 143132-86-9

RL: USES (Uses)

(direct-pos. color photog. materials containing dispersed, for color proof preparation)

RN 143132-86-9 CAPLUS

CN 1,4-Benzenedicarboxylic acid, 2-[3-amino-4-[5-[1-(carboxymethyl)-5-cyano-1,6-dihydro-4-methyl-2,6-dioxo-3(2H)-pyridinylidene]-1,3-pentadienyl]-5-hydroxy-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

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PAGE 2-A

L23 ANSWER 31 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1994:284782 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 120:284782

ORIGINAL REFERENCE NO.: 120:50029a,50032a

TITLE: Silver halide photographic material

INVENTOR(S): Takemura, Kumiko; Taguchi, Masaaki; Hashimoto,

Hiroyuki; Kawashima, Yasuhiko; Usagawa, Yasushi; Inoe,

Kyoshi; Oohashi, Hirobumi

PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 72 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05045790	A	19930226	JP 1991-201928	19910812
JP 3030578	В2	20000410		
PRIORITY APPLN. INFO.:			JP 1991-201928	19910812
GI				

AB In the title material comprising a support having thereon hydrophilic colloid layers (including one or more silver halide emulsion layers), at least one of said hydrophilic colloid layers contains a dispersion of solid microparticles of a dye compound represented by I, II, etc. For I, R1, R2 = substituent; R3, R4 = Ph ring having linking group connected to carboxyl group; L1 to L3 = methine; n = 0 to 2. For II, R1, R2 = substituent; R3, R4 = H, alkyl, cycloalkyl, alkenyl, etc.; L1 to L5 = methine; n, t = 0 or 1. At least one silver halide emulsion layer in the title material contains one or more 1-phenyl-5-mercaptotetrazole derivs. The title material shows high sensitivity and gives sharp images.

IT 139611-40-8 141795-76-8 141795-77-9 141795-85-9 141828-40-2 150441-04-6 150441-08-0

RL: TEM (Technical or engineered material use); USES (Uses) (photog. material containing)

RN 139611-40-8 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 4-[[1-(2-carboxyethyl)-5-hydroxy-3-phenyl-1H-pyrazol-4-yl]methylene]-4,5-dihydro-5-oxo-3-phenyl- (9CI) (CA INDEX NAME)

RN 141795-76-8 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 4-[[3-(carboxymethyl)-5-hydroxy-1-phenyl-1H-pyrazol-4-yl]methylene]-4,5-dihydro-5-oxo-1-phenyl-(9CI) (CA INDEX NAME)

RN 141795-77-9 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 4-[3-[3-(carboxymethyl)-5-hydroxy-1-phenyl-1H-pyrazol-4-yl]-2-propenylidene]-4,5-dihydro-5-oxo-1-phenyl- (9CI) (CA INDEX NAME)

RN 141795-85-9 CAPLUS

CN Butanoic acid, 4-[[4-[3-[3-[(3-carboxy-1-oxopropyl)amino]-1,5-dihydro-5-oxo-1-phenyl-4H-pyrazol-4-ylidene]-1-propenyl]-5-hydroxy-1-phenyl-1H-pyrazol-3-yl]amino]-4-oxo-(9CI) (CA INDEX NAME)

RN 141828-40-2 CAPLUS

CN Glycine, N-[[4-[3-[3-[[bis(carboxymethyl)amino]carbonyl]-1,5-dihydro-5-oxo-

1-phenyl-4H-pyrazol-4-ylidene]-1-propenyl]-5-hydroxy-1-phenyl-1H-pyrazol-3-yl]carbonyl]-N-(carboxymethyl)- (9CI) (CA INDEX NAME)

RN 150441-04-6 CAPLUS

CN 1(2H)-Pyridineacetic acid, 3-[3-[3-(aminocarbonyl)-1-(2-carboxyphenyl)-5-hydroxy-1H-pyrazol-4-yl]-2-propenylidene]-5-cyano-3,6-dihydro-4-methyl-2,6-dioxo-(9CI) (CA INDEX NAME)

RN 150441-08-0 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 4-[[3-(carboxymethyl)-5-hydroxy-1-[4-(4-morpholinylsulfonyl)phenyl]-1H-pyrazol-4-yl]methylene]-4,5-dihydro-1-[4-(4-morpholinylsulfonyl)phenyl]-5-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

July 25, 2008

PAGE 2-A

L23 ANSWER 32 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1994:134462 CAPLUS Full-text

DOCUMENT NUMBER: 120:134462

ORIGINAL REFERENCE NO.: 120:23687a,23690a

TITLE: Heterocyclic phenoxyacetic acid derivative antithrombotic and antihypertensive agents

Hamanaka, Nobuyuki; Takahashi, Kanji; Tokumoto, INVENTOR(S):

Hidekado

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 112 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

EP 558062	A2	19930901	EP 1993-103113		19930226
EP 558062	A3	19940112			
EP 558062	В1	19970507			
R: AT, BE, CH,	DE,	DK, ES, FR,	GB, GR, IE, IT, LI,	LU, MO	C, NL, PT, SE
CA 2090283	A1	19930829	CA 1993-2090283		19930224
JP 06056744	Α	19940301	JP 1993-59418		19930225
JP 3162532	В2	20010508			
JP 2000086635	A	20000328	JP 1999-215279		19930225
JP 3487415	В2	20040119			
AT 152712	T	19970515	AT 1993-103113		19930226
ES 2103989	Т3	19971001	ES 1993-103113		19930226
KR 187325	В1	19990515	KR 1993-2879		19930227
US 5378716	A	19950103	US 1993-24306		19930301
US 5536736	A	19960716	US 1994-293218		19940819
US 5703099	A	19971230	US 1996-642598		19960503
US 5935985	A	19990810	US 1997-925587		19970908
PRIORITY APPLN. INFO.:			JP 1992-78330	A	19920228
			JP 1993-59418	А3	19930225
			US 1993-24306	А3	19930301
			US 1994-293218	A3	19940819
			US 1996-642598	А3	19960503
OTHER SOURCE(S):	CASI	REACT 120:13	4462; MARPAT 120:1344	62	

OTHER SOURCE(S): CASREACT 120:134462; MARPAT 120:134462

The title compds. I [A = heterocyclyl, carboxylate, (un)substituted CH2NH2, etc.; D = CO2R10, CONR11R12; R10 = H, C1-12 alkyl; R11, R12 = H, C1-4 alkyl; R13 = H, C1-4 alkyl, C1-4 alkoxy, NO2; T = direct bond, C1-6 alkylene, C2-6 alkenylene, O(CH2)s; s = 2-4], useful in the treatment of thrombosis, arteriosclerosis, ischemic heart disease, gastric ulcer, or hypertension, are prepared and I-containing formulations are presented. Thus, Me 3-[3-(4-diphenylmethylpyrazol-1-yl)propyl]phenoxyacetate was hydrolyzed, producing pyrazole derivative II which demonstrated a 50% human blood platelet aggregation inhibitory concentration of 0.42 $\mu \rm M$.

RL: RCT (Reactant); RACT (Reactant or reagent)
(antithrombotic and antihypertensive activity of)

RN 153183-92-7 CAPLUS

153183-92-7

ΙT

CN Acetic acid, [3-[3-(3,4,5-triphenyl-1H-pyrazol-1-yl)propyl]phenoxy]- (9CI) (CA INDEX NAME)

L23 ANSWER 33 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1994:19147 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 120:19147

ORIGINAL REFERENCE NO.: 120:3533a,3536a

TITLE: Silver halide photographic material with excellent

whiteness and contrast

INVENTOR(S):
Nishio, Shoji

PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05027367	A	19930205	JP 1991-179584	19910719
PRIORITY APPLN. INFO.:			JP 1991-179584	19910719

AB In a Ag halide photog. material comprising ≥ 2 dye-containing layers (halation-preventing layer and protective layer) and ≥ 1 Ag halide emulsion layer having $\gamma < 10$ on a support, the Ag halide emulsion layer is placed between the dye-containing layers. Preferably, the dye is bonded with an aqueous polymer.

IT 151691-49-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and use of, as polymer dye in silver halide photog. films)

RN 151691-49-5 CAPLUS

CN Benzenesulfonic acid, 4-[3-(2-amino-2-oxoethyl)-4-[5-[3-(2-amino-2-oxoethyl)-1,5-dihydro-5-oxo-1-(4-sulfophenyl)-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1H-pyrazol-1-yl]-, dipotassium salt (9CI) (CA INDEX NAME)

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2 F

IT 137692-84-3

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, polymer dye from, silver halide photog. film containing)

RN 137692-84-3 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 4-[5-[3-(carboxymethyl)-1,5-dihydro-5-oxo-1-(4-sulfophenyl)-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1-(4-sulfophenyl)-, dipotassium salt (9CI) (CA INDEX NAME)

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2 K

L23 ANSWER 34 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1993:528317 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 119:128317

ORIGINAL REFERENCE NO.: 119:22833a,22836a

TITLE: Silver halide photographic material with good

decolorization

INVENTOR(S): Yamada, Taketoshi; Hanyu, Takeshi PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

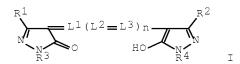
DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05045787	A	19930226	JP 1991-200510	19910809
PRIORITY APPLN. INFO.:			JP 1991-200510	19910809
OTHER SOURCE(S):	MARPAT	119:128317		



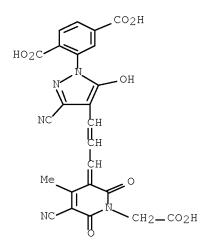
The title material has a photog. constituent layer containing a dispersion of particles of a dye represented, e.g., by I. For I, R1, R2 = CO2H or substituent having CO2H; R3, R4 = H or substituent which has no CO2H; L1-L3 = methine; n = 0 to 2. The above-mentioned photog. constituent layer is located on a photosensitive silver halide emulsion layer which contains an organic compound which reacts with the developing agent. The title material shows good decolorization after photog. processing.

IT 149489-71-4

RL: TEM (Technical or engineered material use); USES (Uses) (photog. materials containing)

RN 149489-71-4 CAPLUS

CN 1,4-Benzenedicarboxylic acid, 2-[4-[3-[1-(carboxymethyl)-5-cyano-1,6-dihydro-4-methyl-2,6-dioxo-3(2H)-pyridinylidene]-1-propenyl]-3-cyano-5-hydroxy-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



L23 ANSWER 35 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1993:437451 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 119:37451
ORIGINAL REFERENCE NO.: 119:6675a,6678a

TITLE: Silver halide photographic material containing

dye-polymer adduct and fluorescent brightener to

improve whiteness and sharpness

INVENTOR(S):
Nishio, Shoji

PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05027366	A	19930205	JP 1991-179583	19910719
PRIORITY APPLN. INFO.:			JP 1991-179583	19910719

OTHER SOURCE(S): MARPAT 119:37451

AB The photog. material having an antihalation layer on a support is characterized by that it has (1) the antihalation layer containing a dye-polymer adduct formed by a dye combined with water-soluble polymer and (2) a layer containing a fluorescent brightener. It has an excellent whiteness on non-image area and provides an image with good sharpness.

IT 137692-84-3D, adduct with gelatin

RL: USES (Uses)

(photog. antihalation layer containing)

RN 137692-84-3 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 4-[5-[3-(carboxymethyl)-1,5-dihydro-5-oxo-1-(4-sulfophenyl)-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1-(4-sulfophenyl)-, dipotassium salt (9CI) (CA INDEX NAME)

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●2 K

L23 ANSWER 36 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1993:428133 CAPLUS Full-text

DOCUMENT NUMBER: 119:28133

ORIGINAL REFERENCE NO.: 119:5217a,5220a

TITLE: Derivatives of β -substituted cinnamic acid

INVENTOR(S): Sauter, Hubert; Oberdorf, Klaus; Wingert, Horst; Von

Deyn, Wolfgang; Grammenos, Wassilios; Koenig, Hartmann; Rang, Harald; Roehl, Franz; et al.

PATENT ASSIGNEE(S): BASF A.-G., Germany SOURCE: Eur. Pat. Appl., 127 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ENT NO.			KINI)	DATE		I	APE	PLICATION NO.			DATE
EP	525516 525516 525516			A2 A3 B1	_	1993 1993 1995		E	 EP	1992-112086			19920715
		BE,	CH,		DK,			GB,	GF	R, IT, LI, NL,	PT,	SE	\mathbf{E}
DE	4124989		·	A1	•		0204	•		1991-4124989	•		19910727
AT	128454			Τ		1995	1015	I	T	1992-112086			19920715
ES	2078602	2		Т3		1995	1216	E	ΞS	1992-112086			19920715
JP	0525519	1		Α		1993	1005		JΡ	1992-190680			19920717
HU	61519			A2		1993	0128	F	UF	1992-2451			19920724
HU	213456			В		1997	0630						
AU	9220590)		Α		1993	0128	I	U <i>F</i>	1992-20590			19920727
AU	653612			В2		1994	1006						
ZA	9205613	3		A		1994	0127	2	ZΑ	1992-5613			19920727
CA	2075354	l		A1		1993	0128	(CA	1992-2075354			19920803
US	5538940)		A		1996	0723	J	JS	1995-440126			19950512
US	5573999)		A		1996	1112	J	JS	1995-441639			19950515
PRIORITY	APPLN.	INFO	.:					Ι	ÞΕ	1991-4124989		A	19910727
								J	JS	1992-919270		В1	19920727
								J	JS	1993-173936		В3	19931228

GΙ

AB Title compds. (235 compds.) were prepared as inhibitors of mitochondrial respiration. Thus, 2-MeC6H4Ac was treated with (MeO)2CO to give 94% 2-MeC6H4COCH2CO2Me which was enol methylated to give 94% (E)-2-MeC6H4C(OMe):CHCO2Me. The latter compound was brominated, oxidized to the aldehyde, and treated with 2-(4-fluorophenyl)-4- thiazolylmethylphosphonium chloride to give the cinnamate I. At $1.8 \times 10-5 \text{ mol/L}$ I caused 96 and 99% inhibition of mitochondrial respiration in Saccharomyces cerevisiae and Musca domestica resp.

IT 147500-08-1P 147500-09-2P 147500-10-5P 147500-11-6P 147500-12-7P 147500-13-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and fungicidal activity of)

RN 147500-08-1 CAPLUS

CN 2-Propenoic acid, 3-[2-[2-[1-(3-chlorophenyl)-1H-pyrazol-4-yl]ethenyl]phenyl]-3-methoxy-, methyl ester, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 147500-09-2 CAPLUS
CN 2-Propenoic acid, 3-[2-[2-[1-(3-chlorophenyl)-1H-pyrazol-4-yl]ethenyl]phenyl]-3-methoxy-, methyl ester, (E,Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 147500-10-5 CAPLUS

CN 2-Propenoic acid, 3-methoxy-3-[2-[2-[1-(4-methoxyphenyl)-1H-pyrazol-4-yl]ethenyl]phenyl]-, methyl ester, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 147500-11-6 CAPLUS

CN 2-Propenoic acid, 3-methoxy-3-[2-[2-[1-(4-methoxyphenyl)-1H-pyrazol-4-yl]ethenyl]phenyl]-, methyl ester, (E,Z)- (9CI) (CA INDEX NAME)

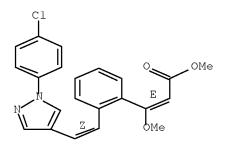
Double bond geometry as shown.

RN 147500-12-7 CAPLUS CN 2-Propenoic acid, 3-[2-[2-[1-(4-chlorophenyl)-1H-pyrazol-4-yl]ethenyl]-3-methoxy-, methyl ester, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 147500-13-8 CAPLUS
CN 2-Propenoic acid, 3-[2-[2-[1-(4-chlorophenyl)-1H-pyrazol-4-yl]ethenyl]phenyl]-3-methoxy-, methyl ester, (E,Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L23 ANSWER 37 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1993:263757 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 118:263757

ORIGINAL REFERENCE NO.: 118:45681a,45684a

TITLE: Silver halide photographic material

INVENTOR(S): Kawashima, Yasuhiko; Yamauchi, Reiko; Kojima, Tamotsu;

Kagawa, Nobuaki

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04229856	A	19920819	JP 1990-415096	19901227
PRIORITY APPLN. INFO.:			JP 1990-415096	19901227

OTHER SOURCE(S): MARPAT 118:263757 GI For diagram(s), see printed CA Issue.

AB A Ag halide photog. material contains a compound I [R1-R2 = H, alkyl, aryl, alkenyl; R3, R5 = alkyl, alkenyl; R4, R6 = aryl; L1-L6 = methine chain; n1, n2 = 0, 1, 2. The compound has a good spectroscopic absorption property, is photog. inactive, and does not contaminate developer solution

IT 147841-59-6 147863-09-0

RL: TEM (Technical or engineered material use); USES (Uses) (silver halide photog. materials containing)

RN 147841-59-6 CAPLUS

CN 1,4-Benzenedisulfonic acid, 2-[4-[5-[1-(2,5-disulfophenyl)-1,5-dihydro-3-[[[2-[(2-hydroxyethyl)amino]-2-oxoethyl]phenylamino]carbonyl]-5-oxo-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-3-[[[2-[(2-hydroxyethyl)amino]-2-oxoethyl]phenylamino]carbonyl]-1H-pyrazol-1-yl]-, tetrapotassium salt (9CI) (CA INDEX NAME)

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RN 147863-09-0 CAPLUS

CN Glycine, N-[[1-(2,5-disulfophenyl)-4-[3-[1-(2,5-disulfophenyl)-3-[[(2-ethoxy-2-oxoethyl)phenylamino]carbonyl]-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-1-propenyl]-5-hydroxy-1H-pyrazol-3-yl]carbonyl]-N-phenyl-, ethyl ester, tetrapotassium salt (9CI) (CA INDEX NAME)

ACCESSION NUMBER: 1992:622933 CAPLUS Full-text

DOCUMENT NUMBER: 117:222933

ORIGINAL REFERENCE NO.: 117:38331a,38334a

TITLE: Silver halide color photographic material

INVENTOR(S): Murai, Kazuhiro; Takada, Shun; Kawashima, Yasuhiko;

Kagawa, Nobuaki

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04018545	A	19920122	JP 1990-55956	19900307
JP 2835635	B2	19981214		

PRIORITY APPLN. INFO.: JP 1990-55956 19900307

AB In the title material having on a support photosensitive Ag halide emulsion layers and nonphotosensitive hydrophilic colloidal layers, the Ag halide emulsion layers contain Ag(Br,Cl) grains containing substantially no AgI, a dye having ≥1 of CO2H, sulfonamido, and sulfamoyl groups is dispersed as fine solid particles and is incorporated in the photosensitive Ag halide emulsion layers and/or the nonphotosensitive hydrophilic colloidal layers, and the total quantity of gelatin contained in the photosensitive Ag halide emulsion layers and the nonphotosensitive hydrophilic colloidal layers on the side of the support having Ag halide emulsion layers is <9 g/m2.

IT 143132-86-9

RL: USES (Uses)

(powdered, antihalation dye, for color photog. materials)

RN 143132-86-9 CAPLUS

CN 1,4-Benzenedicarboxylic acid, 2-[3-amino-4-[5-[1-(carboxymethyl)-5-cyano-1,6-dihydro-4-methyl-2,6-dioxo-3(2H)-pyridinylidene]-1,3-pentadienyl]-5-hydroxy-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

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L23 ANSWER 39 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1992:601760 CAPLUS Full-text

DOCUMENT NUMBER: 117:201760

ORIGINAL REFERENCE NO.: 117:34653a,34656a

TITLE: Silver halide photographic material and method for

forming image thereon

INVENTOR(S): Shibuya, Masahiro; Kadowaki, Koji

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 37 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04012346	A	19920116	JP 1990-114570	19900428
PRIORITY APPLN. INFO.:			JP 1990-114570	19900428

In a Ag halide photog, material having ≥ 1 photosensitive Ag halide emulsion layer and ≥ 1 nonphotosensitive hydrophilic colloidal layer on a support, the photog, material is characterized in that (1) the photosensitive Ag halide emulsion layer contains AgBrCl virtually free of AgI, (2) the nonphotosensitive hydrophilic colloidal layer contains the solid microparticle dispersion of a pigment having COOH, sulfonamide, and/or sulfamoyl, (3) the total amount of the binder in said 2 kinds of layers is <9 g/m2 and the binder's swellability is 100-200%, (4) the support is made of a paper coated with a polyolefin resin containing a white pigment $\geq 13\%$, and (5) a centerline average surface roughness on the photog, emulsion layer side is 0.14 μ m. The title method comprises scanning an original drawing and exposing the photog, material with the obtained image signals in $\leq 10-4$ s to form a neg. or pos. image corresponding to the original drawing.

IT 143132-86-9

RL: USES (Uses)

(silver halide photog. emulsion layer containing)

RN 143132-86-9 CAPLUS

CN 1,4-Benzenedicarboxylic acid, 2-[3-amino-4-[5-[1-(carboxymethyl)-5-cyano-1,6-dihydro-4-methyl-2,6-dioxo-3(2H)-pyridinylidene]-1,3-pentadienyl]-5-hydroxy-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

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L23 ANSWER 40 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN 1992:591813 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER: 117:191813

117:33135a,33138a ORIGINAL REFERENCE NO.:

TITLE: Nonprostanoid prostacyclin mimetics. 3. Structural

variations of the diphenyl heterocycle moiety

Meanwell, Nicholas A.; Rosenfeld, Michael J.; Trehan, AUTHOR(S):

Ashok K.; Romine, Jeffrey L.; Wright, J. J. Kim; Brassard, Catherine L.; Buchanan, John O.; Federici,

Marianne E.; Fleming, J. Stuart; et al.

Dep. Cardiovasc. Chem., Bristol-Myers Squibb Pharm. CORPORATE SOURCE:

Res. Inst., Wallingford, CT, 06492, USA

Journal of Medicinal Chemistry (1992), 35(19), SOURCE:

3498-512

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GΙ

AΒ 4,5-Diphenyl-2-oxazolenonanoic acid (I) and 2-[3-[2-(4,5-diphenyl-2oxazolyl)ethyl]phenoxy]acetic acid (II, R = Ph) were previously identified as nonprostanoid prostacyclin (PGl2) mimetics that inhibit ADP-induced aggregation of human platelets in vitro. The effects on biol. activity of substitution and structural modification of the 4- and 5-Ph rings of II was examined Thus, several derivs. of II (R = Ph) were prepared by reacting RCOCH(OH)R (R = 2-FC6H4, 3-ClC6H4, 3-MeOC6H4, 2-thienyl, etc.) with 3-HO2CCH2CH2C6H4OCH2CO2Me and NH4OAc to give the [(oxazolylethyl)phenoxy]acetates which were hydrolyzed to the acids II. Only the bis-4-Me derivative II (R = 4-MeC6H4), IC50 = $0.34 \mu M$, demonstrated enhanced potency compared to the parent structure II (R = Ph) (III), IC50 = 1.2 μM . Substitution at the ortho or meta positions of the Ph rings, replacement by thiopheneyl or cyclohexyl moieties, or constraining in a planar phenanthreen system resulted in compds. that were less effective inhibitors of ADP-induced platelet aggregation. In contrast, variation of the heterocycle moiety revealed a much less stringent SAR and many 5- and 6-membered heterocycles were found to effectively substitute for the oxazole ring of I and III. Thus, Het-X-CO2H [IV, Het = diphenylmethyltetrazolyl, diphenylpyrimidinyl, diphenyltriazinyl, etc., X = (CH2)8, (CH2)2-4-C6H4OCH2, C6H4-3-O(CH2)4, etc.] were also prepared and tested for platelet aggregation inhibitory activity. The diphenylmethyl moiety functioned as an effective isostere for 4,5-diphenylated heterocycles since IV [Het = Q, X = (CH2)2-3-C6H4OCH2] showed similar platelet inhibitory activity to III. The structureactivity findings led to a refinement of a model of the nonprostanoid PGI2 mimetic pharmacophore.

IT 131362-18-0P 131362-19-1P 131362-21-5P 143547-18-6P 143547-20-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and blood platelet aggregation inhibitory activity of)

RN 131362-18-0 CAPLUS

CN Acetic acid, [3-[2-(3,4-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 131362-19-1 CAPLUS

CN Acetic acid, [3-[2-(4,5-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 131362-21-5 CAPLUS

CN Acetic acid, [3-[2-(1,5-diphenyl-1H-pyrazol-3-yl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 143547-18-6 CAPLUS

CN Acetic acid, [3-[2-(3,4,5-triphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 143547-20-0 CAPLUS

CN Acetic acid, [3-[2-[1-(diphenylmethyl)-5-phenyl-1H-pyrazol-3-yl]ethyl]phenoxy]- (9CI) (CA INDEX NAME)

IT 143547-19-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of)

RN 143547-19-7 CAPLUS

CN Acetic acid, [3-[2-(3,4,5-triphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

IT 131362-16-8P 131362-17-9P 131362-20-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and saponification of)

- RN 131362-16-8 CAPLUS
- CN Acetic acid, [3-[2-(3,4-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

- RN 131362-17-9 CAPLUS
- CN Acetic acid, [3-[2-(4,5-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

- RN 131362-20-4 CAPLUS
- CN Acetic acid, [3-[2-(1,5-diphenyl-1H-pyrazol-3-yl)ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Ph
$$CH_2-CH_2$$
 $O-CH_2-C-OMe$

L23 ANSWER 41 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1992:560957 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 117:160957

ORIGINAL REFERENCE NO.: 117:27637a,27640a

TITLE: Color proofs using silver halide color photographic

photosensitive materials

INVENTOR(S): Okawachi, Susumu; Takada, Shun

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04030167	A	19920203	JP 1990-137456	19900528
JP 2884364	В2	19990419		
PRIORITY APPIN INFO .			.TP 1990-137456	19900528

AB A dye having ≥1 of a carboxyl group, a sulfonamide group, and a sulfamoyl group is dispersed as fine solid particles and the resultant fine particles are incorporated in any of the photosensitive Ag halide emulsion layers and/or nonphotosensitive layers of a photog. material. The photog. material, after contact exposure through a transparent black-and-white dot image obtainable color original and converting the resultant image to a dot image, is color developed-processed to give a color proof.

IT 143132-86-9

RL: USES (Uses)

RN 143132-86-9 CAPLUS

CN 1,4-Benzenedicarboxylic acid, 2-[3-amino-4-[5-[1-(carboxymethyl)-5-cyano-1,6-dihydro-4-methyl-2,6-dioxo-3(2H)-pyridinylidene]-1,3-pentadienyl]-5-hydroxy-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

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L23 ANSWER 42 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1992:521424 CAPLUS Full-text

DOCUMENT NUMBER: 117:121424

ORIGINAL REFERENCE NO.: 117:20933a,20936a

TITLE: Silver halide color photographic material

INVENTOR(S): Tanaka, Shigeo; Murai, Kazuhiro

PATENT ASSIGNEE(S): Konica K. K., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04081749	A	19920316	JP 1990-197460	19900724
PRIORITY APPLN. INFO.:			JP 1990-197460	19900724

AB In the title material comprising a support having thereon one or more Ag halide emulsion layers and other photog. layers, at least one of the Ag halide emulsion layers consists of a Ag(Br,Cl) emulsion and contains a compound represented by A1(TIME1)1[A2(TIME2)n]mB [A1 = group releasing (TIME1)1[A2(TIME2)n]mB upon reaction with an oxidized developing agent; A2 = divalent group releasing (TIME2)nB upon reaction with an oxidized developing agent; TIME1, TIME2 = timing group; B = bleaching promoter residue or bleaching promoter precursor residue; l = 0 to 2; m, n = 0 or 1]. The abovementioned Ag(Br,Cl) emulsion contains ≥90 mol% AgCl. At least one of the Ag halide emulsion layers or photog. layers in the title material contains a dispersion of solid particles of a dye. The solid dye is soluble in water at pH ≥90 and is insol. in water at pH ≤7.0. The title material shows high sensitivity.

IT 143132-86-9

RL: USES (Uses)

(silver halide color photog. materials containing)

RN 143132-86-9 CAPLUS

CN 1,4-Benzenedicarboxylic acid, 2-[3-amino-4-[5-[1-(carboxymethyl)-5-cyano-1,6-dihydro-4-methyl-2,6-dioxo-3(2H)-pyridinylidene]-1,3-pentadienyl]-5-hydroxy-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

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L23 ANSWER 43 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1992:500844 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 117:100844

ORIGINAL REFERENCE NO.: 117:17363a,17366a

TITLE: Silver halide photographic material with improved

image sharpness and reduced residual color

INVENTOR(S): Hashimoto, Hiroyuki; Usagawa, Yasushi

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03289646	A	19911219	JP 1990-91775	19900406
PRIORITY APPLN. INFO.:			JP 1990-91775	19900406
OTHER SOURCE(S):	MARPAT	117:100844		

GΙ

$$R^{11}$$
 C_{0}
 $C_{$

In a Ag halide photog. material with hydrophilic colloidal layers, including photosensitive Ag halide emulsion layers, on a support, ≥ 1 of the hydrophilic colloidal layers contains microparticles containing a compound represented by I [R11,12 = alkyl; R13-14 = substitutable moiety; L1-3 = methine; n = 0-2; and l, m = 0-4] and ≥ 1 of the Ag halide emulsion layers contains ≥ 1 compound represented by II [R21-22 = H, C1-5 alkyl, Ph; R23 = OH, SO3, N(R24)2 (R24 = C1-5 alkyl); X = halo, p-toluenesulfonate; n = 2-5; when R23 is N(R24)2, a HX salt can be formed] and/or R31R32NSC(:S)(CH2)nNR33R34 [R31-32 = H, C1-5 alkyl,; R33-34 = C1-5 alkyl; and n = 2-5].

IT 142912-43-4 142912-44-5

RL: TEM (Technical or engineered material use); USES (Uses) (silver halide photog. materials containing, for improved image sharpness and reduced residual color)

RN 142912-43-4 CAPLUS

CN 1H-Pyrazole-3-acetic acid, $1-(4-carboxyphenyl)-4-[[1-(4-carboxyphenyl)-3-(2-ethoxy-2-oxoethyl)-5-hydroxy-1H-pyrazol-4-yl]methylene]-4,5-dihydro-5-oxo-, <math>\alpha$ -ethyl ester (9CI) (CA INDEX NAME)

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L_{O2H}

RN 142912-44-5 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 1-(4-carboxyphenyl)-4-[3-[1-(4-carboxyphenyl)-3-(2-ethoxy-2-oxoethyl)-5-hydroxy-1H-pyrazol-4-yl]-2-propenylidene]-4,5-dihydro-5-oxo-, α -ethyl ester (9CI) (CA INDEX NAME)

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L23 ANSWER 44 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1992:417141 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 117:17141

ORIGINAL REFERENCE NO.: 117:3002h,3003a

TITLE: Silver halide photographic material containing

pyrazolone dye

INVENTOR(S): Usagawa, Yasushi; Kawashima, Yasuhiko; Kagawa, Nobuaki

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

----JP 03208043 A 19910911 JP 1990-2212 19900109
PRIORITY APPLN. INFO:: JP 1990-2212 19900109
OTHER SOURCE(S): MARPAT 117:17141

GI

$$\begin{array}{c}
\mathbb{R}^{1} \\
\mathbb{N} \\
\mathbb{R}^{3}
\end{array}$$

$$\begin{array}{c}
\mathbb{R}^{2} \\
\mathbb{R}^{2}
\end{array}$$

$$\begin{array}{c}
\mathbb{R}^{2} \\
\mathbb{R}^{4}
\end{array}$$

AB A silver halide photog. material has on a support at least one photog. layer containing a solid microparticle dispersion of a pyrazolone dye (I; R1, R2 = CO2H or group having CO2H; R3, R4 = H, a substituent without CO2H; L1-L3 = methine; n = 0-2; when n = 2, L2 and L3 are same or different). The photog. material shows improved image quality, storage stability, and sharpness with little reduction in sensitivity.

IT 141795-76-8 141795-77-9 141795-78-0

141795-81-5 141795-82-6 141795-84-8

141795-85-9 141795-89-3 141828-39-9

141828-40-2

RL: USES (Uses)

(photog. films containing, for improved image quality and storage stability)

RN 141795-76-8 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 4-[[3-(carboxymethyl)-5-hydroxy-1-phenyl-1H-pyrazol-4-yl]methylene]-4,5-dihydro-5-oxo-1-phenyl- (9CI) (CA INDEX NAME)

RN 141795-77-9 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 4-[3-[3-(carboxymethyl)-5-hydroxy-1-phenyl-1H-pyrazol-4-yl]-2-propenylidene]-4,5-dihydro-5-oxo-1-phenyl- (9CI) (CA INDEX NAME)

RN 141795-78-0 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 4-[5-[3-(carboxymethyl)-5-hydroxy-1-phenyl-1H-pyrazol-4-yl]-2,4-pentadienylidene]-4,5-dihydro-5-oxo-1-phenyl- (9CI) (CA INDEX NAME)

RN 141795-81-5 CAPLUS

CN Glycine, N-[[4-[3-[3-[[(carboxymethyl)amino]carbonyl]-1,5-dihydro-5-oxo-1-phenyl-4H-pyrazol-4-ylidene]-1-propenyl]-5-hydroxy-1-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

- RN 141795-82-6 CAPLUS
- CN Glycine, N-[[4-[5-[3-[[(carboxymethyl)amino]carbonyl]-1,5-dihydro-5-oxo-1-phenyl-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1-phenyl-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

- RN 141795-84-8 CAPLUS
- CN Butanoic acid, 4-[[4-[[3-[(3-carboxy-1-oxopropyl)amino]-1,5-dihydro-5-oxo-1-phenyl-4H-pyrazol-4-ylidene]methyl]-5-hydroxy-1-phenyl-1H-pyrazol-3-yl]amino]-4-oxo- (CA INDEX NAME)

- RN 141795-85-9 CAPLUS
- CN Butanoic acid, 4-[[4-[3-[3-[(3-carboxy-1-oxopropyl)amino]-1,5-dihydro-5-oxo-1-phenyl-4H-pyrazol-4-ylidene]-1-propenyl]-5-hydroxy-1-phenyl-1H-pyrazol-3-yl]amino]-4-oxo-(9CI) (CA INDEX NAME)

RN 141795-89-3 CAPLUS

CN Glycine, N-[4-[3-[3-[(carboxymethyl)amino]-1,5-dihydro-5-oxo-1-phenyl-4H-pyrazol-4-ylidene]-1-propenyl]-5-hydroxy-1-phenyl-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RN 141828-39-9 CAPLUS

CN Glycine, N-[[4-[5-[3-[[bis(carboxymethyl)amino]carbonyl]-1,5-dihydro-5-oxo-1-phenyl-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1-phenyl-1H-pyrazol-3-yl]carbonyl]-N-(carboxymethyl)- (9CI) (CA INDEX NAME)

RN 141828-40-2 CAPLUS

CN Glycine, N-[[4-[3-[3-[[bis(carboxymethyl)amino]carbonyl]-1,5-dihydro-5-oxo-1-phenyl-4H-pyrazol-4-ylidene]-1-propenyl]-5-hydroxy-1-phenyl-1H-pyrazol-3-yl]carbonyl]-N-(carboxymethyl)- (9CI) (CA INDEX NAME)

L23 ANSWER 45 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1992:184505 CAPLUS Full-text

DOCUMENT NUMBER: 116:184505

ORIGINAL REFERENCE NO.: 116:31057a,31060a

TITLE: Silver halide photographic material

INVENTOR(S): Ohashi, Hirobumi; Kawashima, Yasuhiko; Kagawa, Nobuaki

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 03204640
PRIORITY APPLN. INFO.:

A 19910906 JP 1990-386 JP 1990-386 19900108 19900108

 $E = L^{1} - L^{2} = L^{3} \cdot \prod_{\substack{N \\ R \neq 2}} R^{1}$

AB The title material on a support has at least one layer containing a dispersion of solid particles of a pyrazolone oxonol dye I (R1 = a substituent; R2 = H, alkyl, alkenyl, cycloalkyl, etc.; L1-L3 = a methine linkage; E = an acidic ring needed for forming an oxonol dye; n = 0-2). The title material shows excellent storage stability.

IT 140214-14-8 140214-21-7 140214-32-0 140214-36-4 140214-41-1

RL: TEM (Technical or engineered material use); USES (Uses) (silver halide photog. materials containing)

RN 140214-14-8 CAPLUS

CN 1,4-Benzenedicarboxylic acid, 2-[3-amino-4-[3-[1-(carboxymethyl)-5-cyano-1,6-dihydro-4-methyl-2,6-dioxo-3(2H)-pyridinylidene]-1-propenyl]-5-hydroxy-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 140214-21-7 CAPLUS

CN 3-Pyridineacetic acid, 5-[[1-(4-carboxyphenyl)-5-hydroxy-3-methoxy-1H-pyrazol-4-yl]methylene]-1-ethyl-1,2,5,6-tetrahydro-2,6-dioxo- (CA INDEX NAME)

RN 140214-32-0 CAPLUS

CN 3-Pyridineacetic acid, 5-[[1-(2-carboxyphenyl)-5-hydroxy-3-(4-morpholinylcarbonyl)-1H-pyrazol-4-yl]methylene]-1,2,5,6-tetrahydro-1,4-dimethyl-2,6-dioxo- (CA INDEX NAME)

RN 140214-36-4 CAPLUS

CN 3-Pyridinepropanoic acid, 5-[[3-(aminocarbonyl)-5-hydroxy-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-4-yl]methylene]-1-(4-carboxyphenyl)-1,2,5,6-tetrahydro-4-methyl-2,6-dioxo- (CA INDEX NAME)

RN 140214-41-1 CAPLUS

CN 3-Pyridineacetic acid, 5-[3-[3-carboxy-1-(4-carboxyphenyl)-5-hydroxy-1H-pyrazol-4-yl]-2-propenylidene]-1,2,5,6-tetrahydro-4-methyl-2,6-dioxo-(9CI) (CA INDEX NAME)

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L23 ANSWER 46 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1992:140020 CAPLUS Full-text

DOCUMENT NUMBER: 116:140020

ORIGINAL REFERENCE NO.: 116:23483a,23486a

TITLE: Silver halide photographic material containing dye INVENTOR(S): Usagawa, Yasushi; Kawashima, Yasuhiko; Kagawa, Nobuaki

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03204639	A	19910906	JP 1990-62	19900105
PRIORITY APPLN. INFO.:			JP 1990-62	19900105
GI				

$$R^{1} \xrightarrow{\mathbb{N}^{1}} L^{1} \leftarrow L^{2} = L^{3} \xrightarrow{\mathbb{N}^{1}} \mathbb{R}^{2}$$

The title material on a support has at least one layer containing a dispersion of solid particles of a pyrazolone dye I (R1, R2 = a substituent; R3, R4 = alkyl, cycloalkyl, naphthyl, a heterocyclic ring having a carboxyl group; L1-L3 = a methine group; n = 0-2). The title material shows excellent storage stability.

IT 139611-40-8

RL: TEM (Technical or engineered material use); USES (Uses) (silver halide photog. materials containing)

RN 139611-40-8 CAPLUS

CN 1H-Pyrazole-1-propanoic acid, 4-[[1-(2-carboxyethyl)-5-hydroxy-3-phenyl-1H-pyrazol-4-yl]methylene]-4,5-dihydro-5-oxo-3-phenyl- (9CI) (CA INDEX NAME)

L23 ANSWER 47 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1992:106286 CAPLUS Full-text

DOCUMENT NUMBER: 116:106286

ORIGINAL REFERENCE NO.: 116:18003a,18006a

TITLE: Preparation of 4,4'-methylenebis[5-(1-carboxy-1-

methylethylamino)pyrazole] derivatives as hypolipemics

INVENTOR(S): Dorn, Helmut; Ozegowski, Ruediger

PATENT ASSIGNEE(S): Akademie der Wissenschaften der DDR, Germany

SOURCE: Ger. (East), 7 pp.

CODEN: GEXXA8

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 294481	A5	19911002	DD 1989-332903	19890922
PRIORITY APPLN. INFO.:			DD 1989-332903	19890922

OTHER SOURCE(S): MARPAT 116:106286

GΙ

$$Q = \sum_{R2}^{R3NH} NR^{1}$$

AB R4CHR2 [R = pyrazolyl group Q; R1 = H, (cyclo)alkyl, (un)substituted Ph, PhCH2; R2, R4 = H, alkyl, (un)substituted Ph; R3 = CMe2CO2H] were prepared as hypolipemics (no data). Thus, 1-benzyl-5-(1-carboxy-1-methylethylamino)pyrazole was condensed with HCHO to give CH2R2 (R = Q, R1 = CH2Ph, R2 = H, R3 = CMe2CO2H).

IT 139304-23-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as hypolipemic)

RN 139304-23-7 CAPLUS

CN Alanine, N,N'-[methylenebis(3-methyl-1-phenyl-1H-pyrazole-4,5-diyl)]bis[2-methyl- (9CI) (CA INDEX NAME)

L23 ANSWER 48 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1992:95630 CAPLUS Full-text

DOCUMENT NUMBER: 116:95630

ORIGINAL REFERENCE NO.: 116:16013a, 16016a

TITLE: Silver halide photographic material containing

bispyrazolone dye

INVENTOR(S): Kawashima, Yasuhiko; Usagawa, Yasushi; Kagawa, Nobuaki

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03194544	A	19910826	JP 1989-335570	19891225
JP 3038391	В2	20000508		
PRIORITY APPLN. INFO.:			JP 1989-335570	19891225
GI				

$$\sum_{\substack{N \\ N \\ R3}}^{R1} z^{1} + z^{2} = z^{3} + \sum_{\substack{n \\ N \\ R4}}^{R2} z^{4} = z^{5} + \sum_{\substack{n \\ N \\ R4}}^{R2}$$

AB The material has on a support ≥ 1 component layer containing solid fine particle dispersion of (I; R1, R2 = substituent; R3, R4 = m- or o-carboxyphenyl, Z1-Z5 = methine; m, n = 0, 1). The photog. film with a crossover cutting layer containing I (R1 = R2 = Me, R3 = R4 = m-carboxyphenyl, m = 0, n = 1, Z1-Z3 = CH) showed good storage stability and high sensitivity without fog.

IT 139053-08-0

RL: USES (Uses)

(photog. film containing, for good storage stability)

RN 139053-08-0 CAPLUS

CN Benzoic acid, 2-[3-[[(2-carboxyethyl)amino]carbonyl]-4-[3-[3-[[(2-carboxyethyl)amino]carbonyl]-1-(2-carboxyphenyl)-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-1-propenyl]-5-hydroxy-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

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L23 ANSWER 49 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1992:72186 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 116:72186

ORIGINAL REFERENCE NO.: 116:12145a,12148a

TITLE: Silver halide photographic material INVENTOR(S): Yoshida, Kazuhiro; Hirabayashi, Kazuhiko

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
JP 03223843	A	19911002	JP 1990-20164	19900130	
PRIORITY APPLN. INFO.:			JP 1990-20164	19900130	
GI					

$$\begin{array}{c}
\mathbb{R}^{1} \\
\mathbb{R}^{3}
\end{array}$$

$$\begin{array}{c}
\mathbb{R}^{2} \\
\mathbb{R}^{4}
\end{array}$$

- AB At least one layer of the title material contain dyes I (R1, R2 = carboxy, alkyl, aryl, alkoxycarbonyl, aryloxycarbonyl; R3-4 = sulfo- or carboxy-substituted alkyl or aryl) and an anionic surfactant, and is hardened by a hardening agent CH2:CHSO2(CH2)mO(LO)p(CH2)nSO2CH:CH2 (L = divalent organic group; m, n > 0; p = 0, 1). This photog. material provides low stain and high scratch resistance under rapid processing, and have high resistance to blocking by adhesion and high storage stability.
- IT 138371-40-1
 - RL: USES (Uses)
 - (dye, backcoating of photog. films containing)
- RN 138371-40-1 CAPLUS
- CN 1H-Pyrazole-1-acetic acid, 4,5-dihydro-4-[[3-ethyl-5-hydroxy-1-(4-sulfophenyl)-1H-pyrazol-4-yl]methylene]-3-methyl-5-oxo-, disodium salt (9CI) (CA INDEX NAME)

●2 Na

L23 ANSWER 50 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1992:59369 CAPLUS $\underline{Full-text}$

DOCUMENT NUMBER: 116:59369

ORIGINAL REFERENCE NO.: 116:10277a,10280a

TITLE: Preparation of heterocyclylalkanoates as blood

platelet aggregation inhibitors

INVENTOR(S):
Meanwell, Nicholas

PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA

SOURCE: Eur. Pat. Appl., 51 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PA:	TENT NO.			KINI)	DATE		API	PLICAT	ION N	Ю.			DATE
EP	442448			A2	_	1991	0821	EP	1991-	 10195	8			19910212
EP	442448			А3		1992	0812							
	R: AT,	BE,	CH,	DE,	DK,	, ES,	FR,	GB, GI	R, IT,	LI,	LU,	NL,	S	E
US	4956379			Α		1990	0911	US	1990-	47950	5			19900213
US	4956376			А		1990	0911	US	1990-	47955	9			19900213
US	4970225			А		1990	1113	US	1990-	47956	4			19900213
US	4983610			Α		1991	0108	US	1990-	47956	1			19900213
US	4992439			А		1991	0212	US	1990-	47950	8			19900213
US	5021415			А		1991	0604	US	1990-	47956	3			19900213
US	5034409			A		1991	0723	US	1990-	47950	7			19900213
US	5077305			A		1991	1231	US	1990-	47956	0			19900213
US	5011851			А		1991	0430	US	1990-	54098	8			19900620
PRIORIT	Y APPLN.	INFO	.:					US	1990-	47950	5		Α	19900213
								US	1990-	47950	6		A	19900213
								US	1990-	47950	7		A	19900213
								US	1990-	47950	8		A	19900213
								US	1990-	47955	9		A	19900213
								US	1990-	47956	0		A	19900213
								US	1990-	47956	1		A	19900213
								US	1990-	47956	3		A	19900213
								US	1990-	47956	4		A	19900213
								US	1990-	54098	8		A	19900620
OTHER SO	DURCE(S):			CASI	REA	CT 11	6:593	369; M	ARPAT	116:5	9369	9		

OTHER SOURCE(S): CASREACT 116:59369; MARPAT 116:5936

GI

AB X(CH2)nCO2R (R = H, alkyl, alkali metal; n = 6-9; X = Q1-Q5, etc.), and related compds., were prepared Thus, 4,5-diphenyl-1-imidazolethiol and Me (3-chloromethylphenoxy)acetate were heated with NaH in DMF to give 58% title compound I. I inhibited aggregation of human platelets with IC50 = 0.42 μ g.

IT 131362-16-8P 131362-17-9P 131362-18-0P 131362-19-1P 131362-20-4P 131362-21-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as blood platelet aggregation inhibitor)

RN 131362-16-8 CAPLUS

CN Acetic acid, [3-[2-(3,4-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Ph
$$\sim$$
 N \sim CH2 \sim CH2 \sim O \sim CH2 \sim O \sim OMe

RN 131362-17-9 CAPLUS

CN Acetic acid, [3-[2-(4,5-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 131362-18-0 CAPLUS

CN Acetic acid, [3-[2-(3,4-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]- (9CI)

(CA INDEX NAME)

RN 131362-19-1 CAPLUS

CN Acetic acid, [3-[2-(4,5-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 131362-20-4 CAPLUS

CN Acetic acid, [3-[2-(1,5-diphenyl-1H-pyrazol-3-yl)ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

$$Ph$$
 N
 CH_2-CH_2
 $O-CH_2-C$
 RN 131362-21-5 CAPLUS

CN Acetic acid, [3-[2-(1,5-diphenyl-1H-pyrazol-3-yl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)

L23 ANSWER 51 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1991:691068 CAPLUS Full-text

DOCUMENT NUMBER: 115:291068

ORIGINAL REFERENCE NO.: 115:49207a,49210a

TITLE: Silver halide photographic material providing improved

color tone using polymeric dye

INVENTOR(S): Marui, Toshiyuki; Usagawa, Yasushi

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.

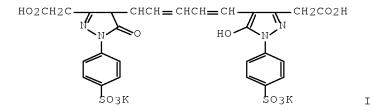
CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03103846	А	19910430	JP 1989-242803	19890918
PRIORITY APPLN. INFO.:			JP 1989-242803	19890918
GI				



- AB A photog. material comprising a support, subbing layer, silver halide emulsion layer, and auxiliary layer contains, in ≥ 1 of the component layer, ≥ 1 polymeric dye which comprises a cyan dye combined with a water-soluble polymer. It provides a good coating property and gives a silver image with an improved monochromic tone, without color stain. Thus, dye I was reacted with 1-ethyl-3-(3- dimethylaminopropyl)carbodimide and gelatin to form a dyemodified gelatin. The dye-modified gelatin was added to the subbing layer of a Ag(Br, I) black-and-white film.
- IT 137692-84-3
 - RL: USES (Uses)
 - (gelatin-modified photog. film containing, for good monochromic tone)
- RN 137692-84-3 CAPLUS
- CN 1H-Pyrazole-3-acetic acid, 4-[5-[3-(carboxymethyl)-1,5-dihydro-5-oxo-1-(4-sulfophenyl)-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1-(4-sulfophenyl)-, dipotassium salt (9CI) (CA INDEX NAME)

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HO
N
N
N
So₃H

L23 ANSWER 52 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1991:42784 CAPLUS Full-text

DOCUMENT NUMBER: 114:42784

ORIGINAL REFERENCE NO.: 114:7449a,7452a

TITLE: Preparation of pyrazole carboxylic acid derivatives as

anticoagulant drugs

INVENTOR(S):
Meanwell, Nicholas A.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA

SOURCE: U.S., 9 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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US 4956379
                           Α
                                 19900911
                                             US 1990-479505
                                                                     19900213
     JP 06080630
                           Α
                                 19940322
                                             JP 1991-37822
                                                                     19910208
     CA 2036192
                           A1
                                 19910814
                                             CA 1991-2036192
                                                                     19910212
     EP 442448
                           A2
                                 19910821
                                             EP 1991-101958
                                                                     19910212
     EP 442448
                           А3
                                 19920812
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
PRIORITY APPLN. INFO.:
                                             US 1990-479464
                                                                  A 19900213
                                             US 1990-479505
                                                                  Α
                                                                     19900213
                                             US 1990-479506
                                                                  Α
                                                                     19900213
                                             US 1990-479507
                                                                     19900213
                                                                  Α
                                             US 1990-479508
                                                                  Α
                                                                     19900213
                                             US 1990-479559
                                                                  Α
                                                                     19900213
                                             US 1990-479560
                                                                  Α
                                                                     19900213
                                             US 1990-479561
                                                                  Α
                                                                     19900213
                                             US 1990-479563
                                                                     19900213
                                                                  Α
                                             US 1990-479564
                                                                  Α
                                                                     19900213
                                             US 1990-540988
                                                                  Α
                                                                     19900620
OTHER SOURCE(S):
                         CASREACT 114:42784; MARPAT 114:42784
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GΙ

Title compds. I [R1 = H, C1-4 (branched) alkyl, alkali metal, and OCH2CO2R1 is]AΒ at m- or p-position; R2 = heterocyclic radicals Q1-Q3], useful as antithrombogenic drugs, were prepared For example, II was prepared in 85% yield from BrCH2CO2Me and a corresponding pyrazole-substituted phenol. The IC50 of II vs. ADP-induced aggregation of human platelets was 0.33 $\mu \text{g/mL}$, compared to 512 μ g/mL for dipyridamole.

131362-16-8P 131362-17-9P 131362-18-0P ΤT 131362-19-1P 131362-20-4P 131362-21-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antithrombogenic drug)

131362-16-8 CAPLUS RN

CN Acetic acid, [3-[2-(3,4-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

10/517,214

RN 131362-17-9 CAPLUS

CN Acetic acid, [3-[2-(4,5-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 131362-18-0 CAPLUS

CN Acetic acid, [3-[2-(3,4-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 131362-19-1 CAPLUS

CN Acetic acid, [3-[2-(4,5-diphenyl-1H-pyrazol-1-yl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 131362-20-4 CAPLUS

CN Acetic acid, [3-[2-(1,5-diphenyl-1H-pyrazol-3-yl)ethyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 131362-21-5 CAPLUS

CN Acetic acid, [3-[2-(1,5-diphenyl-1H-pyrazol-3-yl)ethyl]phenoxy]- (9CI) (CA INDEX NAME)

L23 ANSWER 53 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1990:581321 CAPLUS Full-text

DOCUMENT NUMBER: 113:181321

ORIGINAL REFERENCE NO.: 113:30552h,30553a

TITLE: Silver halide photographic material containing

water-soluble oxonol dyes for halation and irradiation

prevention

INVENTOR(S): Kawashima, Yasuhiko; Tanaka, Mari; Kojima, Tamotsu;

Kagawa, Nobuaki

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02093535	A	19900404	JP 1988-244255	19880930
PRIORITY APPLN. INFO.:			JP 1988-244255	19880930
CT				

AB The material contains a water-soluble oxonol dye I (R, R1 = H, alkyl, aryl, alkenyl, heterocycle; R and/or R1 = heterocycle; R2-5 = H, alkyl, aryl, alkenyl, heterocycle; R2 and R3, R4 and R5 may form heterocycle; R, R 1-6 may be substituted; ≥ 1 of R, R1-5 has water-soluble group; L, L1, L2 (un)substituted = methine; l, m, n = 0, 1, 2). The dye is inert to the photog. emulsion, and is easily washed out during processing, leaving little colored stain on the processed material. Thus, a multilayer chromogenic color paper prepared by incorporating compound I (R, R1 = Q; R2 = R4 = H; R3 = R5 = p-KO3SC6H4; L = L1 = L2 = CH; n = 2; l = m = 0) into the red-sensitive layer and the adjacent interlayer, showed fogging and staining resistance at the unexposed parts.

IT 130045-13-5

RL: USES (Uses)

(sensitizers, photog.)

RN 130045-13-5 CAPLUS

CN β -Alanine, N-[[4-[5-[3-[[(2-carboxyethyl)amino]carbonyl]-1,5-dihydro-5-oxo-1-(tetrahydro-1,1-dioxido-3-thienyl)-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1-(tetrahydro-1,1-dioxido-3-thienyl)-1H-pyrazol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

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L23 ANSWER 54 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1990:188900 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 112:188900

ORIGINAL REFERENCE NO.: 112:31749a,31752a

TITLE: Silver halide photographic material containing oxonol

dye

INVENTOR(S): Kagawa, Nobuaki; Kawashima, Yasuhiko; Tanaka, Mari

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

----JP 01224749 A 19890907 JP 1988-50789 19880304
PRIORITY APPLN. INFO.: JP 1988-50789 19880304
GI

$$E = L^{1}(L^{2} = L^{3})_{1}(L^{4} = L^{5})_{1}(L^{4} = L^{5})_{1}(L$$

AB In the title photog. material, ≥1 of photog. constitutional layers contains an oxonol dye (I) [R = cyano, R1CO, S02R1 (R1 = alkyl, aryl, heterocyclyl); J = divalent organic group; Z = C0NR2, NR2CO, S02NR2, NR2SO2, C02, OCO, S02, S02O, OSO2, NR2CONR3, O(CpH2qO)n, NR2CO2, OCONR2, NR2, S0, (R2, R3 = H, alkyl, aryl, heterocyclyl; p, q = 2-4; n ≥ 1); sol = water-soluble functional group, or organic moiety with ≥1 of water-soluble functional groups; E = acid nucleus necessary to form an oxonol dye; L1-L5 = methine group; i, j, m = 0-1]. The dye is useful as filter dye, or in halation prevention or irradiation prevention.

IT 126484-69-3

RL: USES (Uses)

(photog. antihalation dye)

RN 126484-69-3 CAPLUS

CN 1(2H)-Pyridineacetic acid, 5-cyano-3-[3-[3-cyano-1-(2,5-disulfophenyl)-5-hydroxy-1H-pyrazol-4-yl]-2-propenylidene]-3,6-dihydro-4-methyl-2,6-dioxo-, dipotassium salt (9CI) (CA INDEX NAME)

L23 ANSWER 55 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1975:157837 CAPLUS $\underline{\text{Full-text}}$

DOCUMENT NUMBER: 82:157837

ORIGINAL REFERENCE NO.: 82:25215a,25218a

TITLE: Oxonol dyes

INVENTOR(S): Kobayashi, Teruo; Inoue, Kazuo PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49099620	A	19740920	JP 1973-11722	19730129
PRIORITY APPLN. INFO.:			JP 1973-11722 A	19730129

GI For diagram(s), see printed CA Issue.

AB Oxonol dyes I (R = alkyl, aryl, carboxy, amino, arylamino, carbamoyl, ureido, thioureido, hydroxy, alkoxy, R1 = sulfoalkyl, carboxyalkyl, R2 = optional substituent, n = 0, 1, 2) were prepared For example, 3-methyl-1-(3-sulfopropyl)-5-pyrazolone [55066-06-3], diphenylformamidine [622-15-1], Et3N, and DMF were refluxed for 30 min, treated with methanolic KOAc, and further refluxed for 5 min to give I [n = 0, R = Me, R1 = (CH2)3SO3K, R2 = H] [55066-12-1], λmax 401 mμ. Also prepared were, e.g., I [R = CO2H, R1 = (CH2)4SO3H, R2 = H, n = 0 [55066-13-2]; NH2, (CH2)3SO3K, H, 1 [55066-14-3]; HO, (CH2)3SO3Na, H, 1 [55066-15-4]; Ph, CH2CO2K, Me, 1 [55066-16-5]]. I [R = Me, R1 = (CH2)3SO3K, R2 = H, n = 2] [55066-17-6] had greater diffusibility and was more readily bleached from gelatin by aqueous Na2SO3 than conventional I (R = Me, R1 = p-C6H4SO3K, R2 = H, n = 2).

IT 55066-16-5P

RL: MSC (Miscellaneous); PREP (Preparation)
 (dyes, photographic, manufacture of)

RN 55066-16-5 CAPLUS

CN 1H-Pyrazole-1-acetic acid, 4-[3-[1-(carboxymethyl)-5-hydroxy-3-phenyl-1H-pyrazol-4-yl]-2-methyl-2-propenylidene]-4,5-dihydro-5-oxo-3-phenyl-, dipotassium salt (9CI) (CA INDEX NAME)

●2 K

L23 ANSWER 56 OF 56 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1967:38028 CAPLUS Full-text

DOCUMENT NUMBER: 66:38028

ORIGINAL REFERENCE NO.: 66:7271a,7274a

TITLE: Synthesis and conversions of 2,5-dioxopiperazine3,6-

carboxylic acid hydrazides

AUTHOR(S): Augustin, Manfred

CORPORATE SOURCE: Martin-Luther Univ., Halle-Wittenberg, Germany SOURCE: Zeitschrift fuer Chemie (1966), 6(11), 418

CODEN: ZECEAL; ISSN: 0044-2402

DOCUMENT TYPE: Journal LANGUAGE: German

AB cf. CA 64, 17707g. 3,6-Bis(carbethoxymethyl)-2,5-dioxopiperazine (I) gave with piperidine, morpholine, pyrrolidine, Et2NH, and Bu2NH, with or without solvents, substituted acid amides. I treated with N2H4.H2O in EtOH gave 3,6-bis(hydrazidoacetyl)-2,5-dioxopiperazine (II), m. 153-5° (decomposition). Similarly was obtained 3,6-bis(hydrazidopropionyl)-2,5-dioxopiperazine, m. 203° (decomposition). The hydrazide structure of II was proved by its reaction with ketones. II gave with Ac2CH2 and BzCH2Ac in HCONMe2 3,6-bis(3,5-dimethylpyrazolidinylacetyl)-2,5-dioxopiperazine, m. 195° (decomposition), and 3,6-bis(3-methyl-5-phenylpyrazolidylacetinyl)-2,5-dioxopiperazine, m. 243° (decomposition), resp. By boiling with cyclohexanone for 1 hr. II gave 3,6-bis(cyclohexylidenehydrazinylylideneac etyl)2,5-dioxopiperazine, m. 253-5° (decomposition).

IT 15509-03-2P

RN 15509-03-2 CAPLUS

CN Pyrazole, 1,1'-[(3,6-dioxo-2,5-piperazinediyl)bis(methylenecarbonyl)]bis[3-methyl-5-phenyl- (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & & \\ & N & \\ & & \\ & Ph \end{array}$$

=> d his nofil

L1

(FILE 'HOME' ENTERED AT 11:32:10 ON 25 JUL 2008)

FILE 'REGISTRY' ENTERED AT 11:32:14 ON 25 JUL 2008

STR

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L3 855250 SEA ABB=ON PLU=ON N2C3/ES

L4 24 SEA SUB=L3 SSS SAM L1

L5 STR

L6 4 SEA SUB=L3 SSS SAM L1 AND L5

FILE 'CAPLUS' ENTERED AT 11:55:58 ON 25 JUL 2008

E US2005-517214/APPS

L7 1 SEA ABB=ON PLU=ON US2005-517214/AP SEL RN

FILE 'REGISTRY' ENTERED AT 11:56:16 ON 25 JUL 2008

L8 876 SEA ABB=ON PLU=ON (100-39-0/BI OR 100-51-6/BI OR 103324-26-1/

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    BI OR 1140-69-8/BI OR 114474-04-3/BI OR 116-53-0/BI OR
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1375 SEA SUB=L3 SSS FUL L1 AND L5
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FILE 'CAPLUS' ENTERED AT 14:26:06 ON 25 JUL 2008 L23 56 SEA ABB=ON PLU=ON L22

L9

L10

L11

L12

L13

L14 L15

L16

L17

L18

L19

L20

L21

L22

FILE 'CAPLUS' ENTERED AT 14:26:13 ON 25 JUL 2008
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D L23 IBIB ABS HITSTR TOT